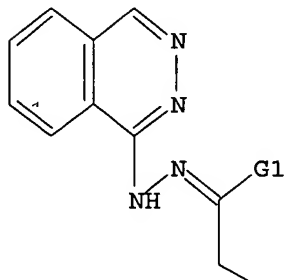


09/288,556

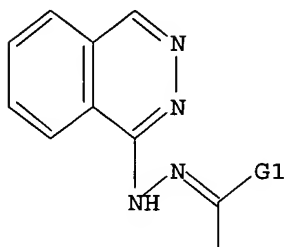
L5 HAS NO ANSWERS
L5 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> d 16
L6 HAS NO ANSWERS
L6 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

=> s 15 sss full
FULL SEARCH INITIATED 15:47:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 219 TO ITERATE

100.0% PROCESSED 219 ITERATIONS
SEARCH TIME: 00.00.01

78 ANSWERS

L7 78 SEA SSS FUL L5

=> s 16 sss full
FULL SEARCH INITIATED 15:47:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1031 TO ITERATE

100.0% PROCESSED 1031 ITERATIONS
SEARCH TIME: 00.00.01

732 ANSWERS

L8 732 SEA SSS FUL L6

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

09/288,556

FULL ESTIMATED COST

297.10

446.71

FILE 'CAPLUS' ENTERED AT 15:47:40 ON 08 OCT 2003

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FILE COVERS 1907 - 8 Oct 2003 VOL 139 ISS 15

FILE LAST UPDATED: 7 Oct 2003 (20031007/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 15:47:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 69 TO ITERATE

100.0% PROCESSED 69 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 882 TO 1878

PROJECTED ANSWERS: 640 TO 1520

L9 50 SEA SSS SAM L6

L10 34 L9

=> s l7

L11 46 L7

09/288,556

=> d l10 1-34 ibib abs hitstr

L10 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:81756 CAPLUS

DOCUMENT NUMBER: 135:101943

TITLE: Preparation of some dihydralazine derivatives and their multiple pharmacological activity

AUTHOR(S): Upadhyay, P. S.; Joshi, H. D.; Baxi, A. J.; Parikh, A. R.

CORPORATE SOURCE: Saurashtra Univ., Rajkot, India

SOURCE: Indian Drugs (2000), 37(9), 441-444

CODEN: INDRBA; ISSN: 0019-462X

PUBLISHER: Indian Drug Manufacturers' Association

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Some new 4-thiazolidinones, bearing phthalazine moiety, have been synthesized via condensation of Dihydralazine with different aryl ketones followed by ring closure reaction. The combined elemental anal. and spectroscopic data prove the authenticity of the synthesized compd. Compds. were screened for their pharmacol. activity.

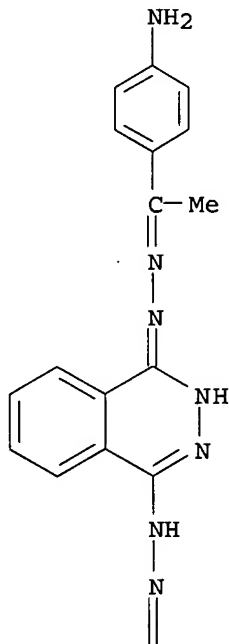
IT 150006-89-6

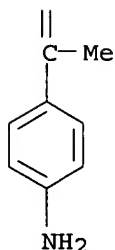
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and pharmacol. activity of dihydralazines)

RN 150006-89-6 CAPLUS

CN 1,4-Phthalazinedione, 2,3-dihydro-, bis[[1-(4-aminophenyl)ethylidene]hydrazone] (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:791956 CAPLUS

DOCUMENT NUMBER: 134:115643

TITLE: On the isomerism/tautomerism of hydrazones. Crystal structures, study in solution and theoretical calculations of new series of .alpha.-N-heterocyclic hydrazones

AUTHOR(S): Giorgi, Gianluca; Ponticelli, Fabio; Savini, Luisa; Chiasserini, Luisa; Pellerano, Cesare

CORPORATE SOURCE: Centro Interdipartimentale di Analisi e Determinazioni Strutturali, Universita degli Studi di Siena, Siena, 53100, Italy

SOURCE: Perkin 2 (2000), (11), 2259-2264

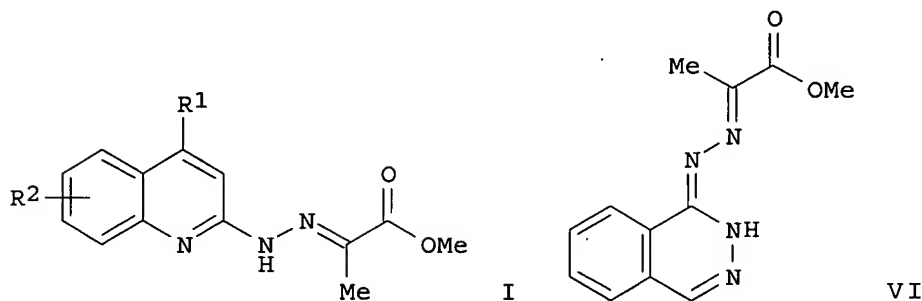
CODEN: PRKTFO; ISSN: 1470-1820

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB (in this abstr. 1-6 are defined by I [R1,R2 given as: H,H (1); Me,H (2); Me,6-Me (3); Me,7-Me (4); Me,8-Me (5)] and VI, resp.). The isomerism/tautomerism of new series of .alpha.-N-heterocyclic hydrazones with chelating properties towards metal ions and potential biol. activity have been studied in the solid state by X-ray crystallog. and in soln. by NMR. Among possible syn-Z/anti-E isomers and different tautomeric structures, the mol. structures of dimethylquinolylhydrazone 5 and its phthalazinyl analog 6 have shown that both are anti-E isomers. In 5 the mobile proton resides on the hydrazone nitrogen, but in the phthalazine deriv. 6 it is located on one endocyclic nitrogen. The same isomeric structures are also confirmed in the soln. by NMR expts. While for 6 no other isomer is detected, the quinoline derivs. 1-5 show chem. equil. between the imino and amino tautomers in the soln., the latter being

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present in a very high amt. (>90%). The study has also been extended to potential energy calcns. of isolated mols. and of mols. in the crystal lattice.

IT 321529-04-8

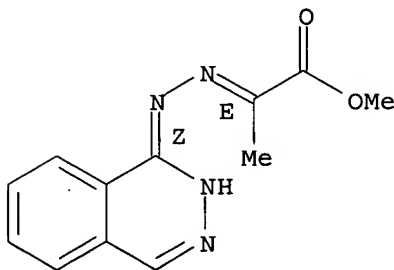
RL: PRP (Properties)

(crystallog.; crystallog. and NMR soln. studies on the isomerism/tautomerism and theor. conformational study of .alpha.-N-heterocyclic hydrazones)

RN 321529-04-8 CAPLUS

CN Propanoic acid, 2-[(2Z)-1-phthalazinylhydrazono]-, methyl ester, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:296167 CAPLUS

DOCUMENT NUMBER: 131:44929

TITLE: Study on ferrocenes, part 6. 1,3-Dipolar cycloadditions of heterocyclic hydrazones of formylferrocene

AUTHOR(S): Abran, A.; Csampai, A.; Bocskei, Zs.; Sohar, P.
CORPORATE SOURCE: General and Inorganic Department of Chemistry, Eotvos Lorand University, Budapest, H-1518/112, Hung.

SOURCE: Tetrahedron (1999), 55(17), 5441-5448

CODEN: TETRAB; ISSN: 0040-4020

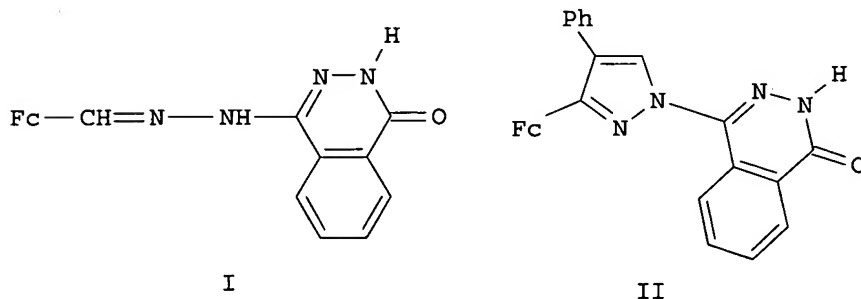
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:44929

GI



AB 1,3-Dipolar cycloaddn. reactions of ferrocenylmethyllidenehydrazones

contg. different heterocycles (1a-c) with some dipolarophiles resulted in new cycloadducts and condensed triazoles. For example, the reaction of I with (E)-PhCH:CHNO₂ in acetonitrile over mol. sieves under argon yielded II in 87%. The reactivity of the substrates was dependent on the heterocyclic moiety. The structure of the products was detd. by IR. ¹H- and ¹³C-NMR (1-dimensional and 2D) measurements were supported by single crystal x-ray anal.

IT 216778-02-8

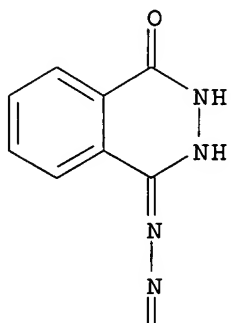
RL: RCT (Reactant); RACT (Reactant or reagent)

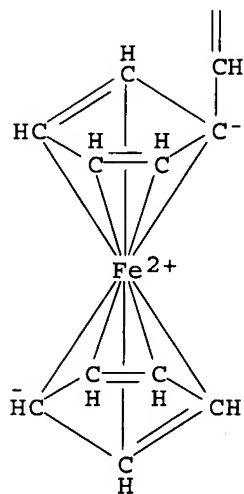
(1,3-dipolar cycloaddn. with various dipolarophiles)

RN 216778-02-8 CAPLUS

CN Ferrocene, [(E)-[(3,4-dihydro-4-oxo-1-phthalazinyl)hydrazono]methyl]-(9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:699649 CAPLUS

DOCUMENT NUMBER: 130:38497

TITLE: Study on ferrocenes. Part 6. Synthesis and structure of ferrocenylmethylidenehydrazino-phthalazinones and -pyrido[2,3-d]pyridazinones

AUTHOR(S): Abran, Arvacska; Csampai, Antal; Harmath, Veronika; Sohar, Pal

CORPORATE SOURCE: Department of General and Inorganic Chemistry, Eotvos: Lorand University, Budapest, H-1518/112, Hung.

SOURCE: ACH - Models in Chemistry (1998), 135(4), 439-447

CODEN: ACMCEI; ISSN: 1217-8969

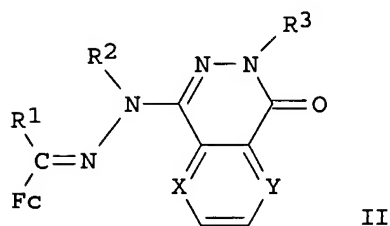
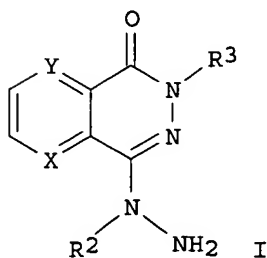
PUBLISHER: Akademiai Kiado

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:38497

GI



AB Hydrazinophthalazinones and -pyrido[2,3-d]pyridazinones 3a-j (shown as I; R2 = R3 = H, Me, X = Y = CH; R2, R3 = H, Me, X = Y = CH; R2 = H, R3 = H, Me, X = N, Y = CH; R2 = H, R3 = H, Me, X = CH, Y = N; R2 = R3 = Me, X, Y = N, CH) easily underwent a stereoselective condensation reaction with formylferrocene (1a) to give hydrazones 4a-j (shown as II, R1 = H, same R2, R3, X, Y) of E configuration. In much slower conversions acetylferrocene (1b) only condensed with hydrazinophthalazinones 3b,c

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yielding hydrazones 5b (II, R1 = Me, R2 = H, R3 = Me, X = Y = CH) as a mixt. of E/Z isomers and 5c (II, R1 = Me, R2 = Me, R3 = H, X = Y = CH) purely in E form, resp. The stereostructure of products was proved by IR, 1- and 2-dimensional NMR methods and for 4b,j by x-ray anal. (no data).

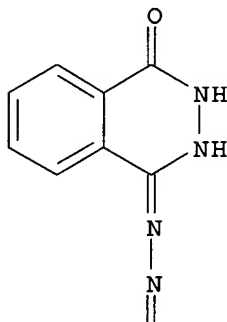
IT 216778-02-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective condensation reaction of formylferrocene with hydrazinopyridopyridazinones and -pyridopyridazinones)

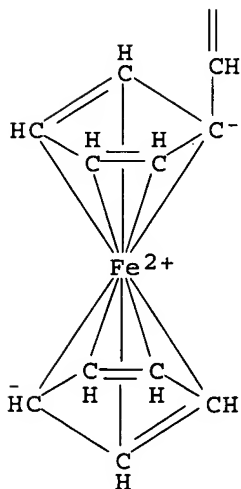
RN 216778-02-8 CAPLUS

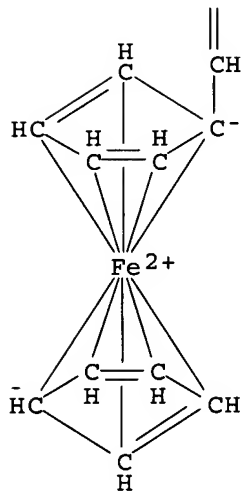
CN Ferrocene, [(E)-[(3,4-dihydro-4-oxo-1-phthalazinyl)hydrazono]methyl]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A





REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:928859 CAPLUS

DOCUMENT NUMBER: 124:117213

TITLE: Synthesis and some reactions of phthalein and phthalazine derivatives

AUTHOR(S): Yassin, F. A.; Abd-El-Motti, F. M.; El-Fararg, A. F.; Ahmed, G. A.; Kewan, I. A.

CORPORATE SOURCE: Faculty of Science, Zagazig University, Zagazig, Egypt

SOURCE: Bulletin of the National Research Centre (Egypt) (1995), 20(2), 153-61

CODEN: BNR CET

PUBLISHER: National Information and Documentation Centre

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB In continuation of our studies on biol. active phthalazine derivs., we report on the synthesis and some reactions of phthalein, e.g. I (R1 = R2 = H; R1 = Me, R2 = H; R1 = H, R2 = Me, OH), and phthalazine derivs., e.g. II, III (R3 = H, Me, Ph, 2-HOC6H4, 4-ClC6H4, 4-O2NC6H4) and IV (X = O, S).

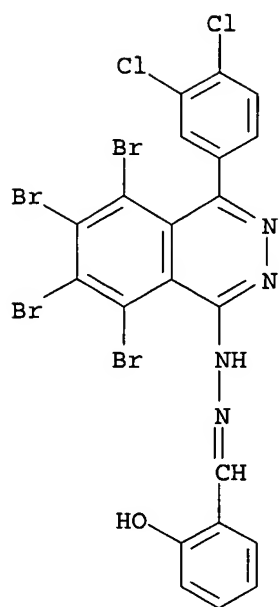
IT 173020-32-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

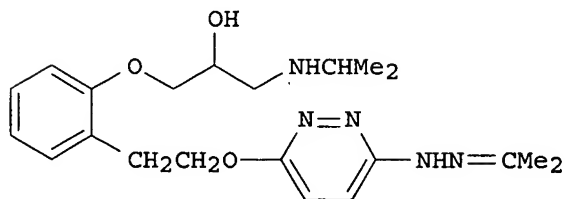
(synthesis and some reactions of phthalein and phthalazine derivs.)

RN 173020-32-1 CAPLUS

CN Benzaldehyde, 2-hydroxy-, [5,6,7,8-tetrabromo-4-(3,4-dichlorophenyl)-1-phthalazinyl]hydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:296273 CAPLUS
DOCUMENT NUMBER: 122:133100
TITLE: Studies on agents with vasodilator and .beta.-blocking
activities. I
AUTHOR(S): Seki, Toshimi; Takezaki, Takayuki; Ohuchi, Rikio;
Ohuyabu, Hiroshi; Ishimori, Tsutomu; Yasuda, Kikuo
CORPORATE SOURCE: Res. Div., Teikoku Hormone Manuf. Co., Ltd., Kanagawa,
213, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(8), .
1609-16
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of hydrazinopyridazine derivs. combined with a .beta.-blocking side chain were synthesized. Some of them exhibited both hypotensive and .beta.-blocking activities when given i.v. to anesthetized rats. Their structure-activity relationships for hypotensive and .beta.-blocking activities are discussed. Compd. I had the best profile and was selected for further study.

IT 160951-46-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

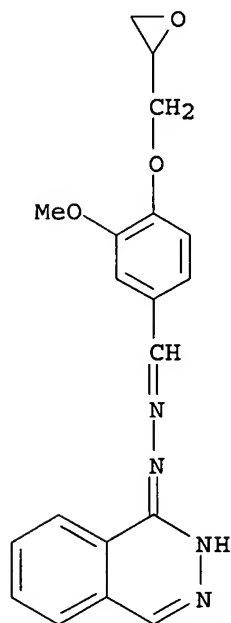
09/288,556

(Reactant or reagent)

(prepn. of hydrazinopyridazines and their hypotensive and
.beta.-blocking activities)

RN 160951-46-2 CAPLUS

CN Benzaldehyde, 3-methoxy-4-(oxiranylmethoxy)-, 1-phthalazinylhydrazone
(9CI) (CA INDEX NAME)



L10 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:508671 CAPLUS

DOCUMENT NUMBER: 121:108671

TITLE: Studies on hydralazines. Part IX: Preparation and antimicrobial activity of 1-(phthalazin-1'-yl-amino)-3-chloro-4-aryl-4H-(or 4-methyl)-2-azetidinone

AUTHOR(S): Shah, R.G.; Bhawsar, S.B.; Parikh, A.R.

CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India

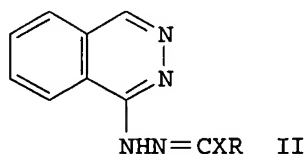
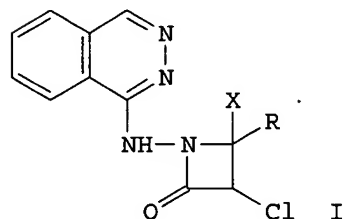
SOURCE: Journal of Sciences, Islamic Republic of Iran (1991), 2(3-4), 107-10

CODEN: JSIIEN; ISSN: 1016-1104

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The title compds. I [R = (un)substituted Ph, 1-naphthyl, 2-naphthyl, 2-thienyl] were prepd. by cycloaddn. of substituted

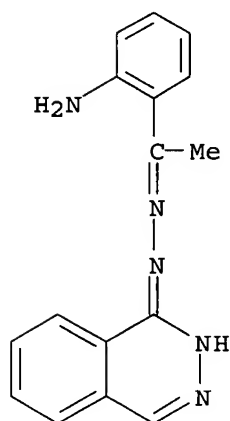
benzalhydrazinophthalazines (II) with chloroacetyl chloride. The hydrazones (II) were synthesized by the condensation of 1-hydrazinophthalazine with substituted arom. aldehydes and ketones. 1-Hydrazinophthalazine was prepd. from the phthalaldehydic acid. I and II were tested against different species of bacteria and fungi.

IT 156723-27-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, with chloroacetyl chloride)

RN 156723-27-2 CAPLUS

CN 1(2H)-Phthalazinone, [1-(2-aminophenyl)ethylidene]hydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:483241 CAPLUS

DOCUMENT NUMBER: 121:83241

TITLE: Hydralazines. Part IV: 1-(.alpha.-(p-Substituted phenylazo)-substituted benzal) hydrazinophthalazine

AUTHOR(S): Shah, Rajiv; Bhawsar, Sanjay; Parikh, A. R.

CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360005, India

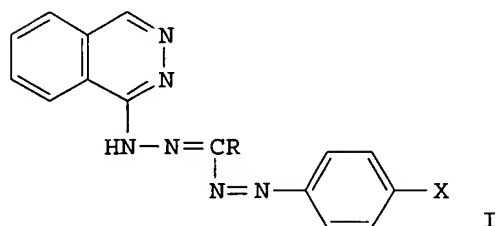
SOURCE: Journal of the Institution of Chemists (India) (1992), 64(1), 30-2

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The title compds. I (R = aryl; X = halo) were prepd. from Schiff base precursors and arene diazonium salts.

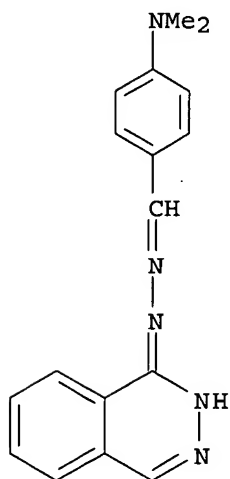
IT 97142-40-0P, Benzaldehyde, 4-(dimethylamino)-, 1-phthalazinylhydrazone 97142-41-1P, 3,4-Dimethoxybenzaldehyde,

09/288,556

1-phthalazinylhydrazone **136259-14-8P**, 1-Naphthalenecarboxaldehyde, 2-hydroxy-, 1-phthalazinylhydrazone **148438-44-2P**, Benzaldehyde, 3-methoxy-, 1-phthalazinylhydrazone
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (phenylazo)hydrazinophthalazine)

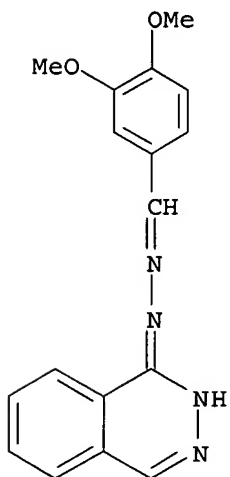
RN 97142-40-0 CAPLUS

CN Benzaldehyde, 4-(dimethylamino)-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



RN 97142-41-1 CAPLUS

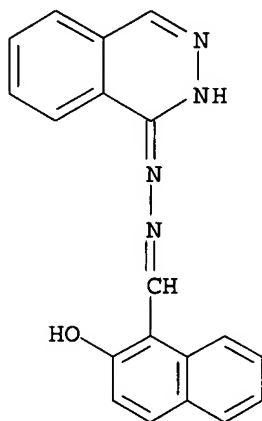
CN Benzaldehyde, 3,4-dimethoxy-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



RN 136259-14-8 CAPLUS

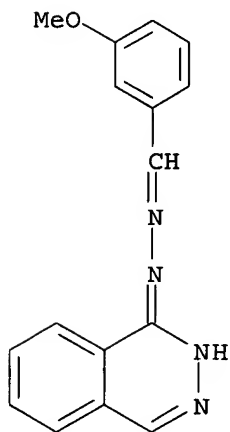
CN 1-Naphthalenecarboxaldehyde, 2-hydroxy-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)

09/288,556



RN 148438-44-2 CAPLUS

CN Benzaldehyde, 3-methoxy-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:560210 CAPLUS

DOCUMENT NUMBER: 119:160210

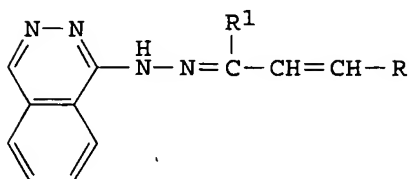
TITLE: Hydralazines. Part VI: 1-(.alpha.-Methyl/ethyl/phenyl-.alpha.-substituted styrylformal)hydrazinophthalazine
AUTHOR(S): Shah, Rajiv; Bhawsar, Sanjay; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: Journal of the Institution of Chemists (India) (1992), 64(3), 112-13

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



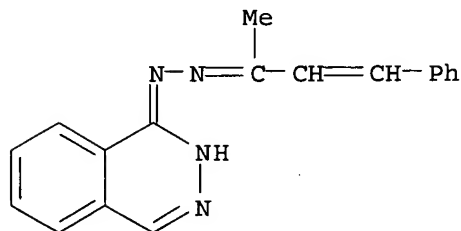
09/288,556

AB Condensation of 1-hydrazinophthalazine with .alpha.,.beta.-unsatd. ketones gave title compds., e.g. I (R = C₆H₄Cl-4, R₁ = Me). Antifungal activity of title compds. is reported.

IT **150238-73-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 150238-73-6 CAPLUS

CN 1(2H)-Phthalazinone, (1-methyl-3-phenyl-2-propenylidene)hydrazone (9CI)
(CA INDEX NAME)



L10 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:560168 CAPLUS

DOCUMENT NUMBER: 119:160168

TITLE: 4-Thiazolidinones. Part V: 1,4-Bis(2'-aryl-2'-methyl-5'-carboxymethyl-4'-thiazolidinon-3'-ylamino)phthalazine

AUTHOR(S): Upadhyay, P. S.; Joshi, H. D.; Baxi, G. A.; Baxi, A. J.

CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India

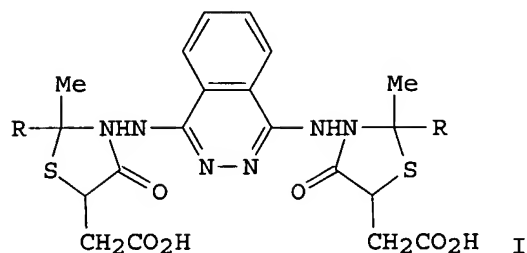
SOURCE: Journal of the Institution of Chemists (India) (1992), 64(3), 120-2

CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

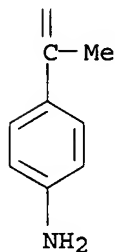
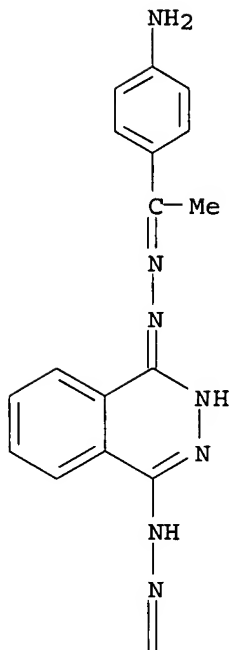


AB Title compds., e.g. I (R = C₆H₄NH₂-n, n =2-4, C₆H₄R₁-4, R₁ = Br, Cl, OH, OEt, NO₂), were prepd. and tested for their antibiotic activities.

IT **150006-89-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

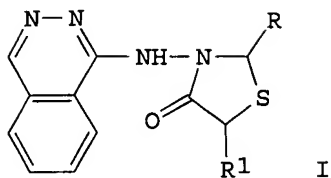
RN 150006-89-6 CAPLUS

CN 1,4-Phthalazinedione, 2,3-dihydro-, bis[[1-(4-aminophenyl)ethylidene]hydrazone] (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:449286 CAPLUS
DOCUMENT NUMBER: 119:49286
TITLE: Studies on hydralazines. Part II: 2-Aryl-5-
H/methyl/carboxymethyl-4-thiazolidinone-3-yl-
aminophthalazine
AUTHOR(S): Bhawsar, Sanjay B.; Shah, Rajiv G.; Parikh, A. R..
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: Journal of the Institution of Chemists (India) (1992),
64(2), 62-4
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:49286
GI

09/288,556



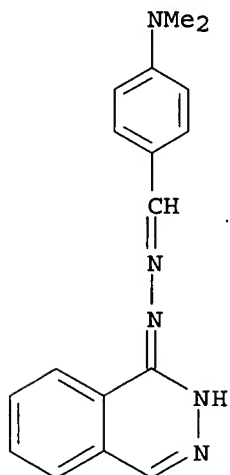
AB Condensation reaction of 1-hydrazinophthalazine with RCHO [R = (un)substituted Ph] followed by cyclocondensation with HSCHR1CO2H (R1 = H, Me, CH2CO2H) gave title compds. I. Bactericidal and fungicidal activities of I were screened.

IT 97142-40-0P 97142-41-1P 136259-14-8P
148438-44-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and bactericidal and fungicidal activity of)

RN 97142-40-0 CAPLUS

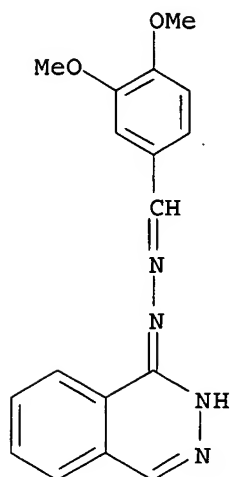
CN Benzaldehyde, 4-(dimethylamino)-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



RN 97142-41-1 CAPLUS

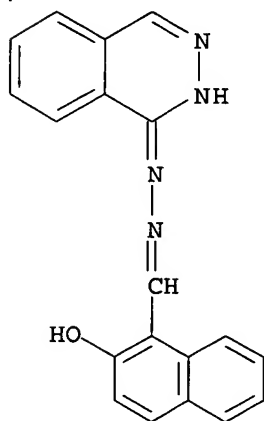
CN Benzaldehyde, 3,4-dimethoxy-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)

09/288,556



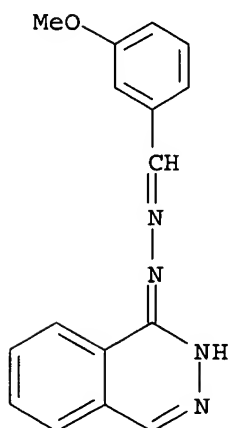
RN 136259-14-8 CAPLUS

CN 1-Naphthalenecarboxaldehyde, 2-hydroxy-, 1-phthalazinyldiazene (9CI)
(CA INDEX NAME)



RN 148438-44-2 CAPLUS

CN Benzaldehyde, 3-methoxy-, 1-phthalazinyldiazene (9CI) (CA INDEX NAME)



09/288,556

L10 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:142743 CAPLUS

DOCUMENT NUMBER: 116:142743

TITLE: Spectral studies of some new biologically active compounds

AUTHOR(S): Talwar, Santosh K.; Rastogi, V. K.; Saxena, R. C.

CORPORATE SOURCE: Cent. Indian Pharmacopoeia Lab., Ghaziabad, 201 002, India

SOURCE: Journal of the Indian Chemical Society (1991), 68(7), 402-3

CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

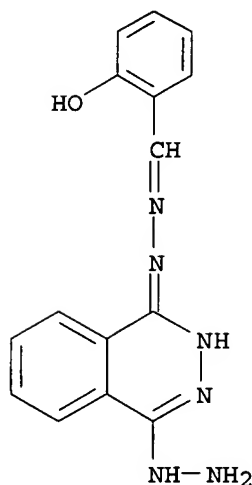
AB ML2.2H2O (M = Cu, Co, Ni; HL = salicylidene-1,4-dihydrazinophthalazine) and HL were prepd. The structures of ML2.2H2O were established on the basis of electronic, IR, and ¹H NMR spectral data. The microbiolog. activity of HL and ML2.2H2O was studied with E. coli and K. pneumonia.

IT 139592-45-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and complexation and antibacterial activity of)

RN 139592-45-3 CAPLUS

CN Benzaldehyde, 2-hydroxy-, (4-hydrazino-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:128443 CAPLUS

DOCUMENT NUMBER: 116:128443

TITLE: Potential chemotherapeutic agents - "synthesis of 1,4-bis[4'-aryl/alkyl-3'-chloro-2'-azetidinon-1'-ylamino]phthalazine"

AUTHOR(S): Upadhyay, P. S.; Joshi, H. D.; Baxi, A. J.

CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India

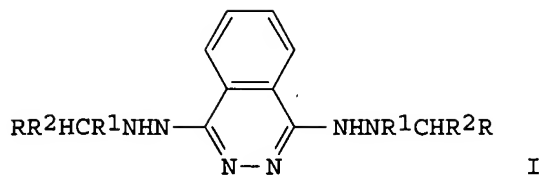
SOURCE: Indian Drugs (1991), 29(3), 114-16

CODEN: INDRBA; ISSN: 0019-462X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Phthalazines I (R = Pr, hexyl, Bu, 4-FC₆H₄, 2-thienyl, etc., R₁R₂ = bond) cyclized with ClCH₂COCl to give I (R₁R₂ = COCH₂Cl) (II). II were screened for antibacterial and antifungal activity.

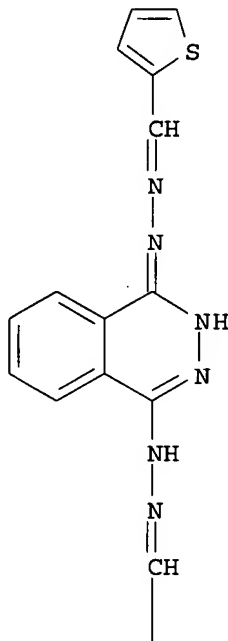
IT 104753-63-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with chloroacetyl chloride)

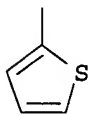
RN 104753-63-1 CAPLUS

CN 2-Thiophenecarboxaldehyde, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L10 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:548894 CAPLUS

DOCUMENT NUMBER: 115:148894

TITLE: Binuclear copper(II) complexes of some sexadentate

phthalazine-hydrazone ligands with strong
antiferromagnetic exchange

AUTHOR(S): Mandal, Sanat K.; Thompson, Laurence K.; Newlands,
Michael J.; Charland, Jean Pierre; Gabe, Eric J.

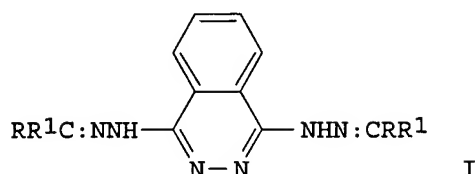
CORPORATE SOURCE: Dep. Chem., Mem. Univ. Newfoundland, St. John's, NF,
A1B 3X7, Can.

SOURCE: Inorganica Chimica Acta (1990), 178(2), 169-78
CODEN: ICHAA3; ISSN: 0020-1693

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB I (R = H, R1 = 2-pyridyl, 2-(6-methylpyridyl)) (PHPH2 and PHP6MeH2, resp.), [Cu2(PHP6MeH)(OH)](ClO4)2, [Cu2(APHPH2)(OH)](ClO4)3 (APHPH2 = I (R = Me, R1 = 2-pyridyl)), [Cu2(HL)(OH)(H2O)2](ClO4)2 (H2L = APAPH2, PHPH2), [Cu2(APHP)(OH)(H2O)2]ClO4, and [Cu2(APHPH)(pz)](ClO4)2 (Hpz = pyrazole) were prepd. The OH-bridged Cu(II) complexes, [Cu2(IMHP)(OH)(H2O)2](ClO4)3 (IMHP = I (R = H, R1 = 2-(1-imidazolyl)) and [Cu2(PHPH2)(OH)](ClO4)3 exhibit strong antiferromagnetic exchange (-2J = 885-1211 cm-1), which is propagated via a superexchange mechanism through the bridging diazine (N-N) and OH- groups. When the OH- bridge in [Cu2(APHPH)(OH)(H2O)2](ClO4)2.H2O (-2J = 988 cm-1) is replaced by a pyrazolato bridge, a dramatic decrease in exchange is obsd. (-2J = 521 cm-1) indicating the importance of hydroxide as a dominant superexchange bridge. The complexes are highly colored, exhibiting intense visible absorptions (16,400-16,700 cm-1) assocd. with charge transfer transitions. The crystal and mol. structure of [Cu2(APHPH)(OH)(H2O)2](ClO4)2.H2O is reported. It crystd. as monoclinic, space group P21/n, a 8.0110(20), b 24.100(5), c 15.6750(20) .ANG., .beta. 100.240(20).degree., Z = 4, R = 0.076, Rw = 0.038. This complex has a OH-bridged square-pyramidal structure with a Cu-Cu sepn. of 3.296(2) .ANG. and a Cu-O(H)-Cu angle of 117.8(3).degree..

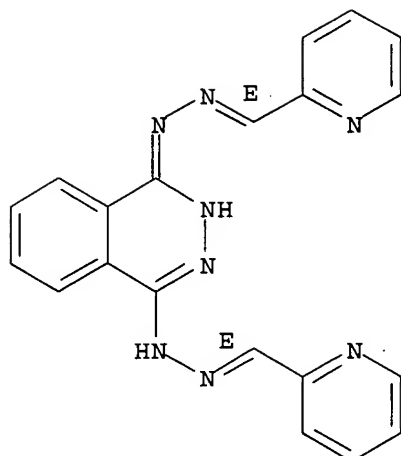
IT 61665-43-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

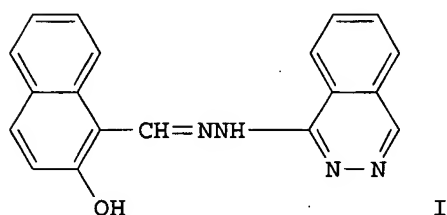
RN 61665-43-8 CAPLUS

CN 2-Pyridinecarboxaldehyde, 1,4-phthalazinediylldihydrazone, (E,E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.



L10 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:542435 CAPLUS
 DOCUMENT NUMBER: 115:142435
 TITLE: Spectrophotometric determination of hydralazine with
 2-hydroxy-1-naphthaldehyde in pharmaceuticals
 AUTHOR(S): Mari-Buigues, J.; Manes-Vinuesa, J.; Garcia-Domenech,
 R.; Pous-Miralles, G.
 CORPORATE SOURCE: Fac. Farm., Univ. Valencia, Valencia, 46010, Spain
 SOURCE: Journal of Pharmaceutical Sciences (1991), 80(7),
 690-2
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB A new extn.-spectrophotometric method for the detn. of hydralazine, based on its reaction with 2-hydroxy-1-naphthaldehyde at 25.degree., is described. The calibration curve was linear between 0.4 and 6 mg/mL of hydralazine. The molar absorptivity of the product (I) at 408 nm is 40,900 L.cntdot.mol-1.cntdot.cm-1. The method was applied to the anal. of hydralazine in pharmaceutical preps. contg. reserpine, hydrochlorothiazide, bendrofluorthiazine, propranolol, and other substances. The agreement with the USP XXI method was satisfactory for tablets and injections, but not for pellets.

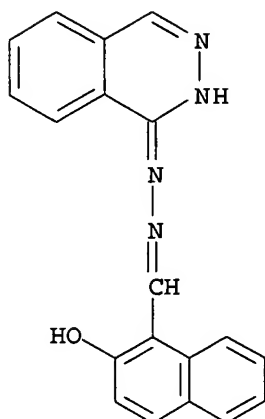
IT 136259-14-8

RL: FORM (Formation, nonpreparative)

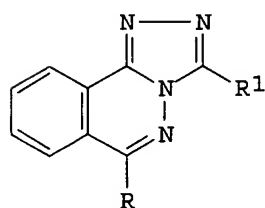
(formation of, in hydralazine detn. by spectrophotometry)

RN 136259-14-8 CAPLUS

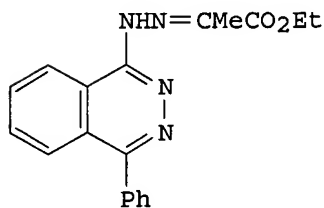
CN 1-Naphthalenecarboxaldehyde, 2-hydroxy-, 1-phthalazinylhydrazone (9CI)
 (CA INDEX NAME)



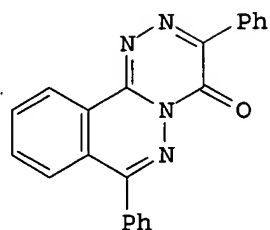
L10 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:429231 CAPLUS
 DOCUMENT NUMBER: 115:29231
 TITLE: Reactions of 4-aryl-1-hydrazinophthalazines with
 carbonyl compounds
 AUTHOR(S): Shaban, M. A. E.; Taha, M. A. M.; Nasr, A. Z.
 CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
 SOURCE: Pharmazie (1991), 46(2), 105-8
 CODEN: PHARAT; ISSN: 0031-7144
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



III



IV



V

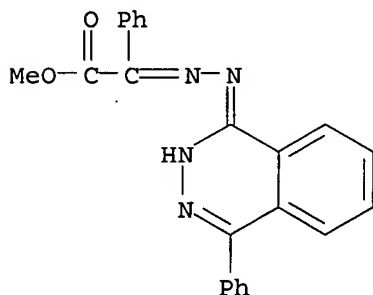
AB 1-Hydrazino-4-phenylphthalazine (I) and 1-hydrazino-4-benzylphthalazine (II) reacted with carbonyl compds., e.g. (CO₂H)₂, (CO₂Et)₂, PhC.tplbond.CCO₂Et, MeCOCO₂Et, to give various derivs. Thus, I and II reacted with (CO₂H)₂ to give triazolophthalazines III (R = Ph, CH₂Ph, R₁ = H). Phenylphthalazinylhydrazones IV, diphenyloxotriazinophthalazine V and III (R = Ph, R₁ = Me) were tested for insecticidal activity against Mexican beet beetles, pea aphid, Southern armyworm and the twospotted spider mite, but were inactive.
 IT 134513-63-6P

09/288,556

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and intramol. cyclocondensation of)

RN 134513-63-6 CAPLUS

CN Benzeneacetic acid, .alpha.-[(4-phenyl-1-phthalazinyl)hydrazono]-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:216872 CAPLUS

DOCUMENT NUMBER: 112:216872

TITLE: Benzodiazepine receptor ligands. Synthesis and preliminary pharmacological evaluation of some 3,7-disubstituted-4H-[1,2,4]triazino[3,4-a]phthalazines and 3,7-disubstituted-4H-[1,2,4]triazino[3,4-a]phthalazine-4-ones

AUTHOR(S): Occeilli, E.; Tarzia, G.; Barone, D.

CORPORATE SOURCE: Lepetit Res. Cent., Merrell - Dow Res. Inst., Gerenzano, Italy

SOURCE: Farmaco (1989), 44(1), 29-37

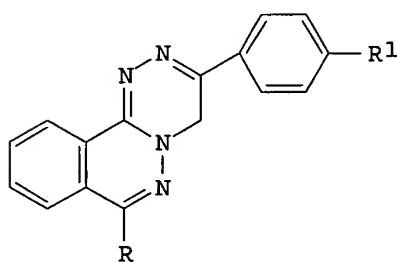
CODEN: FRMCE8; ISSN: 0014-827X

DOCUMENT TYPE: Journal

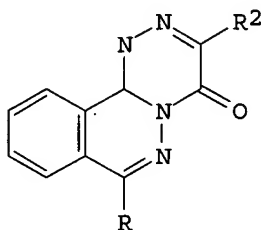
LANGUAGE: English

OTHER SOURCE(S): CASREACT 112:216872

GI



I



II

AB Disubstituted tirazino-phthalazines I [R = OEt, NMeCH₂CH(OH)Me, pyrrolidino; R₁ = H, OMe] and triazino-phthalazinones II (R same as above, R₂ = Me, 4-MeOC₆H₄) were synthesized and tested in vitro for inhibition of the 3H-diazepam specific binding to benzodiazepine receptors in membranes from synaptosomes of rat brain and in vivo for their effects on the conditioned behavior in rats. I (R = OEt, Pyrrolidino; R₁ = H) and II (R = NMeCH₂CH(OH)Me, R₂ = 4-C₆H₄OMe) showed weak activity.

IT 126650-34-8P

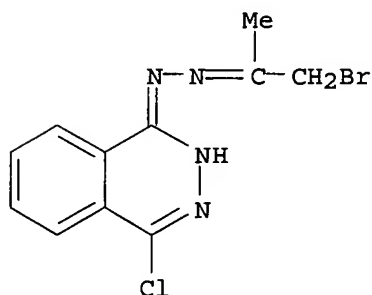
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

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(Reactant or reagent)
(prepn. and attempted cyclization of)

RN 126650-34-8 CAPLUS

CN 2-Propanone, 1-bromo-, (4-chloro-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:221655 CAPLUS

DOCUMENT NUMBER: 108:221655

TITLE: 6-(Alkylamino)-3-aryl-1,2,4-triazolo[3,4-
alphthalazines. A new class of benzodiazepine
receptor ligands

AUTHOR(S): Tarzia, Giorgio; Occelli, Emilio; Toja, Emilio;
Barone, Domenico; Corsico, Nerina; Gallico, Licia;
Luzzani, Franco

CORPORATE SOURCE: Dep. Chem., Lepetit Res. Lab., Milan, Italy

SOURCE: Journal of Medicinal Chemistry (1988), 31(6), 1115-23

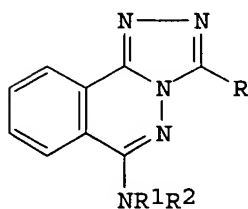
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

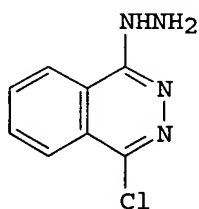
LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:221655

GI



I



II

AB The title phthalazines, e.g. I [R = Ph, 2-BrC₆H₄, 4-NCC₆H₄, 4-MeOC₆H₄, R₁ = H, R₂ = Me, Et, Me₂CH, PhCH₂; R₁ = R₂ = H, Me, MeOCH₂CH₂; R₁R₂ = (CH₂)₄], were prepd. by various routes from hydrazine II. Some I were shown to displace diazepam from rat brain specific binding sites, in vitro, with K_i (nM) values comparable to those of ref. benzodiazepines and to have anticonvulsant (pentylenetetrazole test, mice) and anticonflict activity (Vogel test, rat) in vivo. Sepn. between the doses causing anticonflict effects (Vogel test, rat) and those impairing motor coordination (rotarod test, rat) was shown for N,N-bis(2-methoxyethyl)-3-(4-methoxyphenyl)-1,2,4-triazolo[3,4-a]phthalazin-6-amine I (R = 4-MeOC₆H₄, R₁ = R₂ = MeOCH₂CH₂) (III). III, unlike diazepam, was inactive in counteracting the strychnine (mouse) and maximal electroshock (mouse) induced convulsions and in the aggressive monkey model. These differences

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from the classical benzodiazepines in the animal tests indicate that III may have some selective anxiolytic activity.

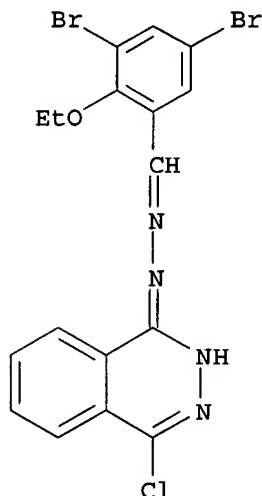
IT 87539-62-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, chlorotriazolophthalazine from)

RN 87539-62-6 CAPLUS

CN Benzaldehyde, 3,5-dibromo-2-ethoxy-, (4-chloro-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:458958 CAPLUS

DOCUMENT NUMBER: 107:58958

TITLE: Synthesis of 4-hydrazino-1-oxophthalazine derivatives with potential antihypertensive activity

AUTHOR(S): Brizzi, V.; Masi, S.; Corbini, G.

CORPORATE SOURCE: Dip. Farm. Chim. Tecnol., Univ. Siena, Siena, Italy

SOURCE: Bollettino Chimico Farmaceutico (1986), 125(5), 154-7

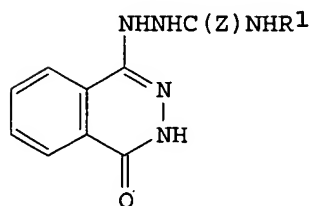
CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

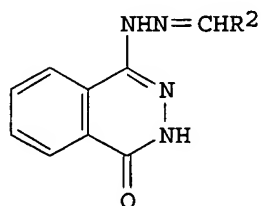
LANGUAGE: Italian

OTHER SOURCE(S): CASREACT 107:58958

GI



I



II

AB Title compds. I (Z = O, S; R₁ = H, Me, Ph, 4-FC₆H₄) and II (R₂ = aryl, heteroaryl) were prepd., and some of the compds. showed potential antihypertensive activity. 4-Hydrazinophthalazin-1(2H)-one was treated with MeNCO to give I (Z = O, R₁ = Me).

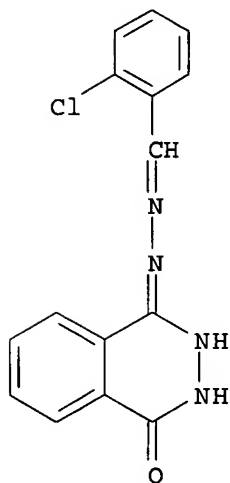
09/288,556

IT. 109030-63-9P 109030-67-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

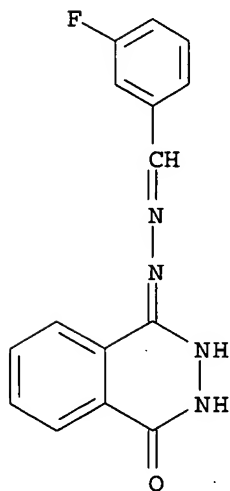
RN 109030-63-9 CAPLUS

CN Benzaldehyde, 2-chloro-, (3,4-dihydro-4-oxo-1-phthalazinyl)hydrazone (9CI)
(CA INDEX NAME)



RN 109030-67-3 CAPLUS

CN Benzaldehyde, 3-fluoro-, (3,4-dihydro-4-oxo-1-phthalazinyl)hydrazone (9CI)
(CA INDEX NAME)



L10 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1986:563875 CAPLUS

DOCUMENT NUMBER: 105:163875

TITLE: Thermal studies on some $ML(SO_4) \cdot nH_2O$ metal complexes

AUTHOR(S): Ghizdavu, Letitia; Barbu, Silvia; Marcu, G.

CORPORATE SOURCE: Rom.

SOURCE: Studia Universitatis Babes-Bolyai, Chemia (1985), 30,
73-7

CODEN: SUBCAB; ISSN: 0039-3401

09/288,556

DOCUMENT TYPE: Journal
LANGUAGE: Romanian

AB The thermal properties of $ML(SO_4) \cdot nH_2O$ ($L = 1:2$ condensation product of dihydrazine and thiophene-2-carbaldehyde; $M = Mn, Fe, Co, Ni, Cu, Cd$; $n = 2, 3$) were studied. The thermal stability and kinetic parameters, E and n , for the dehydration and for the main decompn. processes were estd. The results confirm the chem. structures suggested for these compds.

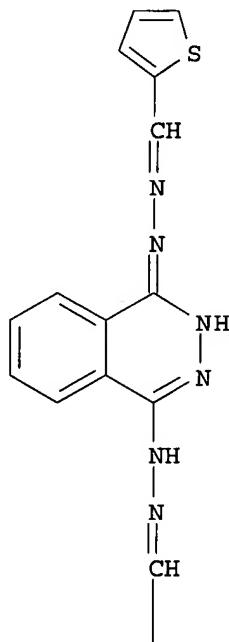
IT 104753-63-1DP, transition metal complexes

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and thermal decompn. of)

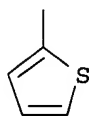
RN 104753-63-1 CAPLUS

CN 2-Thiophenecarboxaldehyde, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L10 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:427394 CAPLUS

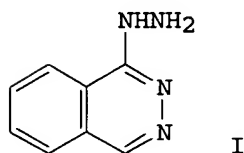
DOCUMENT NUMBER: 103:27394

TITLE: Properties of hydrazones of hydralazine and colorimetric determination of hydralazine hydrochloride with p-dimethylaminocinnamaldehyde based on solvent extraction

AUTHOR(S): Nakashima, Kenichiro; Shimada, Kahoru; Akiyama, Shuzo

09/288,556

CORPORATE SOURCE: Fac. Pharm. Sci., Nagasaki Univ., Nagasaki, 852, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1985), 33(4),
1515-21
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

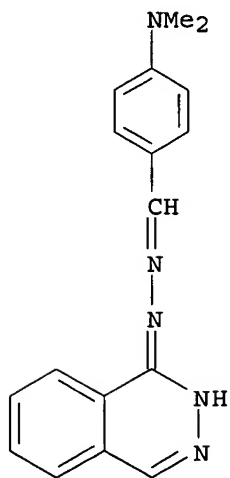


AB The hydrazones of hydralazine (I) [86-54-4] with various arom. aldehydes were prepd. and their spectral properties were examd. Colorimetric detn. of I with p-dimethylaminocinnamaldehyde [6203-18-5] based on solvent extn. (CHCl₃) was developed. The calibration curve obtained was linear up to 9.8 .mu.g/mL of I-HCl. The method was successfully applied for the anal. of com. pharmaceutical preps. (tablet and injection). The contents found were 106% (tablet) and 100% (injection) of the labeled values.

IT 97142-40-0P 97142-41-1P 97142-43-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

RN 97142-40-0 CAPLUS

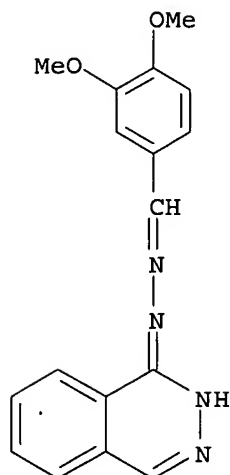
CN Benzaldehyde, 4-(dimethylamino)-, 1-phthalazinyldiazone (9CI) (CA INDEX NAME)



RN 97142-41-1 CAPLUS

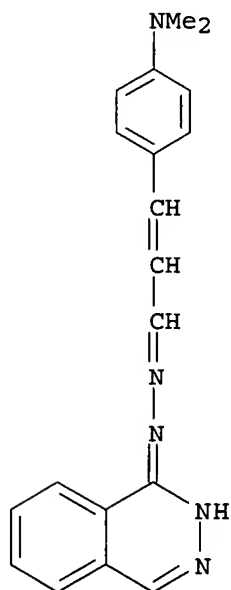
CN Benzaldehyde, 3,4-dimethoxy-, 1-phthalazinyldiazone (9CI) (CA INDEX NAME)

09/288,556



RN 97142-43-3 CAPLUS

CN 2-Propenal, 3-[4-(dimethylamino)phenyl]-, 1-phthalazinylhydrazone (9CI)
(CA INDEX NAME)



L10 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:594985 CAPLUS

DOCUMENT NUMBER: 99:194985

TITLE: Triazolophthalazines

PATENT ASSIGNEE(S): Gruppo Lepetit S.p.A., UK

SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

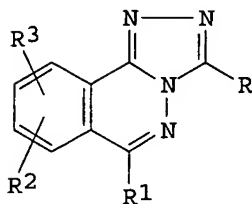
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 58124786	A2	19830725	JP 1983-5413	19830118
JP 04008428	B4	19920217		
FI 8300100	A	19830719	FI 1983-100	19830112
FI 81350	B	19900629		
FI 81350	C	19901010		
EP 85840	A1	19830817	EP 1983-100232	19830113
EP 85840	B1	19861001		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
ZA 8300224	A	19831026	ZA 1983-224	19830113
AT 22562	E	19861015	AT 1983-100232	19830113
CA 1214168	A1	19861118	CA 1983-419398	19830113
NO 8300110	A	19830719	NO 1983-110	19830114
NO 161674	B	19890605		
NO 161674	C	19890913		
HU 29044	O	19840130	HU 1983-141	19830117
HU 193033	B	19870828		
IL 67697	A1	19900209	IL 1983-67697	19830117
DK 8300179	A	19830719	DK 1983-179	19830118
DK 163145	B	19920127		
DK 163145	C	19920622		
AU 8310550	A1	19830728	AU 1983-10550	19830118
AU 564026	B2	19870730		
ES 531458	A1	19851101	ES 1984-531458	19840410
US 4788186	A	19881129	US 1987-112015	19871020

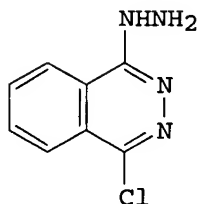
PRIORITY APPLN. INFO.:

GB 1982-1273 19820118
 EP 1983-100232 19830113
 US 1983-458003 19830114
 US 1987-18812 19870220

GI



I



II

AB Title compds. I [R = H, OH, alkyl, (un)substituted Ph, alkoxycarbonyl, Cl, SH, alkylthio, alkylsulfinyl, alkylsulfonyl, amino; R1 = halo, OH, alkylthio, alkylsulfinyl, alkylsulfonyl, Ph, amino; R2, R3 = H, halo, alkyl, alkoxy, NO2], useful as anxiolytics, were prepd. Thus, condensing phthalazine II with PhCHO followed by oxidative cyclization of the resulting hydrazone with Br gave 74% I (R = Ph, R1 = Cl, R2 = R3 = H). The affinity of I to diazepam receptor was given.

IT 87539-62-6P

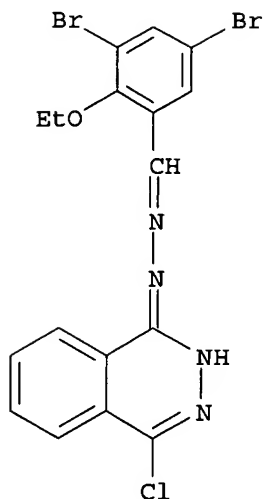
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and oxidative cyclization of, triazolophthalazine from)

RN 87539-62-6 CAPLUS

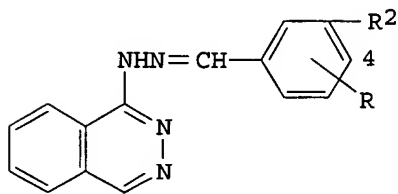
CN Benzaldehyde, 3,5-dibromo-2-ethoxy-, (4-chloro-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

09/288,556




L10 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:162723 CAPLUS
DOCUMENT NUMBER: 96:162723
TITLE: Substituted benzylidenehydrazinophthalazine derivatives
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56169676	A2	19811226	JP 1980-73736	19800603
JP 63009508	B4	19880229		
PRIORITY APPLN. INFO.: GI			JP 1980-73736	19800603



I, R=OCH₂CH(OH)CH₂NHR¹

II, R=OCH₂-

AB Fourteen title compds. (I, R₁ = H, alkyl, methoxyaralkyl; R₂ = H, Br, MeO) were prepd. Thus, refluxing 3 g II (R at C-4, R₂ = H) with 50 mL Me₂CHNH₂ in MeOH for 2 h gave 3.3 g I (R at C-4, R₁ = Me₂CH, R₂ = H). Data for .beta.-sympatholytic antihypertensive activity are given in dogs.

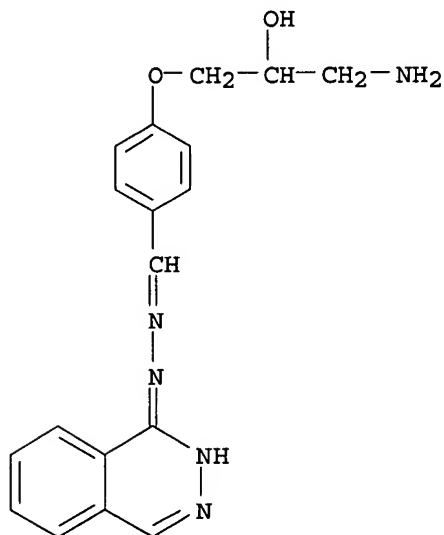
IT 81488-73-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antihypertensive activity of)

09/288,556

RN 81488-73-5 CAPLUS

CN Benzaldehyde, 4-(3-amino-2-hydroxypropoxy)-, 1-phthalazinyldiazone (9CI)
(CA INDEX NAME)



L10 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:569639 CAPLUS

DOCUMENT NUMBER: 95:169639

TITLE: Reactions of sugars with amidrazones and hydrazidines.
Part I. The synthesis of 3-(alditol-1-yl)-1,2,4-triazolo[3,4-a]phthalazines

AUTHOR(S): Shaban, Mohammed A. E.; Ali, Raafat S.; El-Badry, Sousan M.

CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt

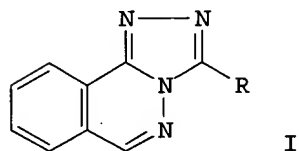
SOURCE: Carbohydrate Research (1981), 95(1), 51-60

CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Condensation of 1-hydrazinophthalazine (hydralazine) with D-lyxose, D-ribose, D-xylose, D-mannose, and L-rhamnose gave the corresponding aldehydo-sugar phthalazin-1-ylhydrazones. D-Arabinose and D-galactose, on the other hand, gave the corresponding (alditol-1-yl)triazolophthalazines (I, R = sugar residue) through the autodehydrogenative cyclization of the hydrazones. A rationale for this difference is discussed. Acetylation of the latter gave the poly-O-acetyl derivs. Catalytic, dehydrogenative cyclization with Pd/C, or acetylation, transforms the hydrazones into I or their acetates. The mass spectra of the synthesized compds. are discussed.

09/288,556

IT 79364-46-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

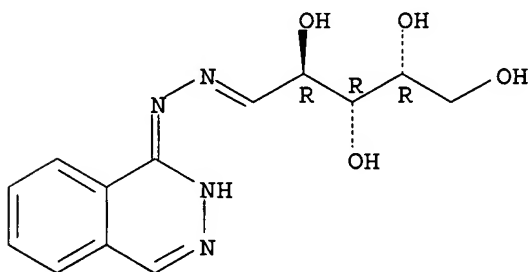
(prepn. and cyclization of, triazolophthalazine deriv. from)

RN 79364-46-8 CAPLUS

CN D-Lyxose, 1-phthalazinyldiazine (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



L10 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:546857 CAPLUS

DOCUMENT NUMBER: 89:146857

TITLE: Hydrazones. LIX. Structure and certain properties of condensation products of phthalazone hydrazones with aldehydes and ketones

AUTHOR(S): Buzykin, B. I.; Bystrykh, N. N.; Stolyarov, A. P.; Kitaev, Yu. P.

CORPORATE SOURCE: Inst. Org. Fiz. Khim. im. Arbuzova, Kazan, USSR

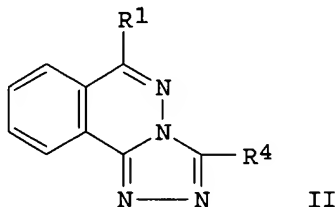
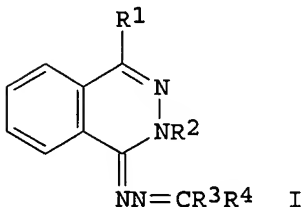
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1978), (5), 690-8

CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



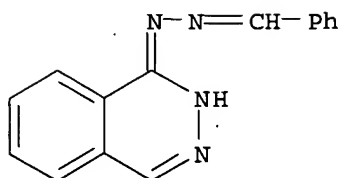
AB Phthalazone azines I ($R_1 = \text{Cl}, \text{H}$; $R_2 = \text{H}, \text{Me}$; $R_3 = \text{H}, \text{Me}$; $R_4 = \text{H}, \text{Me}, \text{Ph}, p\text{-O}_2\text{NC}_6\text{H}_4, o\text{-O}_2\text{NC}_6\text{H}_4$) were prepd. in 40-95% yields by condensation of the corresponding phthalazone hydrazone with $R_3R_4\text{CO}$. Triazolophthalazines II ($R_1 = \text{Cl}$, $R_4 = \text{H}, \text{Me}, \text{Ph}, 4\text{-O}_2\text{NC}_6\text{H}_4$; $R_1 = \text{OH}$, $R_4 = \text{Ph}$) were obtained by cyclization of the appropriate phthalazone azine.

IT 67734-45-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

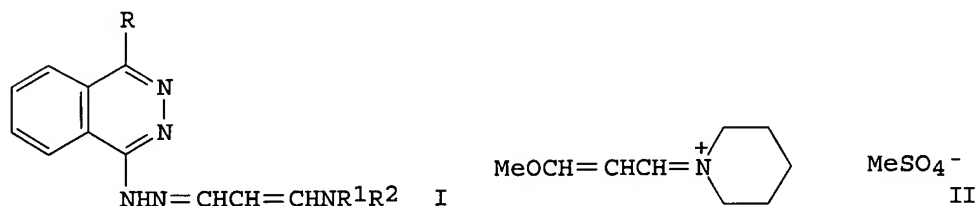
RN 67734-45-6 CAPLUS

CN Benzaldehyde, 1-phthalazinyldiazine, (?E)- (9CI) (CA INDEX NAME)



L10 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:50905 CAPLUS
 DOCUMENT NUMBER: 88:50905
 TITLE: Vinylogous amidrazones
 INVENTOR(S): Amschler, Hermann; Schoetensack, Wolfgang
 PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed.
 Rep. Ger.
 SOURCE: Belg., 8 pp.
 CODEN: BEXXAL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 845963	A1	19770308	BE 1976-6045663	19760908
PRIORITY APPLN. INFO.: GI			LU 1975-73350	19750909



AB Phthalazinyldiazonopropenamines (57 compds.), including I (R = Cl, NR1R2 = morpholino, piperidino, 4-methylpiperazino; R = H, R1 = H, R2 = 1-phthalazinyldiamino) were prepd. Thus, 3-piperidinoacrolein was treated with Me2SO4 and quaternary piperidinium compd. II treated with 4-chloro-1-hydrazinophthalazine to give I (R = Cl, NR1R2 = piperidino). At 10 .mu.mols/kg i.v. in anesthetized rats I (R = Cl, NR1R2 = piperidino) caused a 81 mm Hg decrease in blood pressure.

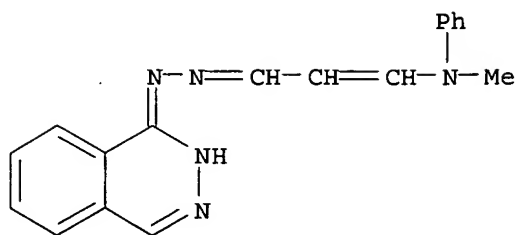
IT 63271-25-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and neutralization of)

RN 63271-25-0 CAPLUS

CN 2-Propenal, 3-(methylphenylamino)-, 1-phthalazinyldiazone,
 monohydrochloride (9CI) (CA INDEX NAME)

09/288,556



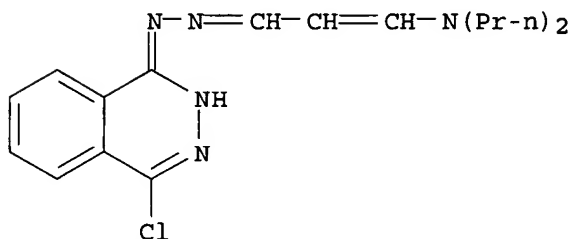
● HCl

IT 63270-90-6P 63271-34-1P 63451-81-0P
65228-00-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63270-90-6 CAPLUS

CN 2-Propenal, 3-(dipropylamino)-, (4-chloro-1-phthalazinyl)hydrazone (9CI)
(CA INDEX NAME)



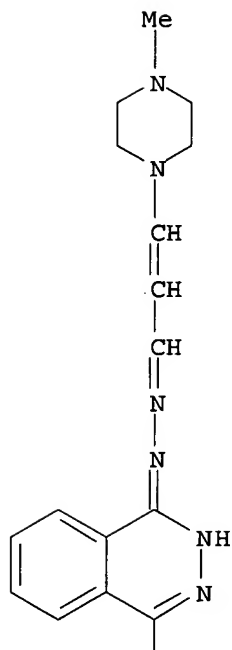
RN 63271-34-1 CAPLUS

CN 2-Propenal, 3-(4-methyl-1-piperazinyl)-, (4-chloro-1-phthalazinyl)hydrazone, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 63271-33-0

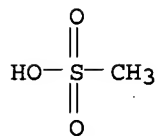
CMF C16 H19 Cl N6



CM 2

CRN 75-75-2

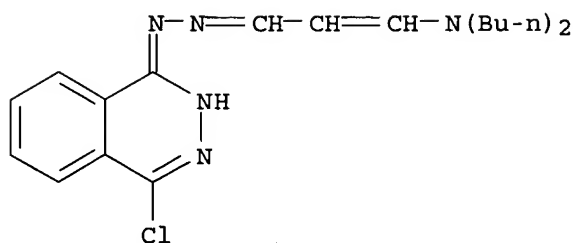
CMF C H4 O3 S



RN 63451-81-0 CAPLUS

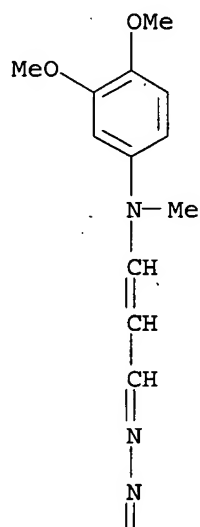
CN 2-Propenal, 3-(dibutylamino)-, (4-chloro-1-phthalazinyl)hydrazone (9CI)
(CA INDEX NAME)

09/288,556

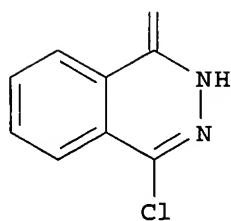


RN 65228-00-4 CAPLUS
CN 2-Propenal, 3-[(3,4-dimethoxyphenyl)methylamino]-, (4-chloro-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



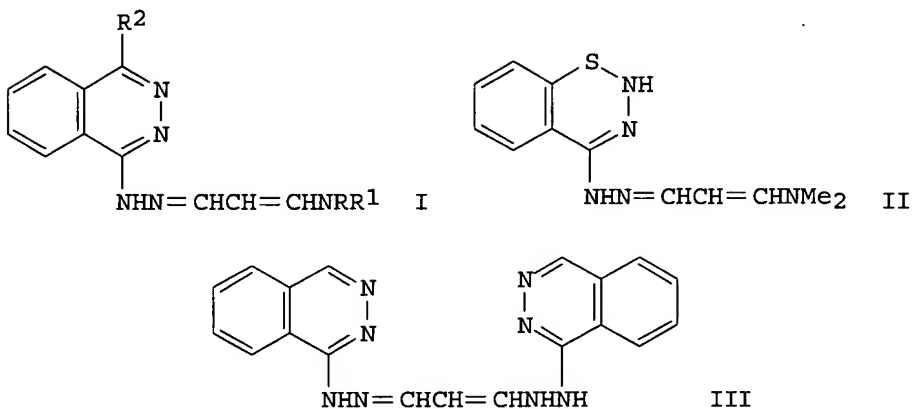
L10 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:485030 CAPLUS
DOCUMENT NUMBER: 87:85030
TITLE: Vinylogous amidrazones

09/288,556

INVENTOR(S): Amschler, Hermann; Schoetensack, Wolfgang
PATENT ASSIGNEE(S): Byk-Gulden Lomberg Chemische Fabrik G.m.b.H., Fed.
Rep. Ger.
SOURCE: Ger. Offen., 94 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2640186	A1	19770324	DE 1976-2640186	19760907
DK 7604055	A	19770310	DK 1976-4055	19760908
NL 7609941	A	19770311	NL 1976-9941	19760908
FR 2323381	A1	19770408	FR 1976-27217	19760909
JP 52062282	A2	19770523	JP 1976-108400	19760909
PRIORITY APPLN. INFO.:			LU 1975-73350	19750909
			LU 1976-75301	19760705

GI



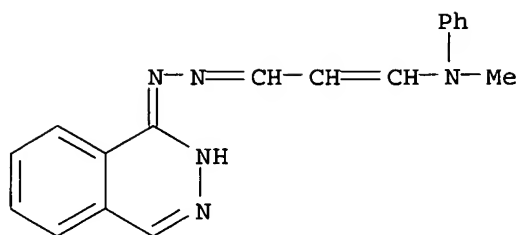
AB Hydrazones I (NRR1 = substituted amino, R2 = Cl, H, Ph, Me) and some related compds., including II and III, were prepd. for use as antihypertensives and complexing agents (no data). Thus, Me₂NCH:CHCHO was treated with Me₂SO₄, the resulting Me₂N⁺:CHCH:CHOMe MeSO₄⁻ treated with 4-chloro-1-hydrazinophthalazine, followed by neutralization of the methyl sulfate to give I (R = R1 = Me, R2 = Cl).

IT **63271-25-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and neutralization of)

RN 63271-25-0 CAPLUS

CN 2-Propenal, 3-(methylphenylamino)-, 1-phthalazinylhydrazone, monohydrochloride (9CI) (CA INDEX NAME)

09/288,556



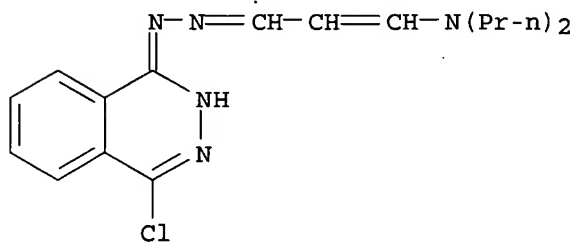
● HCl

IT 63270-90-6P 63271-34-1P 63271-73-8P
63451-81-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63270-90-6 CAPLUS

CN 2-Propenal, 3-(dipropylamino)-, (4-chloro-1-phthalazinyl)hydrazone (9CI)
(CA INDEX NAME)



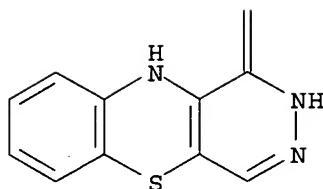
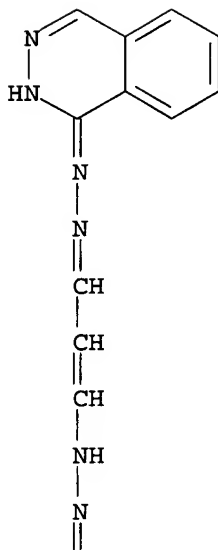
RN 63271-34-1 CAPLUS

CN 2-Propenal, 3-(4-methyl-1-piperazinyl)-, (4-chloro-1-phthalazinyl)hydrazone, dimethanesulfonate (9CI) (CA INDEX NAME)

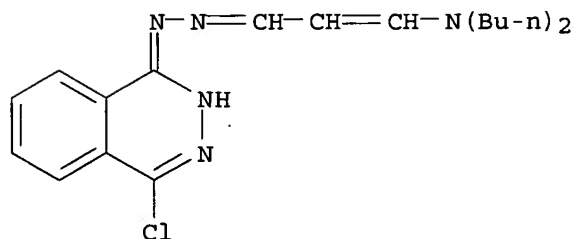
CM 1

CRN 63271-33-0

CMF C16 H19 Cl N6



RN 63451-81-0 CAPLUS
 CN 2-Propenal, 3-(dibutylamino)-, (4-chloro-1-phthalazinyl)hydrazone (9CI)
 (CA INDEX NAME)



L10 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:405504 CAPLUS
 DOCUMENT NUMBER: 77:5504
 TITLE: Hypotensive 1-(alkenylidenehydrazino)phthalazines
 INVENTOR(S): Ueno, Katsujiro; Miyazaki, Seiichi; Akashi, Akira

09/288,556

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd.
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2145359	A	19720316	DE 1971-2145359	19710910
DE 2145359	B2	19760624		
DE 2145359	C3	19770210		
US 3840539	A	19741008	US 1971-177488	19710902
ZA 7106009	A	19720531	ZA 1971-6009	19710908
ES 395391	A1	19731216	ES 1971-395391	19710909
BE 772514	A1	19720117	BE 1971-108085	19710913
CH 537389	A	19730713	CH 1971-13365	19710913
SE 392900	B	19770425	SE 1971-11606	19710913
NL 7112603	A	19720316	NL 1971-12603	19710914
FR 2106516	A5	19720505	FR 1971-33097	19710914
FR 2106516	B1	19741018		
GB 1342760	A	19740103	GB 1971-42860	19710914
CA 968799	A1	19750603	CA 1971-122746	19710914
			JP 1970-80659	19700914

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

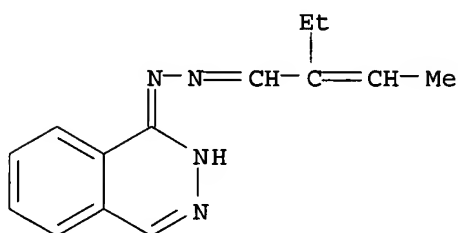
AB Seven title compds. (I; R = H or Me; R1, R2 = H, Me, or Et) were prepd. from II and RCOCR1:CHR2. I (R = H, R1 = R2 = Me) (III) had LD50 3 and 2.5 mg/kg orally in mice and rats, resp., and was used as a hypotensive drug. Thus, 8.0 g II and 6.3 g MeCH:CMCHO in MeOH was refluxed 3 hr to give 7.9 g III.

IT **36908-00-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 36908-00-6 CAPLUS

CN 2-Butenal, 2-ethyl-, 1-phthalazinyldihydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:109766 CAPLUS

DOCUMENT NUMBER: 72:109766

TITLE: 1,4-Phthalazinediylldihydrazones as potential
chemotherapeutic agents

AUTHOR(S): Prescott, Benjamin; Lones, George W.; Caldes, George
CORPORATE SOURCE: Nat. Inst. of Allergy and Infec. Dis., Bethesda, MD,
USA

SOURCE: Antimicrobial Agents and Chemotherapy (1961-70)
(1970), Volume Date 1969 262-7

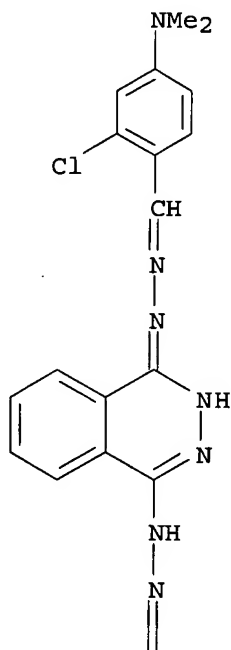
CODEN: AACHAX; ISSN: 0074-9923

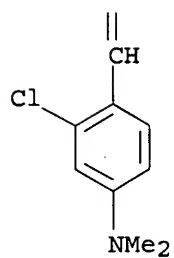
DOCUMENT TYPE: Journal

LANGUAGE: English

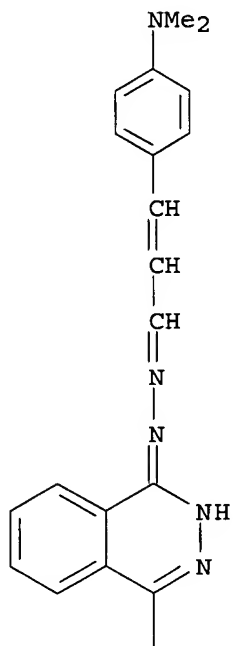
- AB Sixty-three hydrazone derivs. of 1,4-di-hydrazinophthalazine were synthesized for study as potential antibacterial, antifungal, and antitumor agents. These compds. were tested for in vitro inhibitory activity against *Staphylococcus aureus* FD209, *Histoplasma capsulatum*, and *Cryptococcus neoformans*. Salicylaldehyde, 3-methoxybenzaldehyde and cinnamaldehyde 1,4-phthalazinediylldihydrazones were active against *S. aureus* in concns. as low as 5 .mu.g/ml, and 26 compds. suppressed the growth of *H. capsulatum*. Salicylaldehyde, hydrocinnamaldehyde, and 5-chlorosalicylaldehyde 1,4-phthalazinediylldihydrazones exhibited significant antifungal activity, being inhibitory at 20 .mu.g/ml against *H. capsulatum*. Of the 26 compounds which exhibited activity, 12 demonstrated some activity against *C. neoformans* in a concn. of 500 .mu.g/ml. The compds. were also studied for antitumor activity against Walker 256 (intramuscular) carcinosarcoma and leukemia. Twelve derivs. showed inhibition against the carcinosarcoma, but only .beta.-resorcylaldehyde 1,4-phthalazinediylldihydrazone showed good inhibition against the mouse leukemia tumor system. The highest tolerated i.p. dose of the compds. in DBA mice was 2 g/kg, the compds. thus exhibiting a low toxicity.
- IT 27704-16-1 27704-17-2
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacology of)
- RN 27704-16-1 CAPLUS
- CN Benzaldehyde, 2-chloro-4-(dimethylamino)-, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)

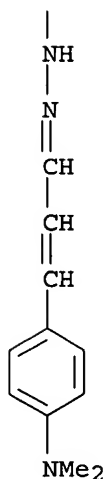
PAGE 1-A





RN 27704-17-2 CAPLUS
 CN Cinnamaldehyde, p-(dimethylamino)-, 1,4-phthalazinediylldihydrazone (8CI)
 (CA INDEX NAME)





L10 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1960:129081 CAPLUS

DOCUMENT NUMBER: 54:129081

ORIGINAL REFERENCE NO.: 54:24782i,24783a-d

TITLE: .gamma.-Pyrone. IV. Condensation of .gamma.-pyrones with cyclic malonamides

AUTHOR(S): Eiden, F.

CORPORATE SOURCE: Univ. Marburg, Germany

SOURCE: Arch. Pharm. (1960), 293, 404-14

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB cf. CA 54, 14237h. Et chelidonate (I) (1.2 g.), 0.6 g. barbituric acid (II), 10 ml. Ac₂O, and 5 ml. AcOH refluxed 1 hr. gave on cooling 1.2 g. 5-(2,6-dicarbethoxy-4-pyranylidene)barbituric acid, m. 295-300.degree. (decompn.) (AcOH), .lambda. 400-402 m.mu. (dioxane). Similarly were prepd.: 5-(2,6-dicarbethoxy-4-pyranylidene)-N-methylbarbituric acid, m. 243-5.degree., .lambda. 400-2 m.mu. (dioxane), from N-methylbarbituric acid (III) and I; 5-(2,6-dicarbethoxy-4-pyranylidene)-N,N'-diphenylbarbituric acid, decompd. above 300.degree., .lambda. 404 m.mu. (dioxane), from I and N,N'-diphenylbarbituric acid (IV); 5-(2,6-dimethyl-4-pyranylidene)barbituric acid, decompd. above 330.degree., .lambda. 380-1 m.mu. (dioxane), from 2,6-dimethylpyrone (V) and II or from 4-thio-2,6-dimethylpyrone (VI) and II; 5-(2,6-dimethyl-4-pyranylidene)-N-methylbarbituric acid, m. 280-5.degree., .lambda. 281-3 m.mu. (dioxane), from V and III; 5-(2,6-dimethyl-4-pyranylidene)-N,N'-diphenylbarbituric acid, decompd. above 310.degree., .lambda. 382-3 m.mu. (dioxane), from IV and V; 5-(2,6-diphenyl-4-pyranylidene)barbituric acid, .lambda. 417 m.mu. (AcOH), from II and 2,6-diphenylpyrone (VII); 5-(2,6-diphenyl-4-pyranylidene)-N-methylbarbituric acid, .lambda. 420 m.mu. (AcOH), from III and VII; 5-(2,6-distyryl-4-pyranylidene)barbituric acid, decompd. above 310.degree., .lambda. 437-8 m.mu., from II and 2,6-distyryl-.gamma.-pyrone; condensation product, C₁₇H₁₂N₂O₆, .lambda. 384-7 m.mu. (AcOH), from visnagin and II; condensation product, C₁₈H₁₄N₂O₇, .lambda. 385 m.mu. (AcOH), from khellin and II; 4-(2,6-dimethyl-4-pyranylidene)-1,2-diphenyl-3,5-dioxypyrazolidine, decompd. above 320.degree., .lambda. 380-1 m.mu. (AcOH), from V and 1,2-diphenyl-3,5-dioxypyrazolidine (VIII) or from VI and VIII; 4-(2,6-diphenyl-4-pyranylidene)-1,2-diphenyl-3,5-dioxypyrazolidine, m. 185-7.degree., .lambda. 410-12 m.mu. (dioxane), from VII and VIII; 2,6-dimethyl-4-pyranylidene malononitrile, m. 193-4.degree., .lambda. 343-5 m.mu. (MeOH), from V and malononitrile (IX), or from VI and IX; Et

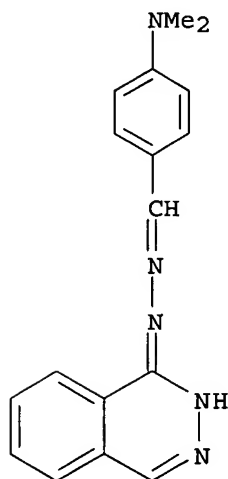
09/288,556

2,6-dimethyl-4-pyranylideneacyanoacetate, m. 191-2.degree., .lambda. 346-8 m.mu. (MeOH), from V and NCCH₂CO₂Et.

IT 97142-40-0, Benzaldehyde, p-dimethylamino-, 1-phthalazinylhydrazone (prepn. of)

RN 97142-40-0 CAPLUS

CN Benzaldehyde, 4-(dimethylamino)-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



L10 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1959:99875 CAPLUS

DOCUMENT NUMBER: 53:99875

ORIGINAL REFERENCE NO.: 53:18046g-i,18047a

TITLE: Blocking the NH₂ group in 1-hydrazinophthalazine with aromatic ketones and aldehydes

AUTHOR(S): Kesler, Ewa; Biniecki, Stanislaw

CORPORATE SOURCE: Inst. Lekow, Warsaw

SOURCE: Acta Polon. Pharm. (1959), 16, 93-101

DOCUMENT TYPE: Journal

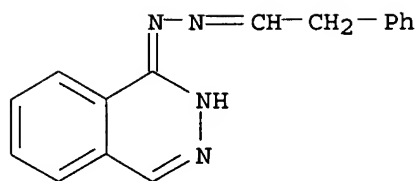
LANGUAGE: English

AB The following novel 1-phthalazinylhydrazones were prepd. by refluxing 1-hydrazinophthalazine in MeOH with an equimolar amt. of aromatic aldehyde or ketone, and tested for hypotensive activity by injecting intravenously in 80% AcNHMe to rabbits (carbonylic component, m.p. of the 1-phthalazinylhydrazone formed, yield, dosage applied in pharmacol. expts. in mg./kg., hypotensive effect on blood pressure in mm. Hg, and its duration in min. are given); .omicron.-hydroxyacetophenone, 203.5-205.degree., 47%, 1.5, 90, 60; .omicron.-hydroxyacetylacetophenone (the 1-oxo group reacted), 182.5-83.degree., 72%, 1.0, 50, 5; p-hydroxyacetophenone, 229-31.degree., 65%, 3.0, 40, 5-10; p-aminoacetophenone, 183.5-4.5.degree., 56%, 2.0, 50, 30; .omicron.-hydroxybenzaldehyde, 211.5-12.5.degree., 85%, 1.0, 50, 5; p-hydroxybenzaldehyde, 195-6.degree. (decompn.), 96%, 2.0, 50, 5-10; 3,4-methylenedioxybenzaldehyde, 236-8.degree. (decompn.), 87%, 1.5, 80, 10; phenylacetaldehyde, 165.5-6.5.degree., 17.5%, 3.0, 20, 15. Blocking the NH₂ group increased the hypotensive effect, but shortened its duration. Some of the compds. were also mild spasmolytics.

IT 131409-95-5, Acetaldehyde, phenyl-, 1-phthalazinylhydrazone (prepn. of)

RN 131409-95-5 CAPLUS

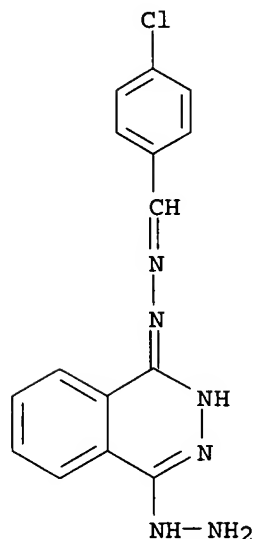
CN Acetaldehyde, phenyl-, 1-phthalazinylhydrazone (6CI) (CA INDEX NAME)



L10 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1959:29216 CAPLUS
 DOCUMENT NUMBER: 53:29216
 ORIGINAL REFERENCE NO.: 53:5298f-h
 TITLE: Hydrazine derivatives
 INVENTOR(S): Kunze, Wilhelm
 PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur Akt.-Ges.
 SOURCE: Addn. to Ger. 947,971 (C.A. 53, 2263c)
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 951993		19561108	DE	
GI	For diagram(s), see printed CA Issue.				
AB	A mixt. of 1-amino-3-iminoisoindolenine 14.5, HCONMe ₂ 50, 80% N ₂ H ₄ .H ₂ O 30 parts, and HCO ₂ H 4 parts was heated 3 hrs. at 90.degree. with stirring, the mixt. cooled, and the ppt. filtered off and dried to give putative I; p-chlorobenzaldehyde compd. m. 275.degree.. Similarly was prepd. 1-hydrazino-3,4-triazolo-5-azaphthalazine, m. 243.degree.. The compds. thus prepd. are useful as pharmacologically active agents.				
IT	109495-56-9, Benzaldehyde, p-chloro-, (4-hydrazino-1-phthalazinyl)hydrazone (prepn. of)				
RN	109495-56-9 CAPLUS				
CN	Benzaldehyde, p-chloro-, (4-hydrazino-1-phthalazinyl)hydrazone (6CI) (CA INDEX NAME)				



L10 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1959:29215 CAPLUS

DOCUMENT NUMBER: 53:29215

ORIGINAL REFERENCE NO.: 53:5298b-f

TITLE: Polycyclic compounds

INVENTOR(S): Slinger, Frank H.; Wilkinson, Donald G.; Howard, Harold T.

PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.

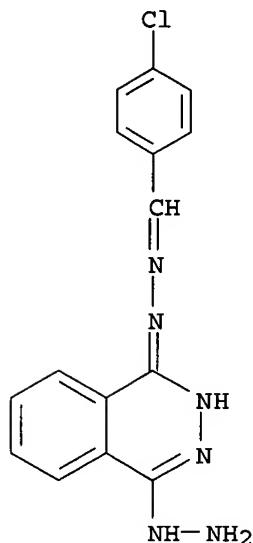
DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 799352		19580806	GB	
	DE 1088638			DE	
GI	For diagram(s), see printed CA Issue.				
AB	Compds. were prepd. having the structure (I), wherein X is Cl ₂ , Br ₂ , S, or NH, and A and B may be alkyl radicals or joined to form a ring. 1,8-Naphthalenediamine (II) 3 and 1-imino-3-thioisindoline 3 was added to .omicron.-C ₆ H ₄ Cl ₂ 13 parts and the mixt. stirred and heated 2 hrs. at 140-50.degree., cooled, dild. with C ₆ H ₆ and petr. ether, and filtered to give dark red-purple 12-thiophthaloperinone (I, X = S, A and B = CH:CHCH:CH), C ₁₈ H ₁₀ N ₂ S, m. 223-4.degree.. II 20 and 1-imino-3-aminoisindolenine 20 was stirred with PhNO ₂ 110 parts 3 hrs. at 125.degree. to give orange 12-iminophthaloperine, C ₁₈ H ₁₁ N ₃ , m. 300-5.degree., which dissolved in H ₂ SO ₄ , to form a reddish blue soln. 4,5,6,7-Tetrahydro-1-imino-3-aminoisindolenine 4 and II 4.3 stirred with PhNO ₂ 25 parts at 120.degree. 4 hrs. yielded I (X = NH, A and B = (CH ₂) ₄), C ₁₈ H ₁₅ N ₃ , m. 202-6.degree. (xylene). II and 5-phenyl-1-imino-3-isindolenine gave orange crystals, m. 230-40.degree., consisting of a mixt. of 9- and 10-phenyl-12-iminophthaloperines, C ₂₄ H ₁₅ N ₃ . II 30 and .alpha.,.beta.-diphenylfumaronitrile 40 was added gradually to a soln. of Na 0.42 in MeOH 80 parts and the mixt. stirred under reflux 5 hrs. giving 8,9-diphenyl-10-iminopyrrolo[1,2-a]perimidine, C ₂₆ H ₁₇ N ₃ , m. 244.degree. (C ₆ H ₆ and then PhMe). Also prepd. were chloro-12-iminophthaloperine, m. 245-50.degree. (.omicron.-C ₆ H ₄ Cl ₂) and nitro-12-iminophthaloperine, C ₁₈ H ₁₈ N ₄ O ₂ , m. 297-300.degree.. These compds. are useful dyes and pigments.				
IT	109495-56-9, Benzaldehyde, p-chloro-, (4-hydrazino-1-phthalazinyl)hydrazone (prepn. of)				
RN	109495-56-9 CAPLUS				
CN	Benzaldehyde, p-chloro-, (4-hydrazino-1-phthalazinyl)hydrazone (6CI) (CA INDEX NAME)				

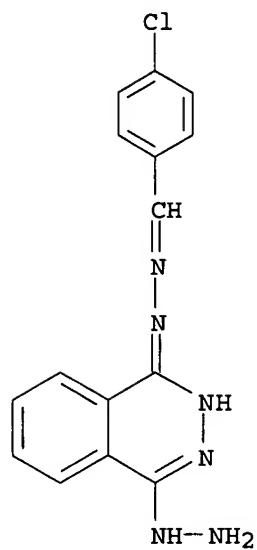


L10 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1959:11912 CAPLUS
 DOCUMENT NUMBER: 53:11912
 ORIGINAL REFERENCE NO.: 53:2263c-d
 TITLE: Hydrazine compounds
 INVENTOR(S): Kunze, Wilhelm
 PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur Akt.-Ges.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 947971		19560823	DE	
AB	Phthalic acid dinitrile (I) 128 was introduced into HCONMe ₂ 350 and 80% N ₂ H ₄ .H ₂ O 220 parts, the mixt. heated 1 hr. at 90.degree. with stirring until the evolution of NH ₃ had ceased, the mixt. dild. with H ₂ O, cooled, filtered, the filter cake washed with H ₂ O, and dried to give 1,4-dihydrazinophthalazine, decomp. about 295.degree.; p-chlorobenzaldehyde monohydrazone, m. 275.degree.. Similarly, I was replaced by naphthalene-2,3-dicarbonitrile and pyridine-2,3-dicarbonitrile to give the corresponding hydrazine compds., decomp. 315-20.degree., and m. 240-2.degree. (decompn.), resp.				
IT	109495-56-9, Benzaldehyde, p-chloro-, (4-hydrazino-1-phthalazinyl)hydrazone (prepn. of)				
RN	109495-56-9 CAPLUS				
CN	Benzaldehyde, p-chloro-, (4-hydrazino-1-phthalazinyl)hydrazone (6CI) (CA INDEX NAME)				

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L11 ANSWER 1 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:148466 CAPLUS

DOCUMENT NUMBER: 134:311144

TITLE: Studies on 4-thiazolidinones - synthesis and pharmacological activity of 1,4-bis[2'-methyl/ethyl/phenyl-2'-substituted styryl-5'-H/methyl/carboxymethyl-4'-thiazolidinon-3'-ylamino]phthalazines

AUTHOR(S): Joshi, H. D.; Upadhyay, P. S.; Baxi, A. J.

CORPORATE SOURCE: Department of Home Science, Saurashtra University, Rajkot, 360 005, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2000), 39B(12), 967-970

CODEN: IJSBDB; ISSN: 0376-4699

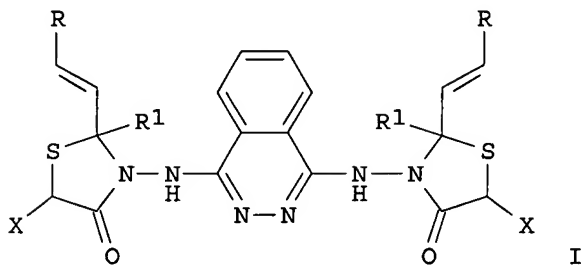
PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:311144

GI



AB The title compds. I (R = 4-ClC₆H₄, 2-furyl, 2-pentenyl, etc., R₁ = Me, Et, Ph, X = H, ME, CH₂CO₂H) were prepd. by reacting 1,4-bis(hydrazino)phthalazine with R₁COCH:CHR. The resulting condensation product was then cyclized with HSCHXCO₂H to give I. I were tested for antibacterial, antifungal, antihypertensive, anti-HIV, and antitumor activity.

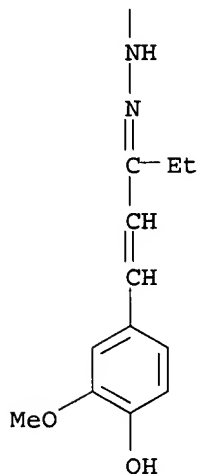
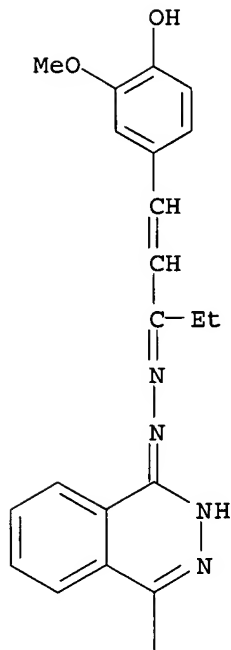
IT 335162-60-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., bactericidal, fungicidal, antihypertensive, anti-HIV, and antitumor activity of (thiazolidinonylamino)phthalazines)

RN 335162-60-2 CAPLUS

CN 1,4-Phthalazinedione, 2,3-dihydro-, bis[[1-ethyl-3-(4-hydroxy-3-methoxyphenyl)-2-propenylidene]hydrazone] (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:58286 CAPLUS

DOCUMENT NUMBER: 122:161234

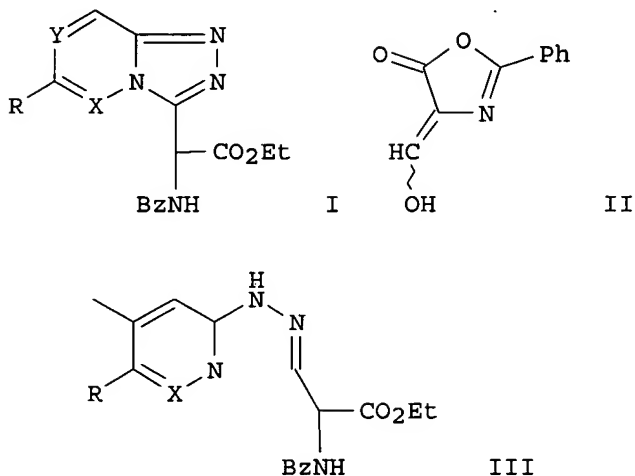
TITLE: A novel approach to heterocyclic amino acid derivatives. Synthesis of some racemic ethyl N-benzoyl-.alpha.-heteroarylglycinates containing fused 1,2,4-triazole systems

AUTHOR(S): Cucek, K.; Vercek, B.

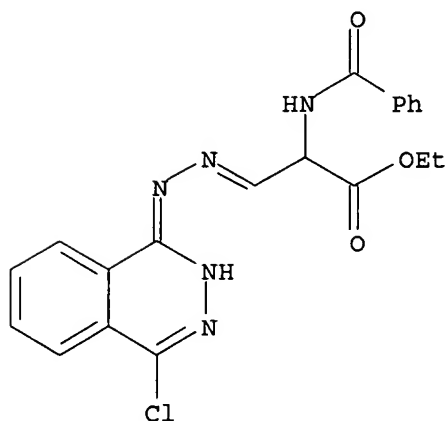
CORPORATE SOURCE: Dep. Chem., Univ. Ljubljana, Ljubljana, SLO-61000,

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Slovenia
SOURCE: Synlett (1994), (8), 667-8
CODEN: SYNLES; ISSN: 0936-5214
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:161234
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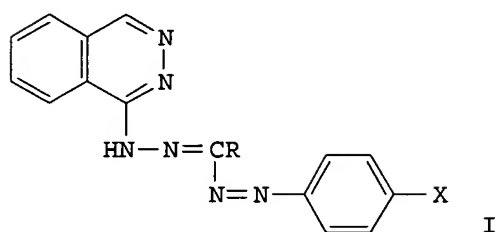


- AB A simple two-step method for the prepn. of racemic .alpha.-heteroaryl substituted glycinate contg. fused 1,2,4-triazole systems, e.g. I (X = Y = CH, R = H; X = N, Y = CH, R = H, Cl; X = CCl, Y = N, R = Cl), starting from 4-hydroxymethylene-2-phenyl-5(4H)-oxazolone II or Et formylhippurate and the corresponding heteroarylhydrazines via Et 2-benzoylamino-3-(heteroarylhydrazono)propionates, e.g. III, is reported.
- IT 161219-21-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of racemic Et N-benzoyl-.alpha.-heteroarylglycinates contg. fused 1,2,4-triazole systems)
- RN 161219-21-2 CAPLUS
- CN Alanine, N-benzoyl-3-[(4-chloro-1-phthalazinyl)hydrazono]-, ethyl ester (9CI) (CA INDEX NAME)

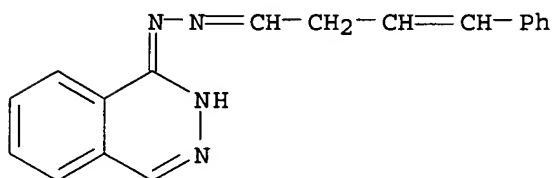


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L11 ANSWER 3 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:483241 CAPLUS
DOCUMENT NUMBER: 121:83241
TITLE: Hydralazines. Part IV: 1-(.alpha.-(p-Substituted phenylazo)-substituted benzal) hydrazinophthalazine
AUTHOR(S): Shah, Rajiv; Bhawsar, Sanjay; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360005, India
SOURCE: Journal of the Institution of Chemists (India) (1992), 64(1), 30-2
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

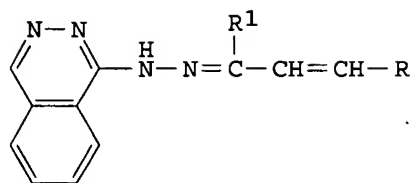


AB The title compds. I (R = aryl; X = halo) were prepd. from Schiff base precursors and arene diazonium salts.
IT **156073-30-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (phenylazo)hydrazinophthalazine)
RN 156073-30-2 CAPLUS
CN 3-Butenal, 4-phenyl-, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:560210 CAPLUS
DOCUMENT NUMBER: 119:160210
TITLE: Hydralazines. Part VI: 1-(.alpha.-Methyl/ethyl/phenyl-.alpha.-substituted styrylformal)hydrazinophthalazine
AUTHOR(S): Shah, Rajiv; Bhawsar, Sanjay; Parikh, A. R.
CORPORATE SOURCE: Chem. Dep., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: Journal of the Institution of Chemists (India) (1992), 64(3), 112-13
CODEN: JOICA7; ISSN: 0020-3254
DOCUMENT TYPE: Journal
LANGUAGE: English
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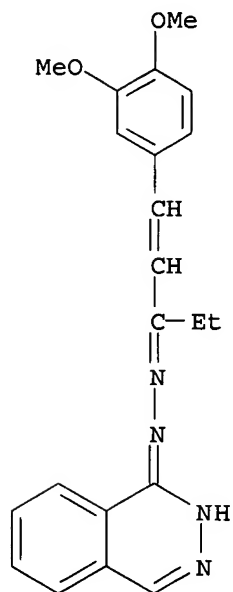
AB Condensation of 1-hydrazinophthalazine with .alpha.,.beta.-unsatd. ketones gave title compds., e.g. I (R = C₆H₄Cl-4, R₁ = Me). Antifungal activity of title compds. is reported.

IT 150238-72-5P 150238-74-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

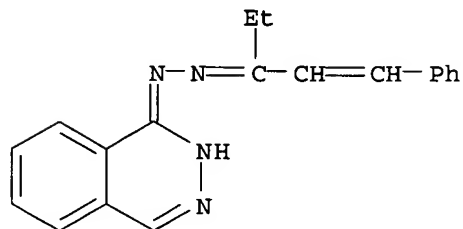
RN 150238-72-5 CAPLUS

CN 1(2H)-Phthalazinone, [3-(3,4-dimethoxyphenyl)-1-ethyl-2-propenylidene]hydrazone (9CI) (CA INDEX NAME)



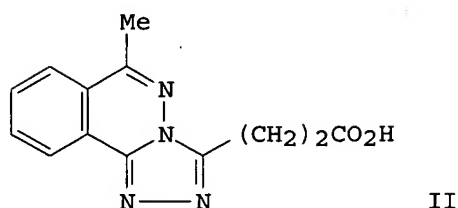
RN 150238-74-7 CAPLUS

CN 1(2H)-Phthalazinone, (1-ethyl-3-phenyl-2-propenylidene)hydrazone (9CI)
(CA INDEX NAME)

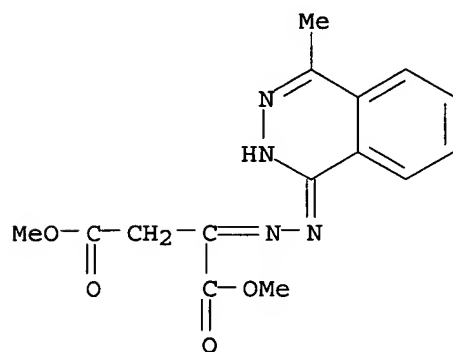


09/288,556

DOCUMENT NUMBER: 116:214444
TITLE: Synthesis of some novel phthalazine derivatives
AUTHOR(S): El-Feky, Said; Al-Ashmawi, Mohamed I.; Roeder, E.; Abd El-Fattah, B.
CORPORATE SOURCE: Fac. Pharm., Zagazig Univ., Egypt
SOURCE: Polish Journal of Chemistry (1991), 65(9-10), 1645-57
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



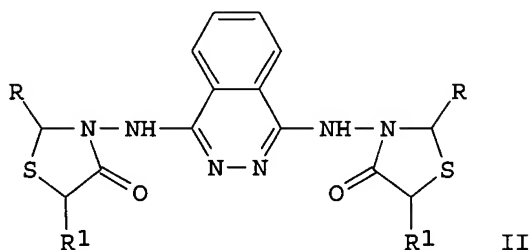
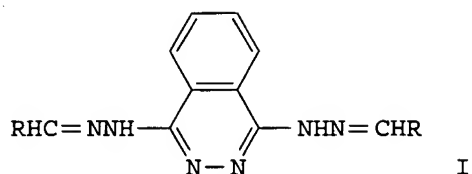
AB Condensation of 1-hydrazino-4-methylphthalazine (I) and of 1-chloro-4-methylphthalazine with various reagents to give 27 new phthalazine derivs. is reported. For example, reaction of I with succinic anhydride gave triazolo deriv. II.
IT **134478-21-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prep. and intramol. cyclization of)
RN 134478-21-0 CAPLUS
CN Butanedioic acid, [(4-methyl-1-phthalazinyl)hydrazono]-, dimethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 6 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:128839 CAPLUS
DOCUMENT NUMBER: 116:128839
TITLE: Studies on 4-thiazolidinones - part I: synthesis and antimicrobial activity of 2,4-bis(2'-aryl-5'-methyl/carboxymethyl-4'-thiazolidinon-3'-ylamino)phthalazines
AUTHOR(S): Joshi, H. D.; Upadhyay, P. S.; Baxi, A. J.
CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India

09/288,556

SOURCE: Asian Journal of Chemistry (1992), 4(1), 93-8
CODEN: AJCHEW; ISSN: 0970-7077
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



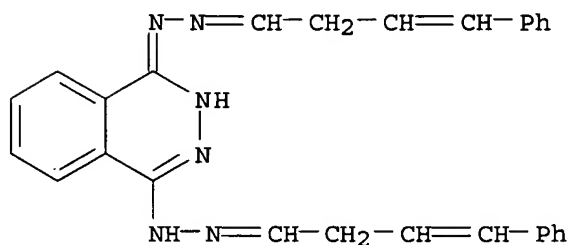
AB 1,4-Dihydrazinophthalazine reacted with RCHO (R = Ph, 2-ClC₆H₄, 3-H₂NC₆H₄, 4-MeOC₆H₄, PhCH:CH, etc.) to give bis(methylenehydrazino)phthalazines I which reacted with HO₂CCHR₁SH (R₁ = Me, CH₂CO₂H) to give bis(thiazolidinonylamino)phthalazines II. II were examd. for bactericidal and fungicidal activity.

IT 133847-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with thiomalic and thiolactic acids)

RN 133847-37-7 CAPLUS

CN 3-Butenal, 4-phenyl-, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 7 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:128443 CAPLUS

DOCUMENT NUMBER: 116:128443

TITLE: Potential chemotherapeutic agents - "synthesis of 1,4-bis[4'-aryl/alkyl-3'-chloro-2'-azetidinon-1'-ylamino]phthalazine"

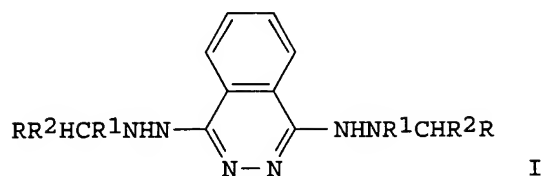
AUTHOR(S): Upadhyay, P. S.; Joshi, H. D.; Baxi, A. J.

CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India

SOURCE: Indian Drugs (1991), 29(3), 114-16
CODEN: INDRBA; ISSN: 0019-462X

09/288,556

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



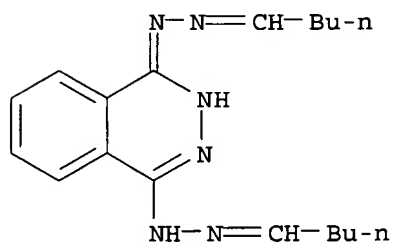
AB Phthalazines I (R = Pr, hexyl, Bu, 4-FC6H4, 2-thienyl, etc., R1R2 = bond) cyclized with ClCH2COCl to give I (R1R2 = COCH2Cl) (II). II were screened for antibacterial and antifungal activity.

IT 139081-10-0 139108-59-1 139108-60-4
139108-61-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with chloroacetyl chloride)

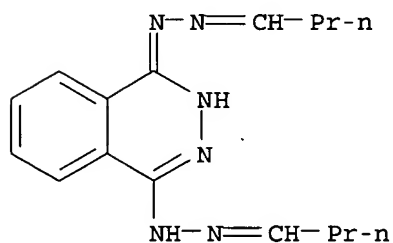
RN 139081-10-0 CAPLUS

CN Pentanal, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)



RN 139108-59-1 CAPLUS

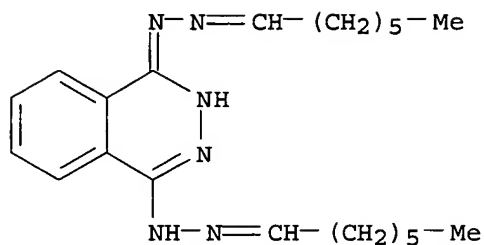
CN Butanal, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)



RN 139108-60-4 CAPLUS

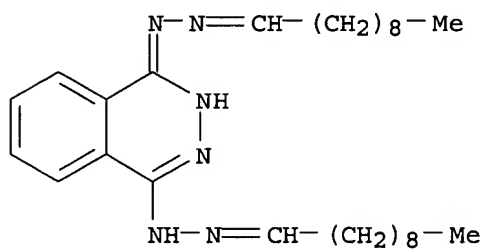
CN Heptanal, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)

09/288,556



RN 139108-61-5 CAPLUS

CN Decanal, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 8 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:106209 CAPLUS

DOCUMENT NUMBER: 116:106209

TITLE: Synthesis of some dihydrazone derivatives and their multiple pharmacological activity

AUTHOR(S): Joshi, H. D.; Upadhyay, P. S.; Baxi, A. J.; Parikh, A. R.

CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, India

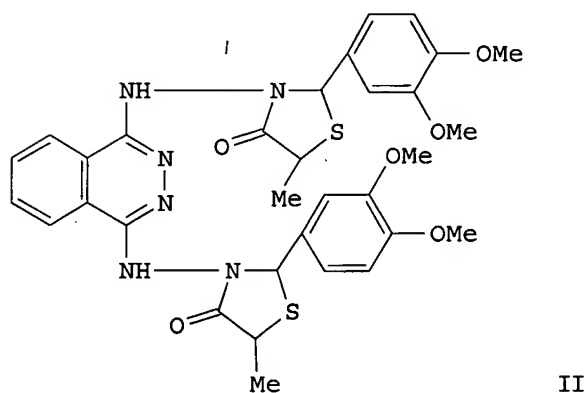
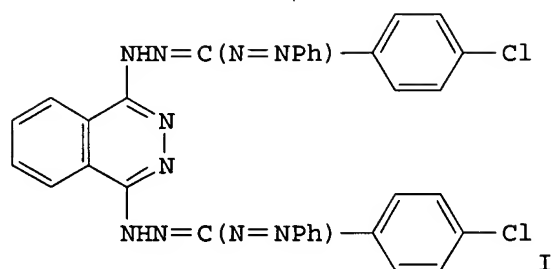
SOURCE: Indian Journal of Pharmaceutical Sciences (1991), 53(3), 78-81

CODEN: IJSIDW; ISSN: 0250-474X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



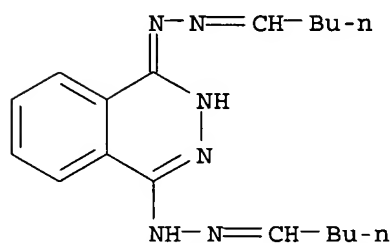
AB Formazans, 4-thiazolidinones, acetonitriles, and sulfonamides having 1,4-dihydralazine moiety, e.g. I and II, were synthesized. These compds. were screened for their antimicrobial and hypotensive activity.

IT 139081-10-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antimicrobial and hypotensive activity of)

RN 139081-10-0 CAPLUS

CN Pentanal, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 9 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:84084 CAPLUS

DOCUMENT NUMBER: 116:84084

TITLE: Synthesis of 3-(tetritol-1-yl)-6-phenyl-1,2,4-triazolo[3,4-a]phthalazines and conformational analysis of their acetates

AUTHOR(S): Shaban, Mohammed A. E.; Taha, Mamdouh A. M.

CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt

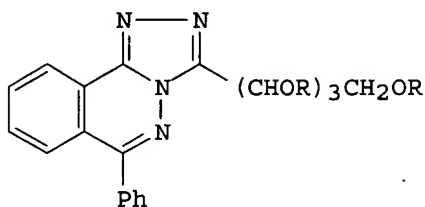
SOURCE: Journal of Carbohydrate Chemistry (1991), 10(5), 757-69

CODEN: JCACDM; ISSN: 0732-8303

DOCUMENT TYPE: Journal

09/288,556

LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:84084
GI



AB Condensation of 1-hydrazino-4-phenylphthalazine with D-arabinose, L-arabinose, D-lyxose, and D-xylose gave the corresponding title compds. I (R = H) (acyclic C-nucleoside analogs). D-Ribose, on the other hand, reacted with the same hydrazine to give the corresponding aldehydo-D-ribo-(4-phenyl-1-phthalazinyl) hydrazone. Catalytic dehydrogenative cyclization of this hydrazone with Pd/C affected its transformation into the corresponding triazolophthalazine. Acetylation of the prepd. acyclic C-nucleoside analogs gave the corresponding tetra-O-acetyl derivs. I (R = Ac), the conformational anal. of which has been studied using ¹H NMR spectroscopy. Results of some biol. activities of the prepd. compds. are reported.

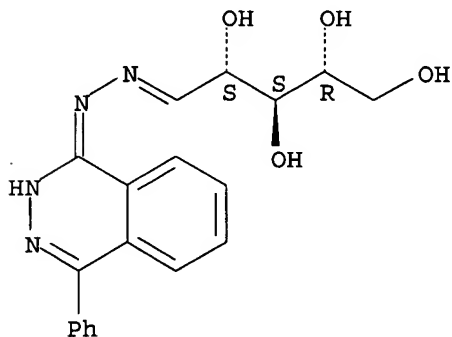
IT 86439-68-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and intramol. cyclocondensation of, triazolophthalazine C-nucleoside)

RN 86439-68-1 CAPLUS

CN D-Ribose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L11 ANSWER 10 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:429231 CAPLUS

DOCUMENT NUMBER: 115:29231

TITLE: Reactions of 4-aryl-1-hydrazinophthalazines with carbonyl compounds

AUTHOR(S): Shaban, M. A. E.; Taha, M. A. M.; Nasr, A. Z.

CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt

SOURCE: Pharmazie (1991), 46(2), 105-8

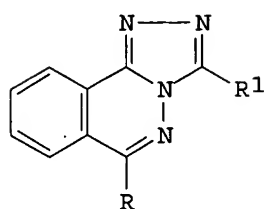
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

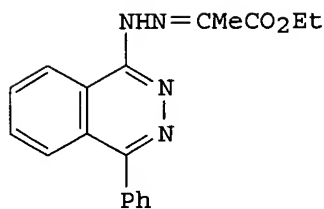
09/288,556

LANGUAGE:
GI

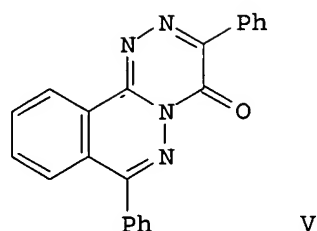
English



III



IV



V

AB 1-Hydrazino-4-phenylphthalazine (I) and 1-hydrazino-4-benzylphthalazine (II) reacted with carbonyl compds., e.g. (CO₂H)₂, (CO₂Et)₂, PhC.tplbond.CCO₂Et, MeCOCO₂Et, to give various derivs. Thus, I and II reacted with (CO₂H)₂ to give triazolophthalazines III (R = Ph, CH₂Ph, R₁ = H). Phenylphthalazinyldiazone IV, diphenyloxotriazinophthalazine V and III (R = Ph, R₁ = Me) were tested for insecticidal activity against Mexican beet beetles, pea aphid, Southern armyworm and the twospotted spider mite, but were inactive.

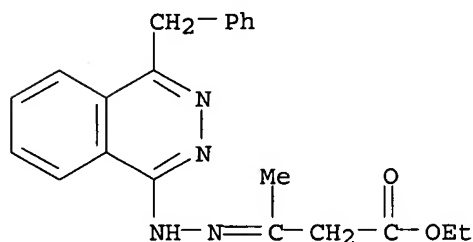
IT 134513-71-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and intramol. cyclocondensation of)

RN 134513-71-6 CAPLUS

CN Butanoic acid, 3-[[4-(phenylmethyl)-1-phthalazinyldiazono]-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 11 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:429230 CAPLUS

DOCUMENT NUMBER: 115:29230

TITLE: Synthesis of some novel phthalazine derivatives likely to possess antihypertensive activity

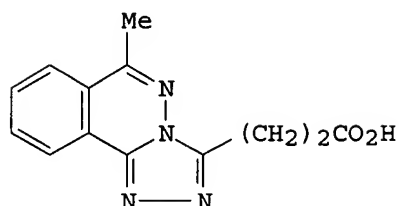
AUTHOR(S): El-Feky, Said; Al-Ashmawi, Mohamed I.; Roeder, E.; Abd El-Fattah, B.

CORPORATE SOURCE: Fac. Pharm., Zagazig Univ., Egypt

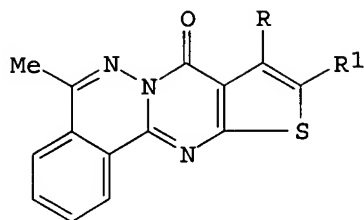
SOURCE: Zhonghua Yaoxue Zazhi (1991), 43(1), 49-57

DOCUMENT TYPE:
LANGUAGE:
GI

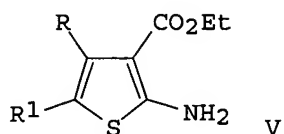
Journal
English



I



II



V

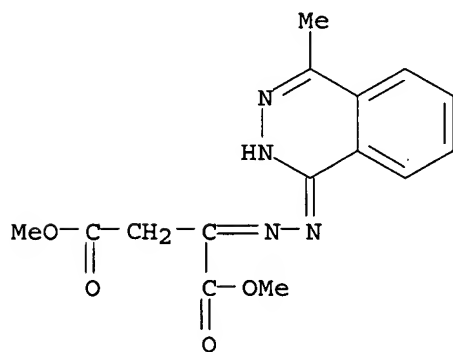
AB Phthalazine derivs., e.g. I and II [R = R₁ = Me; RR₁ = (CH₂)₃, (CH₂)₄] were prepd. from either 1-hydrazino-4-methylphthalazine (III) or 1-chloro-4-methylphthalazine (IV). Thus, cyclocondensation of III with succinic anhydride gives I. Cyclocondensation of IV with amino(ethoxycarbonyl)thiophenes V gave II.

IT 134478-21-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and intramol. cyclocondensation of)

RN 134478-21-0 CAPLUS

CN Butanedioic acid, [(4-methyl-1-phthalazinyl)hydrazono]-, dimethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 12 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:228814 CAPLUS

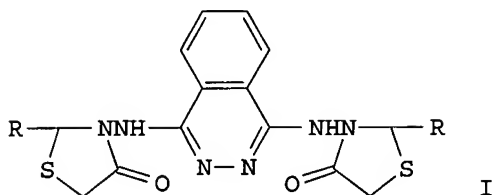
DOCUMENT NUMBER: 114:228814

TITLE: Studies on 4-thiazolidinones. Synthesis and antimicrobial activity of 1,4-bis(2'-aryl-5'(H)-4'-thiazolidinone-3'-ylamino)phthalazine

AUTHOR(S): Joshi, Hasmukh; Upadhyay, Paresh; Baxi, A. J.

09/288,556

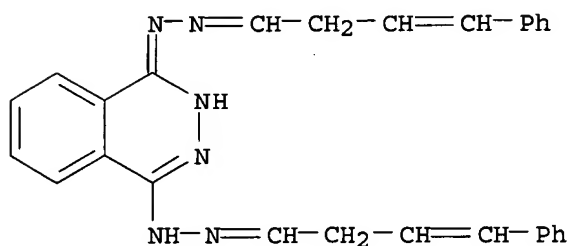
CORPORATE SOURCE: Dep. Chem., Saurashtra Univ., Rajkot, 360 005, India
SOURCE: Journal of the Indian Chemical Society (1990), 67(9),
779-80
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:228814
GI



AB Bisthiazolidinones I [R = (un)substituted Ph] were prepd. by cyclocondensation of HSCH₂CO₂H (II) with the Schiff base obtained from 1,4-dihydrazinophthalazine (III) and RCHO. Thus, III and 3,4-(MeO)₂C₆H₃CHO gave 54% the bifunctional Schiff base, which upon treatment with II afforded 52% I [R = 3,4-(MeO)₂C₆H₃]. Most compds. exhibited moderate bactericidal and fungicidal activity.

IT 133847-37-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with thioglycolic acid)

RN 133847-37-7 CAPLUS
CN 3-Butenal, 4-phenyl-, 1,4-phthalazinediylldihydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 13 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:640026 CAPLUS
DOCUMENT NUMBER: 113:240026
TITLE: Effect of surfactants on the rate of diffusion-controlled electrochemical processes under natural convection conditions
AUTHOR(S): Ahmed, A. M.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Bulletin of Electrochemistry (1990), 6(5), 528-33
CODEN: BUELE6; ISSN: 0256-1654
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of anionic, cationic and nonionic surface active substance (SAS) on the rate of electrowinning and electrorefining of copper from acidified CuSO₄ was studied under natural convection. It was found that

surfactants decreased the rate of Cu deposition. The inhibition effect is stronger in case of electrowinning than in case of electrorefining. The ability of different SAS to decrease the limiting current of copper deposition decreases in the order: nonionic SAS, anionic SAS and cationic SAS. Also, the effect of SAS on the mass transfer coeff. of cathodic redn. of ferricyanide ion and the anodic oxidn. of ferrocyanide ion under natural convection was also studied and similar results were obtained as in case of copper deposition. The effect of different org. substances as on the rate of electrowinning and electrorefining of copper from acidified CuSO₄ were also studied. The inhibition effect is stronger in the case of electrowinning than in the case of electrorefining.

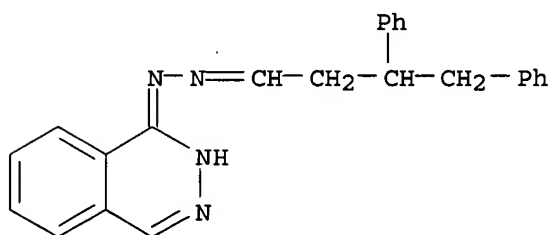
IT 130752-91-9

RL: PRP (Properties)

(surfactant, in copper electrowinning and ferricyanide-ferrocyanide redox reactions)

RN 130752-91-9 CAPLUS

CN Benzenebutanal, .beta.-phenyl, 1-phthalazinyldiazone (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:603741 CAPLUS

DOCUMENT NUMBER: 113:203741

TITLE: Macrocyclic metal complexes. Part XV. Binuclear nickel(II) macrocyclic complexes, synthesis, structure and electron transfer reactions

AUTHOR(S): Patra, N. C.; Rout, A. K.; Sahoo, B.

CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Kharagpur, 721 302, India

SOURCE: Indian Journal of Chemistry, Section A: Inorganic, Physical, Theoretical & Analytical (1989), 28A(11), 973-6

CODEN: IJCADU; ISSN: 0376-4710

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Ni₂(dhph)₂4+ (dhph = dihydrazinophthalazine) undergoes a Curtis type reaction with 2,2-dimethoxypropane in the mole ratios 1:1 and 1:2 yielding Ni₂(daph)₂4.4H₂O (daph = 4-[2-(4-hydrazino-1-phthalazinyldiazono)-4-methyl-2-pentanone-4-hydrazino-1-phthalizinyldiazono]; X = Cl, ClO₄) and Ni₂(taph)₂2X4.4H₂O (taph = 8,10,10,19,21,21-hexamethyl-1,3,4,6,7,11,12,14,15,17,18,22-dodecaazacyclodocosa-2,5:13,16-bis(phthalazino)-2,4,7,13,15,18-hexane). These complexes react with NaBH₄ in MeOH to selectively reduce the imine groups with uptake of 2 and 4 H atoms, resp., [(daph+2H) and (taph+4H)]. The reduced ligands are obsd. to be stronger donors. The complexes of dhph, daph and taph are electrochem. reduced in 2 successive steps. On the other hand, the (daph+2H) and (taph+4H) complexes undergo 2-electron 1-step redn. The convergence of successive redox processes arises from a lower degree of unsatn. in the reduced ligand which diminishes the tendency for the generation of ligand anion radical.

IT 130082-16-5

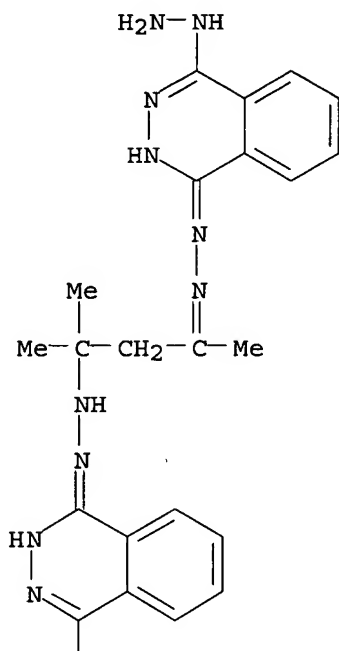
09/288,556

RL: RCT (Reactant); RACT (Reactant or reagent)
(redn. of nickel-coordinated)

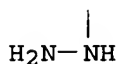
RN 130082-16-5 CAPLUS

CN 1,4-Phthalazinedione, 2,3-dihydro-, [3-[2-(4-hydrazino-1-phthalazinyl)hydrazino]-1,3-dimethylbutylidene]hydrazone hydrazone (9CI)
(CA INDEX NAME)

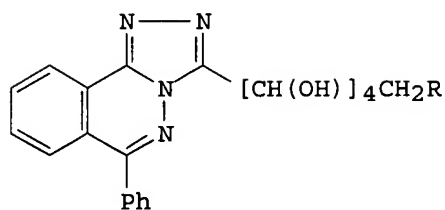
PAGE 1-A



PAGE 2-A



L11 ANSWER 15 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:119292 CAPLUS
DOCUMENT NUMBER: 112:119292
TITLE: The synthesis of C-nucleoside precursors. II.
Synthesis and conformational analysis of
3-(pentahydroxypentyl)-6-phenyl-1,2,4-triazolo[3,4-
alpha]phthalazines
AUTHOR(S): Shaban, Mohammed A. E.; Taha, Mamdouh A. M.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Bulletin of the Chemical Society of Japan (1989),
62(8), 2701-8
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:119292
GI



AB Reaction of 1-hydrazino-4-phenylphthalazine with aldohexoses (D-galactose, D-glucose, D-mannose, and D-talose) and 6-deoxyaldohexoses (L-fucose and L-rhamnose) gave the colored aldehydo-sugar (4-phenyl-1-phthalazinyl)hydrazones or the colorless triazolophthalazines I (R = OH, H). The former can be cyclized to the latter by catalytic dehydrogenation. Structure elucidation of the prepd. compds. was made on the basis of their various spectral properties. The C-nucleoside precursors were further characterized as their O-acetyl derivs. and the configurational-conformational correlation of these acetates was studied. Some of the prepd. compds. were screened for insecticidal, nematocidal, and herbicidal activities and found to be inactive. They showed, however, good corrosion inhibition of aluminum in acid solns.

IT 86427-80-7P 86427-82-9P

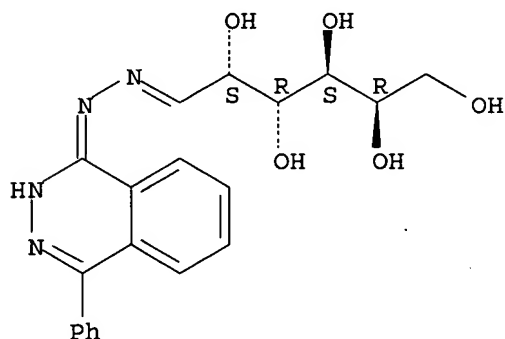
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, (pentahydroxypentyl)phenyltriazolophthalazine from)

RN 86427-80-7 CAPLUS

CN D-Galactose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

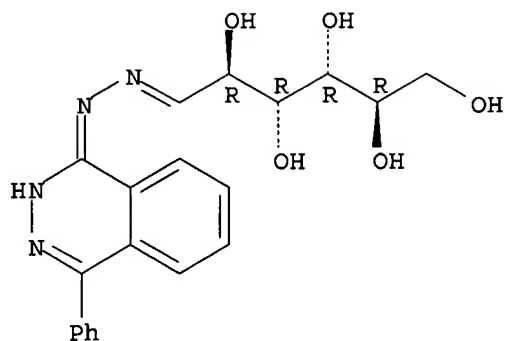
Absolute stereochemistry.
Double bond geometry unknown.



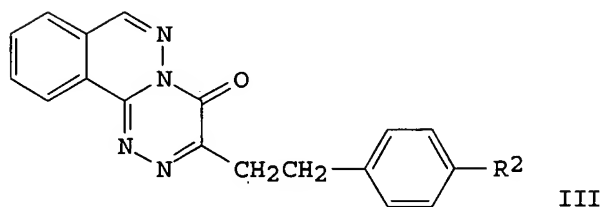
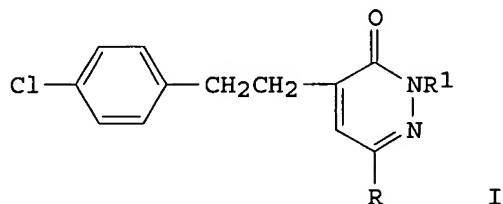
RN 86427-82-9 CAPLUS

CN D-Mannose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L11 ANSWER 16 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:5973 CAPLUS
 DOCUMENT NUMBER: 108:5973
 TITLE: Keto acids and lactones. Part 1. Access to the
 2,3-dihydropyridazin-3-one and as-triazino[3,4-
 alphthalazine ring systems from 4-aryl-2-oxobutanoic
 acids [1]
 AUTHOR(S): El-Ashry, El Sayed H.; Amer, Adel; Labib, George H.;
 Abdel Rahman, Mohamed M.; El-Massry, Abdel Monem
 CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
 SOURCE: Journal of Heterocyclic Chemistry (1987), 24(1), 63-8
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 108:5973
 GI



AB A novel series of pyridazinones I (R = Me, Ph, C6H4Me-4, C6H4OMe-4; R1 =
 H, C6H4Br-4) were prepd. by the condensation of 4-
 ClC6H4(CH2)2C(OH)(CO2H)CH2COR (II) with R1NHNH2. II were prepd. by the
 reaction of 4-ClC6H4(CH2)2COCO2H with RCOMe. Dehydration of II (R = Ph,
 C6H4Me-4, C6H4OMe-4) by a mixt. of AcOH and HCl gave 4-
 ClC6H4CH2CH:C(CO2H)CH2COR, which reacted with R1NHNH2 (R1 = H, C6H4Br-4)
 to give I in good yields. Reaction of 4-R2C6H4(CH2)2COCO2H (R2 = Cl, Me)
 with hydralazine gave hydralazones, which underwent dehydrative
 cyclization by polyphosphoric acid to give triazinophthalazines III.
 IT 111751-29-2P 111751-30-5P

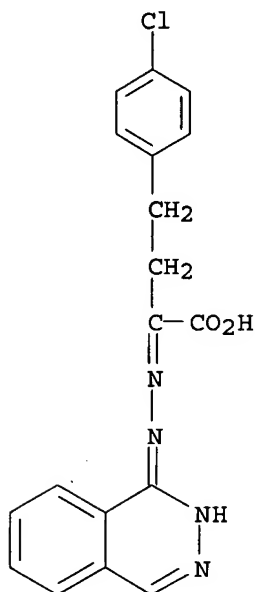
09/288,556

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and cyclization of, triazinophthalazinone deriv. from)

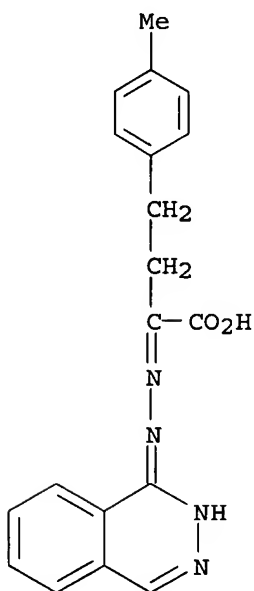
RN 111751-29-2 CAPLUS

CN Benzenebutanoic acid, 4-chloro-.alpha.-(1-phthalazinylhydrazono)- (9CI)
(CA INDEX NAME)



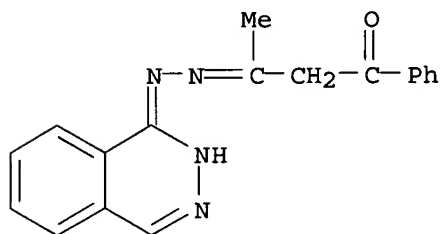
RN 111751-30-5 CAPLUS

CN Benzenebutanoic acid, 4-methyl-.alpha.-(1-phthalazinylhydrazono)- (9CI)
(CA INDEX NAME)

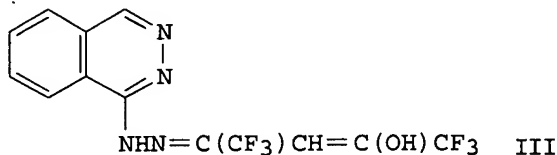
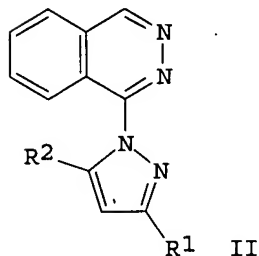


09/288,556

DOCUMENT NUMBER: 107:185937
TITLE: Natural convection mass transfer in presence of phthalazine hydrazone derivatives
AUTHOR(S): Ahmed, A. M.; Faid-Allah, Hassan M.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Bulletin of Electrochemistry (1987), 3(3), 225-9
CODEN: BUELE6; ISSN: 0256-1654
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Five derivs. of phthalazine hydrazone were prepd. Their structures were confirmed by spectral data. The adsorption effects of those compds. on the mass transfer coeff. of electrodeposition of Cu from acidified CuSO₄ was investigated. The limiting current decreases with increasing concn. of those derivs. which act as inhibitors.
IT 88330-95-4P
RL: PREP (Preparation)
(prepn. and use in copper electrodeposition)
RN 88330-95-4 CAPLUS
CN 1,3-Butanedione, 1-phenyl-, 3-(1-phthalazinylhydrazone) (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:575970 CAPLUS
DOCUMENT NUMBER: 107:175970
TITLE: Some novel observations on the reaction of 1-hydrazinophthalazine with polycarbonyl compounds
AUTHOR(S): Zimmer, Hans; Amer, Adel
CORPORATE SOURCE: Dep. Chem., Univ. Cincinnati, Cincinnati, OH, 45221, USA
SOURCE: Heterocycles (1987), 26(5), 1177-82
CODEN: HETCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:175970
GI



AB The title phthalazine (I) underwent a cyclocondensation reaction with

09/288,556

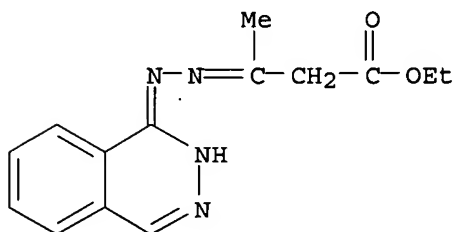
.beta.-diketones to give pyrazolylphthalazines II (R1 = Me, CO2Et; R2 = Me, Ph, anisyl). Condensation product III was obtained from I and CF3COCH2COCF3.

IT 110829-61-3P 110829-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

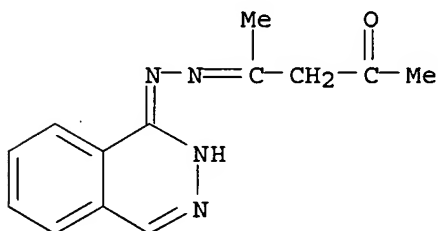
RN 110829-61-3 CAPLUS

CN Butanoic acid, 3-(1-phthalazinylhydrazono)-, ethyl ester (9CI) (CA INDEX NAME)



RN 110829-63-5 CAPLUS

CN 2,4-Pentanedione, mono(1-phthalazinylhydrazono) (9CI) (CA INDEX NAME)



L11 ANSWER 19 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:477823 CAPLUS

DOCUMENT NUMBER: 107:77823

TITLE: Preparation of phthalazinylhydrazono derivatives as antiallergic and antiinflammatory agents

INVENTOR(S): Shinozaki, Katsuo; Kimura, Tomonori; Kawada, Tomie; Okazaki, Tokuji; Sugai, Saburo; Akaboshi, Mitsuya; Ikegami, Shiro; Kajiwara, Yoshio; Kanbara, Toshifumi; Et, Al.

PATENT ASSIGNEE(S): Ohta Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

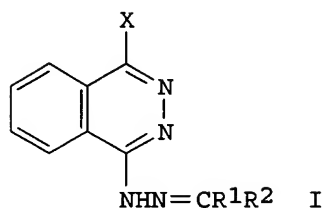
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62042960	A2	19870224	JP 1985-181823	19850821
JP 06062534	B4	19940817		
PRIORITY APPLN. INFO.: GI			JP 1985-181823	19850821



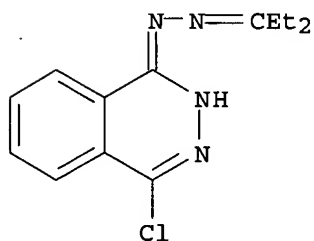
AB The title compds. (I; X = H, Cl; R1, R2 = H, Me, CF3, aryl, etc.; R1R2 = alkylene, CH2CH2ACH2CH2; A = O, S, NMe, etc.) and 4-ClC6H4NMeN:CR1R2 (II), useful as antiallergic and antiinflammatory agents, are prepd. Stirring a mixt. of 2 mmol 1-hydrazinophthalazine with 2 mmol 4-oxothiane in MeOH gave 44% I (X = H, R1R2 = CH2CH2SCH2CH2). In the rat paw edema test 25-100 mg I/kg orally gave 16.4-65.8% inhibition of carageenan-induced swelling. In guinea pigs 190-660 .mu.M I inhibited slow-reacting substances 38-50%. A tablet formulation comprised I (X = Cl, R1R2 = CH2CH2SCH2CH2) 50, cryst. cellulose 15, lactose 14.5, powd. starch 18, H2O 12, hydroxypropyl cellulose 2, and Mg stearate 0.5 g.

IT 109772-10-3P 109789-70-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antiallergic and antiinflammatory agent)

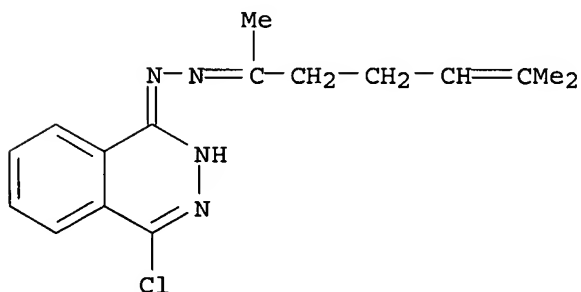
RN 109772-10-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-chloro-, (1-ethylpropylidene)hydrazone (9CI) (CA INDEX NAME)



RN 109789-70-0 CAPLUS

CN 1(2H)-Phthalazinone, 4-chloro-, (1,5-dimethyl-4-hexenyldene)hydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 20 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

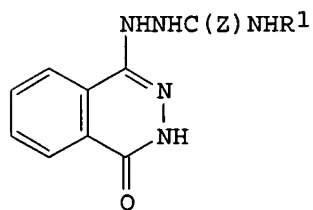
ACCESSION NUMBER: 1987:458958 CAPLUS

DOCUMENT NUMBER: 107:58958

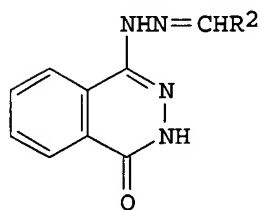
TITLE: Synthesis of 4-hydrazino-1-oxophthalazine derivatives

09/288,556

AUTHOR(S): with potential antihypertensive activity
Brizzi, V.; Masi, S.; Corbini, G.
CORPORATE SOURCE: Dip. Farm. Chim. Tecnol., Univ. Siena, Siena, Italy
SOURCE: Bollettino Chimico Farmaceutico (1986), 125(5), 154-7
CODEN: BCFAAI; ISSN: 0006-6648
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 107:58958
GI



I



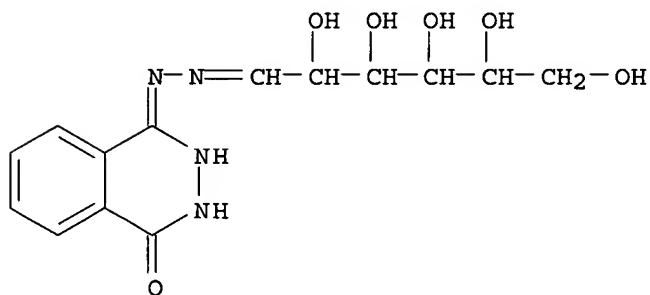
II

AB Title compds. I ($Z = \text{O}, \text{S}$; $\text{R}_1 = \text{H}, \text{Me}, \text{Ph}, 4\text{-FC}_6\text{H}_4$) and II ($\text{R}_2 = \text{aryl}, \text{heteroaryl}$) were prepd., and some of the compds. showed potential antihypertensive activity. 4-Hydrazinophthalazin-1(2H)-one was treated with MeNCO to give I ($Z = \text{O}, \text{R}_1 = \text{Me}$).

IT 109030-79-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 109030-79-7 CAPLUS

CN Hexose, (3,4-dihydro-4-oxo-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 21 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1986:218803 CAPLUS

DOCUMENT NUMBER: 104:218803

TITLE: Hydralazine and its metabolites: in vitro and in vivo activity in the rat

AUTHOR(S): Criscione, Leoluca; Eichenberger, Kurt; Hedwall, Phyllis R.; Schmid, Karl

CORPORATE SOURCE: Biol. Res. Dep., CIBA-GEIGY Ltd., Basel, Switz.

SOURCE: Journal of Cardiovascular Pharmacology (1986), 8(2), 420-7
CODEN: JCPCDT; ISSN: 0160-2446

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The vasodilator, hypotensive, and antihypertensive effects of hydralazine [86-54-4] and its known and putative metabolites were compared in vitro,

in the isolated, perfused mesenteric arterial bed of the rat, and in vivo, in the urethane-anesthetized normotensive rat (NR) and in the conscious renal hypertensive rat (RHR). In the mesenteric bed, hydralazine produced inhibition of noradrenaline (NA)-induced vasoconstriction (IC_{50} -NA = 0.4 μ g/mL). All the metabolites were five to >250 times less potent than the parent compd. Hydralazine inhibited K^+ -induced vasoconstriction at concns. (IC_{50} - K^+ = 700 μ g/mL) above those required to inhibit NA. Two metabolites, 9-hydroxy-3-methyl-s-triazolo-(3,4-a)phthalazine [65846-19-7] and the acetone hydrazone (HP-AH) [56173-18-3], were more potent (5- and 10-fold, resp.) than hydralazine in inhibiting K^+ -induced vasoconstriction. The other metabolites produced <50% inhibition at the highest concn. tested. In in vivo studies, blood pressure in NR or RHR was reduced by hydralazine, following doses of 0.1 or 0.25 mg/kg i.v. and above. HP-AH was 6-fold less active than hydralazine in NR and 10-fold less active in RHR, while the pyruvic acid hydrazone [67536-13-4] was 33- and 14-fold less active, resp. The other metabolites tested were practically inactive in concns. up to the limits of soly. Although several hydralazine metabolites show some vasodilator and blood pressure-lowering activity, it seems unlikely that the formation of metabolites is a major factor in the antihypertensive effect of hydralazine or is responsible for its long duration of action.

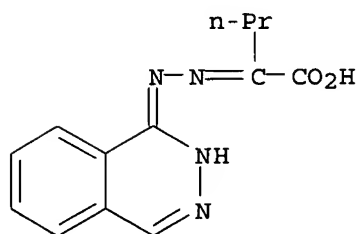
IT 67536-14-5

RL: PRP (Properties)

(antihypertensive and hypotensive and vasodilator effects of, hydralazine in comparison with)

RN 67536-14-5 CAPLUS

CN Pentanoic acid, 2-(1-phthalazinylhydrazono)- (9CI) (CA INDEX NAME)



L11 ANSWER 22 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:416663 CAPLUS

DOCUMENT NUMBER: 103:16663

TITLE: Pharmacokinetics of formation and excretion of some metabolites of hydralazine and their hypotensive effect in rats

AUTHOR(S): Ogiso, Taro; Iwaki, Masahiro; Ohtsuki, Nobumichi

CORPORATE SOURCE: Fac. Pharm. Sci., Kinki Univ., Higashi-Osaka, 577, Japan

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1985), 233(2), 485-90

CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To evaluate the hypotensive effect and pharmacokinetic properties of some metabolites of hydralazine (HP) [86-54-4] blood pressure and plasma concns. after the i.v. or i.p. administration of HP pyruvate hydrazone [67536-13-4], HP α -ketoglutarate hydrazone [77874-88-5], and HP acetone hydrazone [56173-18-3] and 3-methyl-s-triazolo[3,4a]phthalazine [20062-41-3] were estd. in normotensive rats, in addn. to in vitro kinetic studies of the formation and decompn. All the hydrazones studied had an effective hypotensive effect after a high

dosing (10 mg/kg); however, their potency was much smaller than that of HP, when plasma-free concn.-response curves were compared. 3-Methyl-s-triazolo[3,4a]phthalazine had no hypotensive effect at the same dose. Virtually no effective quantities of HP were generated in plasma after i.v. injection of these hydrazones (10 mg/kg) except for HP acetone hydrazone although a specific and sensitive anal. method for HP was used. The metabolites had larger elimination rate consts. and smaller apparent distribution vols. than those of HP. Hydrazones in vitro were formed according to second-order rate kinetics from HP and various keto acids or ketone at pH 7.4 and 37.degree., and these compds. were partly decompd. under the same conditions. Of the metabolites HP pyruvic acid hydrazone was the most readily formed and relatively stable hydrazone, whereas HP acetone hydrazone was unstable. Apparently, the contribution of the hydrazones to the vasodepressor properties of HP is only partial or negligible and the hypotensive effect after dosing of HP is related mainly to its free concn.

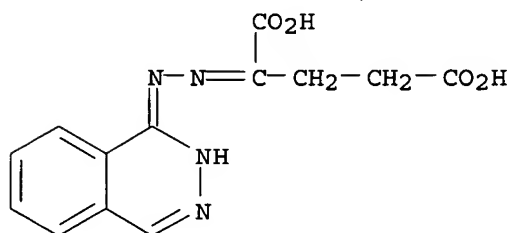
IT 77874-88-5

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(pharmacokinetics of, as hydralazine metabolite, hypotensive activity in relation to)

RN 77874-88-5 CAPLUS

CN Pentanedioic acid, 2-(1-phthalazinylhydrazono)- (9CI) (CA INDEX NAME)



L11 ANSWER 23 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:185095 CAPLUS

DOCUMENT NUMBER: 102:185095

TITLE: 1-(1-Methyl-4-pentenylidene)hydrazinophthalazine

PATENT ASSIGNEE(S): Yodogawa Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 1 p.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

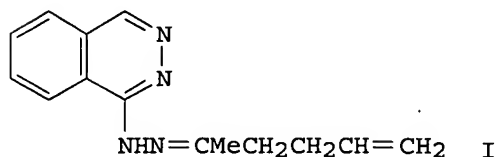
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

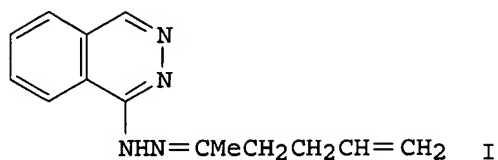
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59219267	A2	19841210	JP 1983-93760	19830526
PRIORITY APPLN. INFO.:			JP 1983-93760	19830526
OTHER SOURCE(S):		CASREACT 102:185095		

GI



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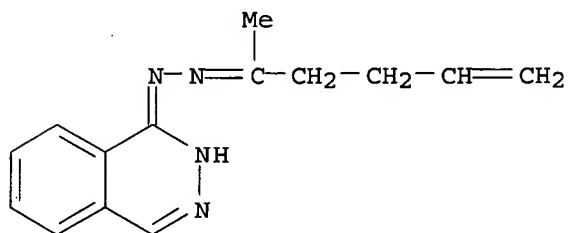


AB Hypotensive (no data) title compd. (I) was prepd. by reaction of 1-hydrazinophthalazine HCl (II) with MeCOCH2CH2CH:CH2 (III). Thus, refluxing 19.7 g II with 16.2 g III in EtOH 5 h and neutralization with NaHCO3 gave 18.3 g I.

IT **96163-58-5P 96163-59-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

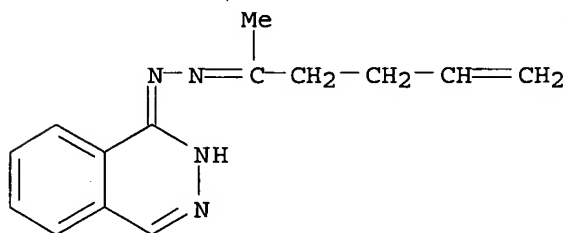
RN 96163-58-5 CAPLUS

CN 1(2H)-Phthalazinone, (1-methyl-4-pentenylidene)hydrazone (9CI) (CA INDEX NAME)



RN 96163-59-6 CAPLUS

CN 1(2H)-Phthalazinone, (1-methyl-4-pentenylidene)hydrazone, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L11 ANSWER 24 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1984:34479 CAPLUS

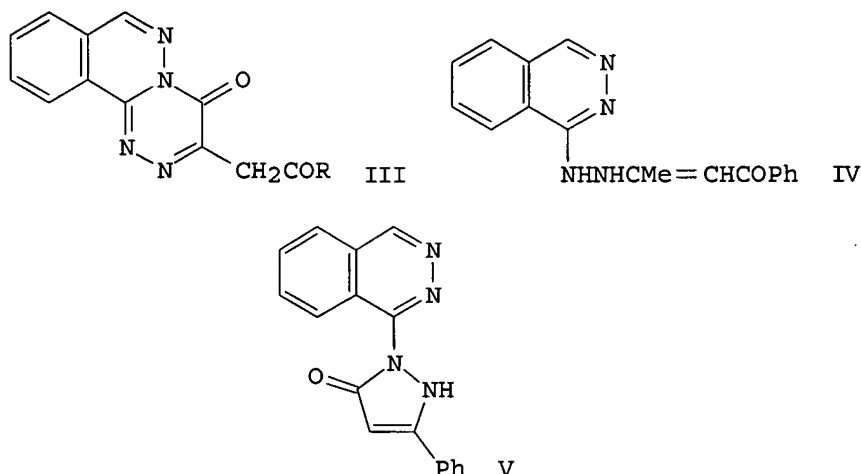
DOCUMENT NUMBER: 100:34479

TITLE: Condensed heterocyclic systems. Part IX. Ring closure reactions involving 1-hydrazinophthalazine. Reactions with 1,2,4-tricarbonyl and 1,3-dicarbonyl compounds

AUTHOR(S): Amer, Adel; Zimmer, Hans

CORPORATE SOURCE: Dep. Chem., Univ. Cincinnati, Cincinnati, OH, 45221, USA

SOURCE: Journal of Heterocyclic Chemistry (1983), 20(5),
1231-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:34479
GI



AB Annulation reactions of 6-membered rings to 1-hydrazinophthalazine (I) were investigated. With $\text{RCOCH}_2\text{COCO}_2\text{Et}$ (II, R = Ph, substituted Ph, 2-thienyl, CMe_3 , OEt, 3-pyridyl) the course of the reaction depends on the reaction condition as well as the substituted pyruvates. Thus, 3-(2-oxo-2-substituted ethyl)-4H-as-triazino[3,4-a]phthalazin-4-ones III were produced when I reacted with II in alc. The side chain tautomerism of III was studied by spectral methods. When I.HCl was reacted with II, 3-ethoxycarbonyl-s-triazolo[3,4-a]phthalazine, was the major product. The reaction of I with $\text{PhCOCH}_2\text{COME}$ in EtOH afforded IV which in soln. is involved in an enehydrazine-hydrazone as well as a ring-chain tautomerism. IV underwent dehydrative cyclization to 3-methyl-s-triazolo[3,4-a]phthalazine, and 3-methyl-5-phenyl-1-(1-phthalazinyl)pyrazole. The reaction of I with $\text{PhC.tplbond.CCO}_2\text{Et}$ in EtOH gave Et .beta.-(1-phthalazinylhydrazone)benzenepropanoate. Reaction of I with $\text{PhCOCH}_2\text{CO}_2\text{Et}$ gave the expected hydralzone which cyclized with polyphosphoric acid to give V.

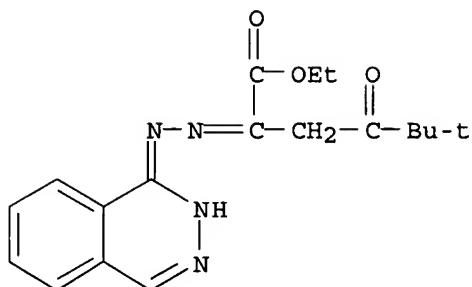
IT 88330-80-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 88330-80-7 CAPLUS

CN Hexanoic acid, 5,5-dimethyl-4-oxo-2-(1-phthalazinylhydrazone)-, ethyl ester (9CI) (CA INDEX NAME)

09/288,556

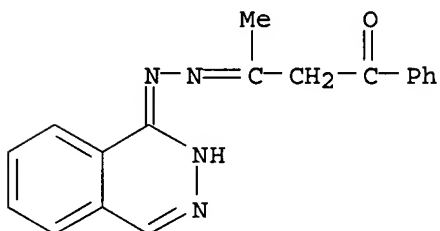


IT 88330-95-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, with acid)

RN 88330-95-4 CAPLUS

CN 1,3-Butanedione, 1-phenyl-, 3-(1-phthalazinyldiazene) (9CI) (CA INDEX NAME)

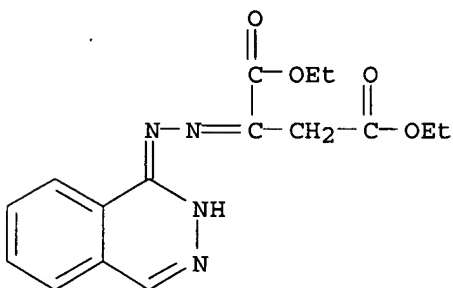


IT 31480-31-6P 88330-81-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

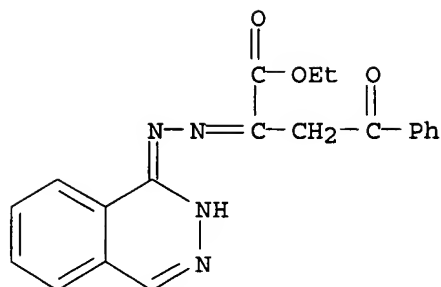
RN 31480-31-6 CAPLUS

CN Butanedioic acid, (1-phthalazinyldiazono)-, diethyl ester (9CI) (CA INDEX NAME)

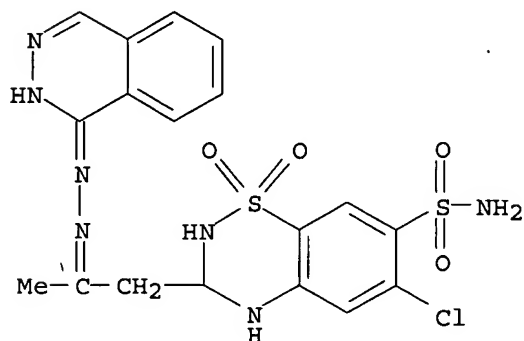


RN 88330-81-8 CAPLUS

CN Benzenebutanoic acid, .gamma.-oxo-.alpha.-(1-phthalazinyldiazono)-, ethyl ester (9CI) (CA INDEX NAME)



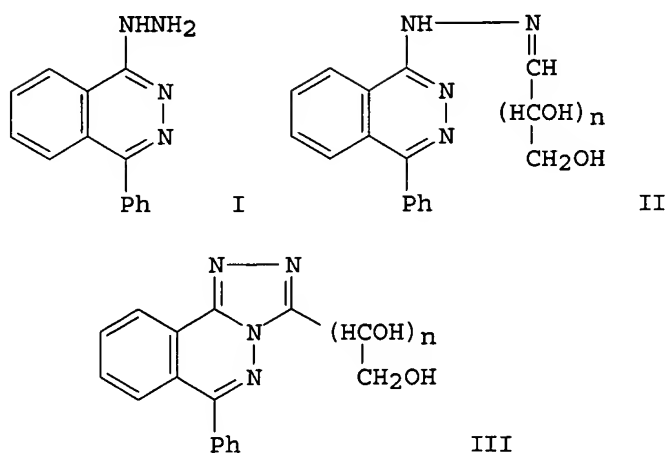
L11 ANSWER 25 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:465537 CAPLUS
DOCUMENT NUMBER: 99:65537
TITLE: The acute oral toxicity, repellency, and hazard potential of 998 chemicals to one or more species of wild and domestic birds
AUTHOR(S): Schafer, E. W., Jr.; Bowles, W. A., Jr.; Hurlbut, J.
CORPORATE SOURCE: Wildl. Res. Cent., U. S. Fish Wildl. Serv., Denver, CO, 80225, USA
SOURCE: Archives of Environmental Contamination and Toxicology (1983), 12(3), 355-82
CODEN: AECTCV; ISSN: 0090-4341
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The acute oral toxicity, repellency, and hazard potential of 998 chem. to 1 or more of 68 species of wild and domestic birds was detd. by standardized testing procedures. Red-winged blackbirds (*Agelaius phoeniceus*) were the most sensitive of the bird species tested on a large no. of chems., and an index based on red-wing toxicity and repellency may provide an appropriate indication of the probability of acute avian poisoning episodes. Avian repellency and toxicity were not pos. correlated (i.e., toxicity varied independently with repellency).
IT 88-36-8
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (toxicity of, to birds, repellency in relation to)
RN 88-36-8 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 6-chloro-3,4-dihydro-3-[2-(1-phthalazinylhydrazono)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



L11 ANSWER 26 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:454122 CAPLUS
DOCUMENT NUMBER: 99:54122
TITLE: Reactions of sugars with amidrazones and hydrazidines.

Part II. The synthesis of C-nucleoside precursors:
3-(alditol-1-yl)-5-phenyl-1,2,4-triazolo[3,4-
a]phthalazines

AUTHOR(S): Shaban, Mohammed A. E.; Nassr, Mahmoud A. M.; Taha, Mamdouh A. M.
CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt
SOURCE: Carbohydrate Research (1983), 113(2), C16-C17
CODEN: CRBRAT; ISSN: 0008-6215
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Condensing hydrazinophthalazine I with D-arabinose, D-ribose, D-galactose, D-glucose, or D-mannose gave the corresponding hydrazones II ($n = 3, 4$), which on auto- or catalytic dehydrogenation gave the title triazolophthalazines III.

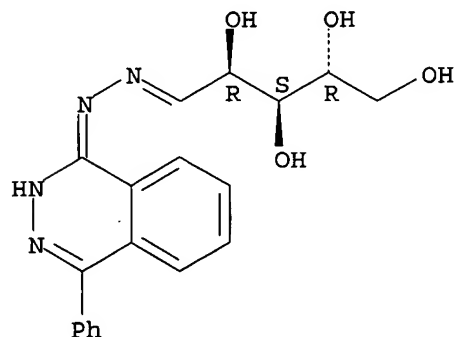
IT 86427-79-4P 86427-81-8P 86439-68-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and dehydrogenative cyclization of,
alditolyltriazolophthalazine deriv. from)

RN 86427-79-4 CAPLUS

CN D-Arabinose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

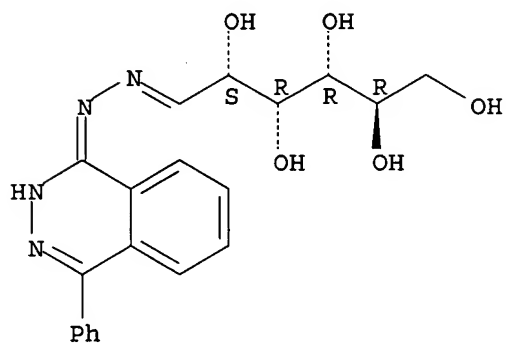


RN 86427-81-8 CAPLUS

CN D-Glucose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

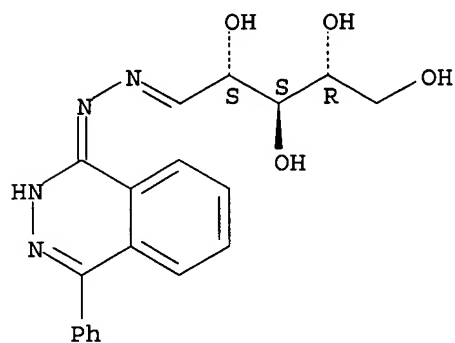
09/288,556

Absolute stereochemistry.
Double bond geometry unknown.



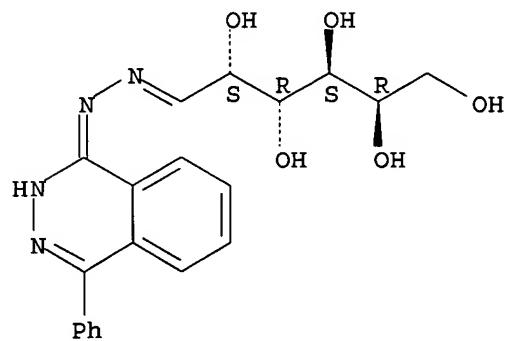
RN 86439-68-1 CAPLUS
CN D-Ribose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT 86427-80-7P 86427-82-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 86427-80-7 CAPLUS
CN D-Galactose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

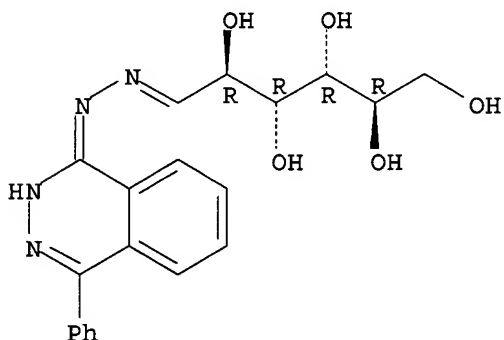


RN 86427-82-9 CAPLUS

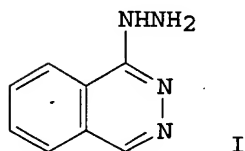
09/288,556

CN D-Mannose, (4-phenyl-1-phthalazinyl)hydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L11 ANSWER 27 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:538059 CAPLUS
DOCUMENT NUMBER: 97:138059
TITLE: Endogenous generation of hydralazine from labile hydralazine hydrazones
AUTHOR(S): Clementi, W. A.; McNay, J. L.; Talseth, T.; Haegele, K. D.; Ludden, T. M.; Musgrave, G. E.
CORPORATE SOURCE: Health Sci. Cent., Univ. Texas, San Antonio, TX, 78284, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1982), 222(1), 159-65
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The hypothesis that the pharmacol. active hydralazine hydrazones (HH) are endogenously hydrolyzed to parent hydralazine (H)(I) [86-54-4] was tested in a series of in vitro and in vivo systems. The stable hydrazones H .alpha.-ketoglutaric acid hydrazone [77874-88-5] and H pyruvic acid hydrazone [67536-13-4] did not hydrolyze to H in vitro (buffer or plasma), were inactive in vivo and did not generate urinary metabolites of parent H. By contrast, the labile HH H acetaldehyde hydrazone [82928-49-2] and acetone hydrazone (HAH) [56173-18-3] generated H in vitro. H acetaldehyde hydrazone produced in vitro effects that were equipotent to the H concn. measured in the dose solns. When administered to conscious rats and rabbits, the labile hydrazones reduced blood pressure. This effect was more gradual in onset than that of H. The hypotensive effects of HH were significantly greater than predicted by the amt. of H contained in the dose solns. Metabolic studies were conducted with the labile HH, HAH. After administration of HAH to rabbits, the proportional excretion of the urinary H metabolite, H pyruvic acid

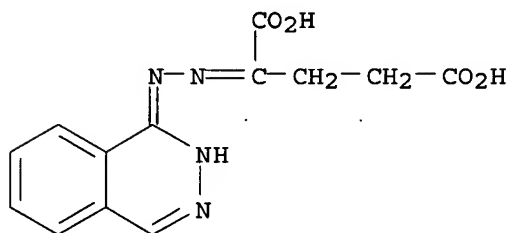
hydrazone, was equal to that obsd. after the administration of H. Thus, HH are inactive, except when hydrolyzed to H. The hydrolysis of certain HH, including HAH and H acetaldehyde hydrazone, in vivo may be nearly complete. Differences in the pharmacodynamic properties between labile HH and H may be related to the time course of generation of H, sequestration of hydrolysis in physiol. inactive sites, or other unrecognized mechanisms.

IT 77874-88-5

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metab. of, hypotensive activity in relation to)

RN 77874-88-5 CAPLUS

CN Pentanedioic acid, 2-(1-phthalazinylhydrazono)- (9CI) (CA INDEX NAME)



L11 ANSWER 28 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:569639 CAPLUS

DOCUMENT NUMBER: 95:169639

TITLE: Reactions of sugars with amidrazones and hydrazidines.
Part I. The synthesis of 3-(alditol-1-yl)-1,2,4-triazolo[3,4-a]phthalazines

AUTHOR(S): Shaban, Mohammed A. E.; Ali, Raafat S.; El-Badry, Sousan M.

CORPORATE SOURCE: Fac. Sci., Alexandria Univ., Alexandria, Egypt

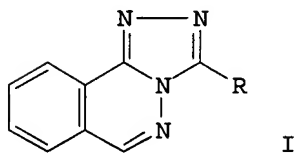
SOURCE: Carbohydrate Research (1981), 95(1), 51-60

CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Condensation of 1-hydrazinophthalazine (hydralazine) with D-lyxose, D-ribose, D-xylose, D-mannose, and L-rhamnose gave the corresponding aldehydo-sugar phthalazin-1-ylhydrazones. D-Arabinose and D-galactose, on the other hand, gave the corresponding (alditol-1-yl)triazolophthalazines (I, R = sugar residue) through the autodehydrogenative cyclization of the hydrazones. A rationale for this difference is discussed. Acetylation of the latter gave the poly-O-acetyl derivs. Catalytic, dehydrogenative cyclization with Pd/C, or acetylation, transforms the hydrazones into I or their acetates. The mass spectra of the synthesized compds. are

09/288,556

discussed.

IT 79364-42-4P 79364-45-7P 79364-46-8P
79364-47-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

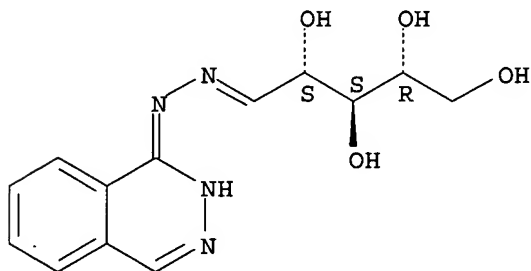
(prepn. and cyclization of, triazolophthalazine deriv. from)

RN 79364-42-4 CAPLUS

CN D-Ribose, 1-phthalazinyldiazotone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

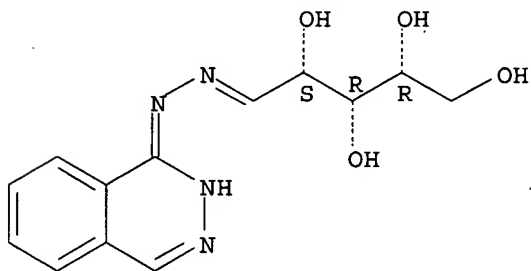


RN 79364-45-7 CAPLUS

CN D-Xylose, 1-phthalazinyldiazotone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

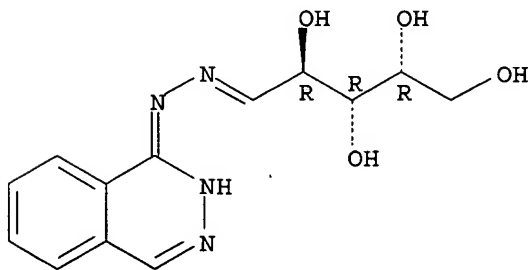


RN 79364-46-8 CAPLUS

CN D-Lyxose, 1-phthalazinyldiazotone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

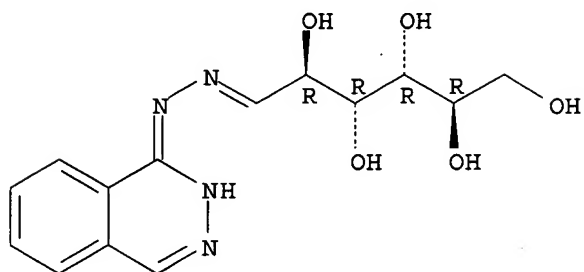
Double bond geometry unknown.



RN 79364-47-9 CAPLUS

CN D-Mannose, 1-phthalazinyldiazotone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



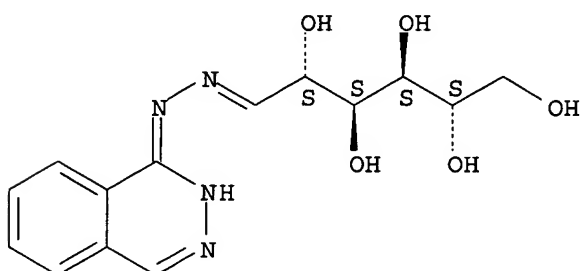
IT 79364-52-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 79364-52-6 CAPLUS

CN L-Mannose, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L11 ANSWER 29 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:406186 CAPLUS

DOCUMENT NUMBER: 95:6186

TITLE: Identification of decomposition products of
hydralazine hydrazones with three endogenous ketones
and kinetic study of the formation of
3-methyl-s-triazolo[3,4- α]phthalazine from
hydralazine and pyruvic acid

AUTHOR(S): Nakano, Masahiro; Tomitsuka, Toshiaki; Juni, Kazuhiko
CORPORATE SOURCE: Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1980), 28(11),
3407-11

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The decompn. products of hydrazones of hydralazine (I) with MeCOCO₂H (II), Me₂CO and HO₂CCH₂CH₂COCO₂H (III) were studied. II hydrazone and Me₂CO or III hydrazone were converted to 3-methyl-s-triazolo[3,4- α]phthalazine (IV) and phthalazinone, resp., both of which are known I metabolites. New high-pressure liq.-chromatog. (HPLC) methods for detg. I and IV were developed. IV formation and I disappearance at a physiol. concn. ratio and pH at 37.degree. were studied by the HPLC methods. IV formation followed 2nd-order kinetics, and its rate const. was detd.

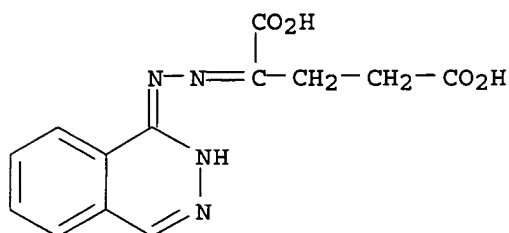
IT 77874-88-5P

09/288,556

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and decompn. of)

RN 77874-88-5 CAPLUS

CN Pentanedioic acid, 2-(1-phthalazinylhydrazono)- (9CI) (CA INDEX NAME)



L11 ANSWER 30 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:609117 CAPLUS

DOCUMENT NUMBER: 89:209117

TITLE: Comparative evaluation of the hypotensive effects of
hydralazine, hydralazine acetone hydrazone and
triazolophthalazine and the in vitro effects of
hydralazine hydrazones

AUTHOR(S): McLean, A. J.; Haegeler, K. D.; Du Souich, P.; Jenkins,
B.; Carrier, O.; McNay, J. L.

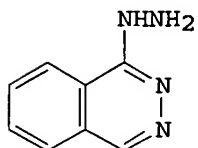
CORPORATE SOURCE: Dep. Med., Univ. Texas Health Sci. Cent., San Antonio,
TX, USA

SOURCE: Blood Vessels (1978), 15(6), 333-44
CODEN: BLVSAB; ISSN: 0303-6847

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



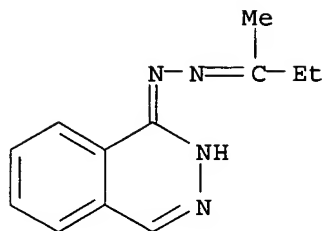
AB Unanesthetized rats with chronic aortic and venous catheters were treated
i.v. with hydralazine-HCl (I-HCl) [304-20-1], triazolophthalazine (TP)
[234-80-0] or hydralazine acetone hydrazone (HAH) [56173-18-3]. TP was
inert, but I and HAH produced comparable, dose-dependent hypotensive
effects. HAH and synthetic analogs caused relaxation of aortic smooth
muscle contractures. HAH did not decomp. to I under these in vitro
conditions. Thus, hydrazones may contribute directly to the hypotensive
effects which follow I administration.

IT 67173-21-1

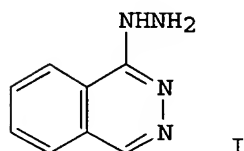
RL: BIOL (Biological study)
(smooth muscle contraction response to, hypotension in relation to)

RN 67173-21-1 CAPLUS

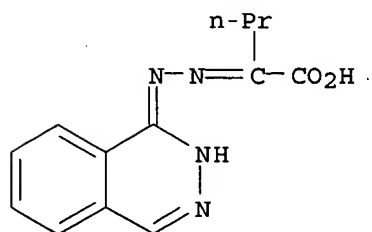
CN 2-Butanone, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)



L11 ANSWER 31 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:522855 CAPLUS
 DOCUMENT NUMBER: 89:122855
 TITLE: Identification of hydrallazine and hydrallazine
 hydrazone metabolites in human body fluids and
 quantitative in vitro comparisons of their smooth
 muscle relaxant activity
 AUTHOR(S): Haegele, K. D.; McLean, A. J.; Du Souich, P.; Barron,
 K.; Laquer, J.; McNay, J. L.; Carrier, O.
 CORPORATE SOURCE: Dep. Med., Audie Murphy VA Hosp., San Antonio, TX, USA
 SOURCE: British Journal of Clinical Pharmacology (1978), 5(6),
 489-94
 CODEN: BCPHBM; ISSN: 0306-5251
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Acetone [56173-18-3], pyruvate [67536-13-4], and .alpha.-ketoglutarate
 [67536-14-5] hydrazones were identified in the serum and urine
 of subjects on chronic oral hydrallazine (I) [86-54-4] therapy. The
 pyruvate and .alpha.-ketoglutarate hydrazones showed smooth muscle
 relaxant effects in vitro equal to those of I. Thus, the hydrazone
 metabolites contribute to the hypotensive effects of I in proportion to
 their relative abundance and persistence in vascular tissues and their
 intrinsic activity.
 IT 67536-14-5
 RL: BIOL (Biological study)
 (as hydrallazine metabolite, hypotensive activity in relation to)
 RN 67536-14-5 CAPLUS
 CN Pentanoic acid, 2-(1-phthalazinylhydrazono)- (9CI) (CA INDEX NAME)



L11 ANSWER 32 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:499782 CAPLUS

DOCUMENT NUMBER: 89:99782

TITLE: Interaction of hydralazine and hydrazone derivatives with contractile mechanisms in rabbit aortic smooth muscle

AUTHOR(S): McLean, A. J.; Barron, K.; Du Souich, P.; Haegeler, K. D.; McNay, J. L.; Carrier, O.; Briggs, A.

CORPORATE SOURCE: Dep. Med., Univ. Texas Health Sci. Cent., San Antonio, TX, USA

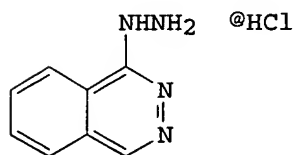
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1978), 205(2), 418-25

CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



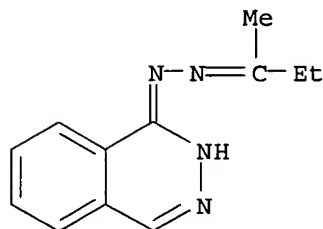
AB The mechanism of action and relative potency of hydralazine-HCl (I) [304-20-1] and 2 hydrazone derivs. were investigated using isolated rabbit aortic strips. I, hydralazine acetone hydrazone (HA) [56173-18-3] and hydralazine butanone hydrazone (HBH) [67173-21-1] relaxed established K⁺ and norepinephrine (NE) contractures, and inhibited the development of contractures to these 2 agents on preincubation. I, HA, and HBH increased the threshold to Ca⁺⁺ and decreased the max. tension responses during K⁺-Ca⁺⁺ contractures (HA > I; HBH > I). The Ca⁺⁺-dependent and Ca⁺⁺-independent components of NE contractures were both inhibited by I, HA and HBH. NE contractures were more sensitive to the effects of I than K⁺ contractures. Thus, I and hydrazone derivs. appear to produce effects on vascular muscle both by interactions with the fluxes of Ca⁺⁺ from the extracellular space and effects on release from cell stores.

IT 67173-21-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of and smooth muscle contraction response to)

RN 67173-21-1 CAPLUS

CN 2-Butanone, 1-phthalazinylhydrazone (9CI) (CA INDEX NAME)

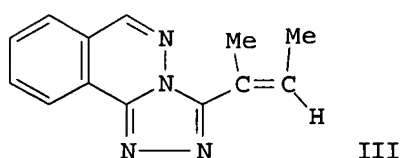
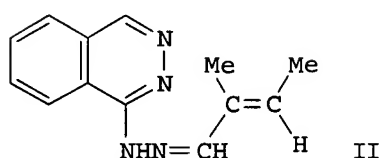


L11 ANSWER 33 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:523839 CAPLUS

09/288,556

DOCUMENT NUMBER: 85:123839
TITLE: Structures of reaction products from
1-hydrazinophthalazine and some carbonyls
AUTHOR(S): Ueno, Katsujiro; Moroi, Reimei; Kitagawa, Masayuki;
Asano, Kohachiro; Miyazaki, Seiichi
CORPORATE SOURCE: Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1976), 24(5),
1068-72
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



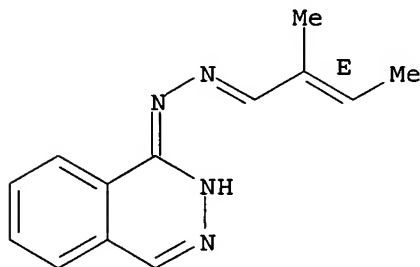
AB Reaction of 1-hydrazinophthalazine (I) with mesityl oxide gave 5 cryst. compds., including hydrazones and their cyclization products. Isomerization of the hydrazones, which were shown to be two geom. isomers, was discussed briefly. Structures of products obtained from I with acetylacetone or tiglaldehyde were detd. on the basis of their spectra; e.g., tiglaldehyde gave II and III.

IT 60519-06-4P 60519-12-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60519-06-4 CAPLUS

CN 2-Butenal, 2-methyl-, 1-phthalazinylhydrazone, (? ,E)- (9CI) (CA INDEX NAME)

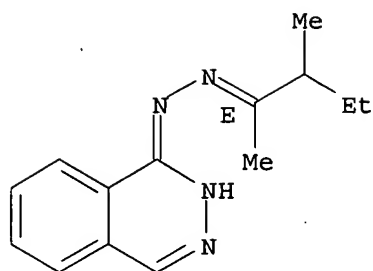
Double bond geometry as described by E or Z.



RN 60519-12-2 CAPLUS

CN 1(2H)-Phthalazinone, (1,2-dimethylbutylidene)hydrazone, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L11 ANSWER 34 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:579005 CAPLUS

DOCUMENT NUMBER: 83:179005

TITLE: Synthesis of condensed heterocyclic systems. VI. Ring closure reactions involving 1-hydrazinophthalazine

AUTHOR(S): Zimmer, Hans; Kokosa, John M.; Shah, K. J.

CORPORATE SOURCE: Dep. Chem., Univ. Cincinnati, Cincinnati, OH, USA

SOURCE: Journal of Organic Chemistry (1975), 40(20), 2901-6

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. The acylation of 1-hydrazinophthalazine (1, (hydralazine) with mono-, di-, tri-, and tetracarboxylic acids and acid derivs. gave 3-substituted s-triazolo[3,4-a]phthalazines I (R = CCl₃, CF₃, CH₂SH, CHPhOH, CH₂CH₂OH, CMe₃); use of p-nitrophenol esters of carboxylic acids facilitates the dehydrative cyclization reaction and enlarges the scope of this type of reaction considerably. Thus prepd. were II [Z = (CH₂)₁₋₅, n = 2]. Also prepd. were II (Z and n given): CH₂OCH₂, 2; CH₂SCH₂, 2; CH₂CH₂SCH₂CH₂, 2; 2,6-pyridinediyl, 2; 1,3,5-C₆H₃, 3; :CHCH:, 4. Though the annelation of five-membered rings to the phthalazine ring proceeds with exceptional ease, fusion of a six-membered ring to this system proceeds with difficulties only. Annelation of larger rings met with failure.

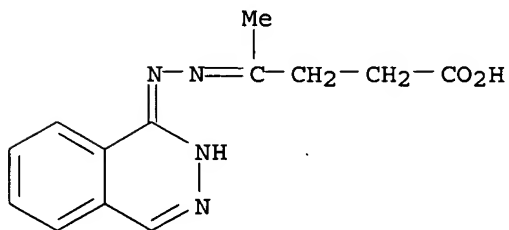
IT 56173-20-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and attempted cyclization of)

RN 56173-20-7 CAPLUS

CN Pentanoic acid, 4-(1-phthalazinyldiazono)- (9CI) (CA INDEX NAME)



L11 ANSWER 35 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

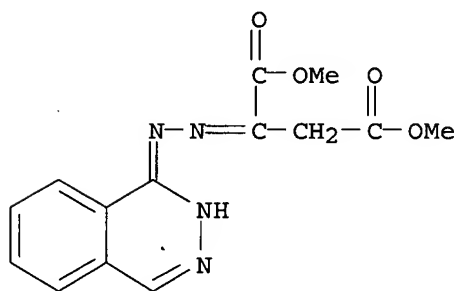
ACCESSION NUMBER: 1974:120876 CAPLUS

DOCUMENT NUMBER: 80:120876

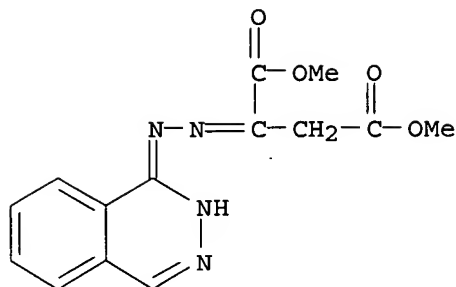
TITLE: Cyclization of heterocyclic hydrazones prepared from

09/288,556

dimethyl acetylenedicarboxylate
AUTHOR(S): LeCount, David J.; Greer, Alexander T.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. Ltd.,
Macclesfield/Cheshire, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions
1: Organic and Bio-Organic Chemistry (1972-1999)
(1974), (2), 297-301
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The cyclization of hydrazones prepd. from cyclic aminoguanidines and
amidrazones and MeO₂CC.tplbond.CCO₂Me gave 1,2,4-triazin-5-ones or
5-hydroxypyrazoles (pyrazolin-5-ones). E.g., di-Me 2-(2-imidazolin-2-
ylhydrazono)succinate (I), prepd. from MeO₂CC.tplbond.CCO₂Me and
2-hydrazino-2-imidazoline hydroiodide, in refluxing H₂O and in MeOH contg.
Et₃N gave the pyrazolinone (II) and triazinone (III), resp. Individual
tautomers of some products were isolated.
IT 50533-24-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring closure of)
RN 50533-24-9 CAPLUS
CN Butanedioic acid, (1-phthalazinyldiazono)-, dimethyl ester (9CI) (CA
INDEX NAME)



L11 ANSWER 36 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:546491 CAPLUS
DOCUMENT NUMBER: 79:146491
TITLE: Existence of alternative tautomers of heterocyclic
hydrazine derivatives in the crystalline state
AUTHOR(S): Le Count, D. J.; Greer, A. T.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. Ltd., Macclesfield, UK
SOURCE: Tetrahedron Letters (1973), (31), 2905-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Fusing or heating the hydrazone I in water gave the triazinone II, whereas
cyclization in MeOH contg. Et₃N gave the exocyclic tautomer III. Warming
III in Me₂SO gave II. The hydrazone (IV) behaved similarly.
IT 50533-24-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)
RN 50533-24-9 CAPLUS
CN Butanedioic acid, (1-phthalazinyldiazono)-, dimethyl ester (9CI) (CA
INDEX NAME)



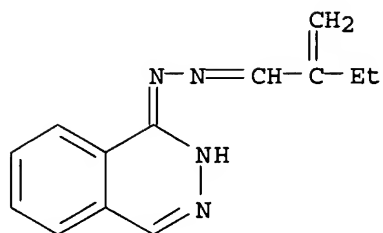
L11 ANSWER 37 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:405504 CAPLUS
 DOCUMENT NUMBER: 77:5504
 TITLE: Hypotensive 1-(alkenylidenéhydrazino)phthalazines
 INVENTOR(S): Ueno, Katsujiro; Miyazaki, Seiichi; Akashi, Akira
 PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd.
 SOURCE: Ger. Offen., 13 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2145359	A	19720316	DE 1971-2145359	19710910
DE 2145359	B2	19760624		
DE 2145359	C3	19770210		
US 3840539	A	19741008	US 1971-177488	19710902
ZA 7106009	A	19720531	ZA 1971-6009	19710908
ES 395391	A1	19731216	ES 1971-395391	19710909
BE 772514	A1	19720117	BE 1971-108085	19710913
CH 537389	A	19730713	CH 1971-13365	19710913
SE 392900	B	19770425	SE 1971-11606	19710913
NL 7112603	A	19720316	NL 1971-12603	19710914
FR 2106516	A5	19720505	FR 1971-33097	19710914
FR 2106516	B1	19741018		
GB 1342760	A	19740103	GB 1971-42860	19710914
CA 968799	A1	19750603	CA 1971-122746	19710914
			JP 1970-80659	19700914

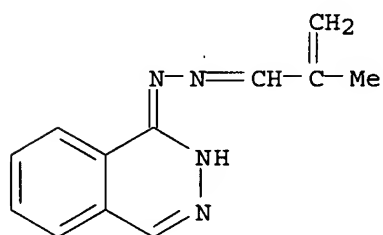
PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.
 AB Seven title compds. (I; R = H or Me; R1, R2 = H, Me, or Et) were prepd. from II and RCOCR1:CHR2. I (R = H, R1 = R2 = Me) (III) had LD50 3 and 2.5 mg/kg orally in mice and rats, resp., and was used as a hypotensive drug. Thus, 8.0 g II and 6.3 g MeCH:CMCHO in MeOH was refluxed 3 hr to give 7.9 g III.
 IT 36798-76-2P 36798-77-3P 36798-78-4P
 36798-80-8P 36798-81-9P 36908-00-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 36798-76-2 CAPLUS
 CN Butanal, 2-methylene-, 1-phthalazinyldiazone (9CI) (CA INDEX NAME)

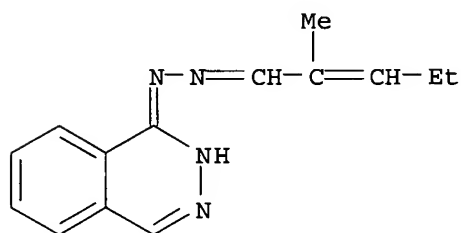
09/288,556



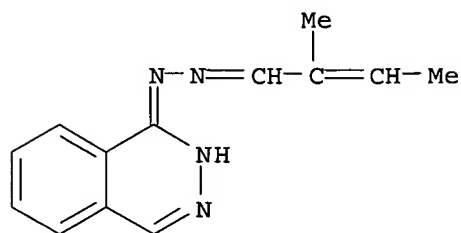
RN 36798-77-3 CAPLUS
CN 2-Propenal, 2-methyl-, 1-phthalazinyldiazene (9CI) (CA INDEX NAME)



RN 36798-78-4 CAPLUS
CN 2-Pentenal, 2-methyl-, 1-phthalazinyldiazene (9CI) (CA INDEX NAME)

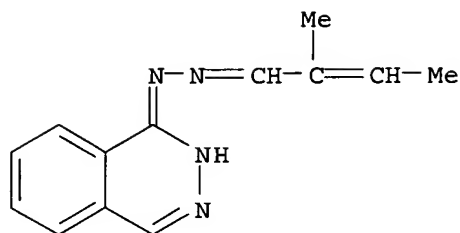


RN 36798-80-8 CAPLUS
CN 2-Butenal, 2-methyl-, 1-phthalazinyldiazene, monohydrochloride (9CI)
(CA INDEX NAME)

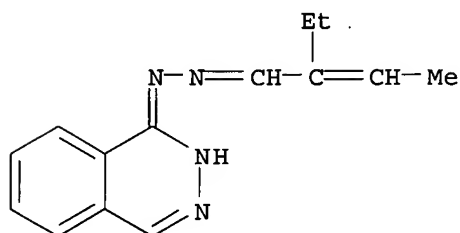


HCl

RN 36798-81-9 CAPLUS
CN 2-Butenal, 2-methyl-, 1-phthalazinyldiazene (9CI) (CA INDEX NAME)



RN 36908-00-6 CAPLUS
 CN 2-Butenal, 2-ethyl-, 1-phthalazinyldiazine (9CI) (CA INDEX NAME)



L11 ANSWER 38 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1971:111986 CAPLUS

DOCUMENT NUMBER: 74:111986

TITLE: Addition of dimethyl acetylenedicarboxylate to hydrazines

AUTHOR(S): Nair, Mohann D.

CORPORATE SOURCE: CIBA Res. Cent., Bombay, India

SOURCE: Indian Journal of Chemistry (1971), 9(2), 104-6
 CODEN: IJOCAP; ISSN: 0019-5103

DOCUMENT TYPE: Journal

LANGUAGE: English

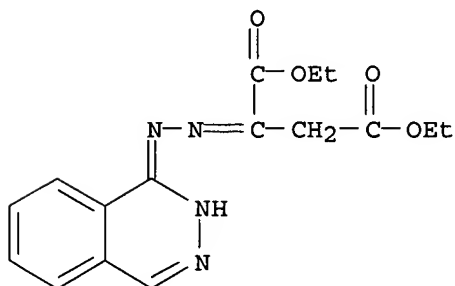
AB The reaction of di-Me acetylenedicarboxylate with 2-aminobiphenyl, phenylhydrazine, 1,1-diphenylhydrazine, 1-hydrazino-3-chloro-5-nitroisoquinoline, and 1-hydrazinophthalazine yields, the 1:1 adducts. The reaction with 2-hydrazinopyridine and 2-hydrazinoquinoline affords the cyclic products 1-(2-pyridyl)-3-(carbomethoxy)pyrazolin-5-one and 1-(2-quinolino)-3-(carbomethoxy)pyrazolin-5-one, resp.

IT 31480-31-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 31480-31-6 CAPLUS

CN Butanedioic acid, (1-phthalazinyldiazono)-, diethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 39 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:109766 CAPLUS

DOCUMENT NUMBER: 72:109766

TITLE: 1,4-Phthalazinediylldihydrazones as potential
chemotherapeutic agents

AUTHOR(S): Prescott, Benjamin; Lones, George W.; Caldes, George

CORPORATE SOURCE: Nat. Inst. of Allergy and Infec. Dis., Bethesda, MD,
USASOURCE: Antimicrobial Agents and Chemotherapy (1961-70)
(1970), Volume Date 1969 262-7

CODEN: AACHAX; ISSN: 0074-9923

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Sixty-three hydrazone derivs. of 1,4-di-hydrazinophthalazine were synthesized for study as potential antibacterial, antifungal, and antitumor agents. These compds. were tested for in vitro inhibitory activity against *Staphylococcus aureus* FD209, *Histoplasma capsulatum*, and *Cryptococcus neoformans*. Salicylaldehyde, 3-methoxybenzaldehyde and cinnamaldehyde 1,4-phthalazinediylldihydrazones were active against *S. aureus* in concns. as low as 5 .mu.g/ml, and 26 compds. suppressed the growth of *H. capsulatum*. Salicylaldehyde, hydrocinnamaldehyde, and 5-chlorosalicylaldehyde 1,4-phthalazinediylldihydrazones exhibited significant antifungal activity, being inhibitory at 20 .mu.g/ml against *H. capsulatum*. Of the 26 compounds which exhibited activity, 12 demonstrated some activity against *C. neoformans* in a concn. of 500 .mu.g/ml. The compds. were also studied for antitumor activity against Walker 256 (intramuscular) carcinosarcoma and leukemia. Twelve derivs. showed inhibition against the carcinosarcoma, but only .beta.-resorcylaldehyde 1,4-phthalazinediylldihydrazone showed good inhibition against the mouse leukemia tumor system. The highest tolerated i.p. dose of the compds. in DBA mice was 2 g/kg, the compds. thus exhibiting a low toxicity.

IT 27702-16-5 27702-17-6 27702-34-7

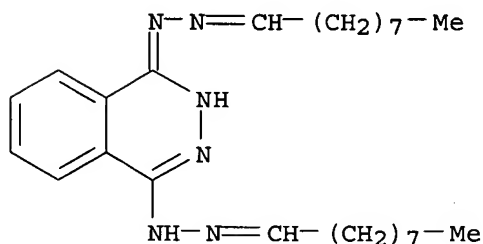
27703-89-5 27703-90-8 27704-23-0

27828-52-0 27929-66-4 28071-90-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacology of)

RN 27702-16-5 CAPLUS

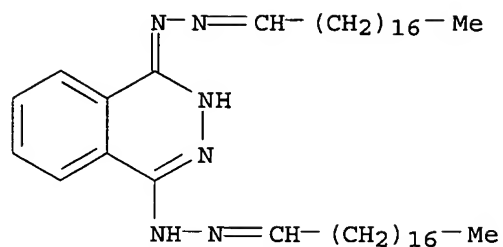
CN Nonanal, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)



RN 27702-17-6 CAPLUS

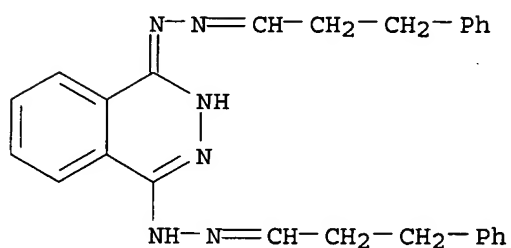
CN Stearaldehyde, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)

09/288,556



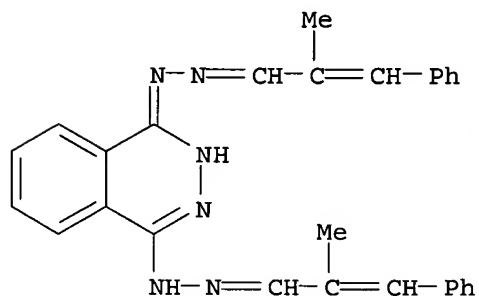
RN 27702-34-7 CAPLUS

CN Hydrocinnamaldehyde, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)



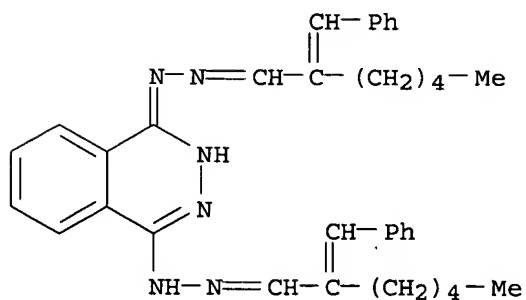
RN 27703-89-5 CAPLUS

CN Cinnamic acid, .alpha.-methyl-, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)



RN 27703-90-8 CAPLUS

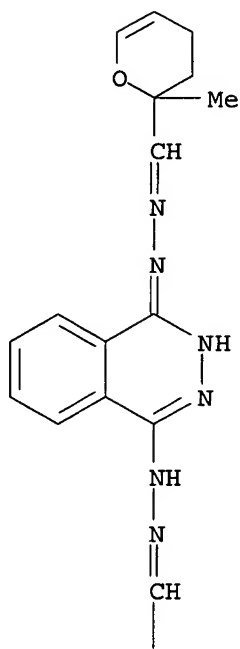
CN Cinnamaldehyde, .alpha.-pentyl-, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)



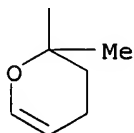
09/288,556

RN 27704-23-0 CAPLUS
CN 2H-Pyran-2-carboxaldehyde, 3,4-dihydro-2-methyl-, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)

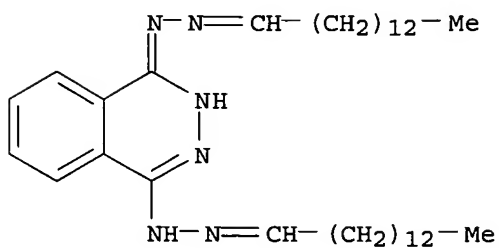
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PAGE 2-A

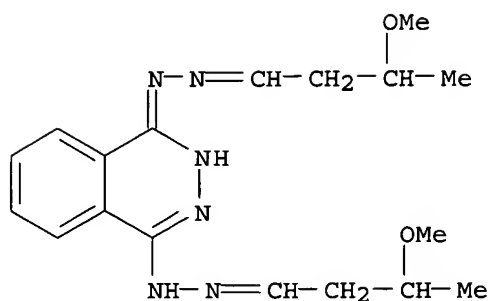


RN 27828-52-0 CAPLUS
CN Tetradecanal, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)



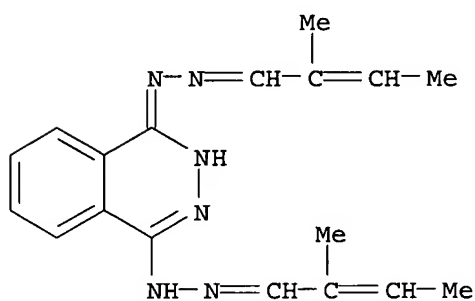
RN 27929-66-4 CAPLUS
CN Butyraldehyde, 3-methoxy-, 1,4-phthalazinediylldihydrazone (8CI) (CA INDEX NAME)

09/288,556



RN 28071-90-1 CAPLUS

CN Crotonaldehyde, 2-methyl-, 1,4-phthalazinediyldihydrazone, (E,E)-
(8CI) (CA INDEX NAME)

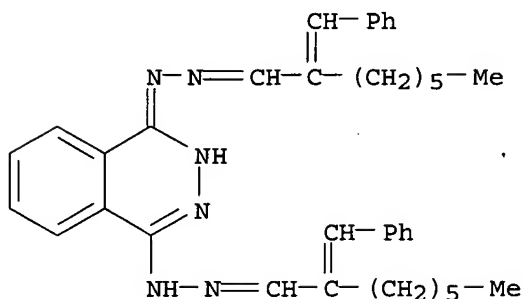


IT 27703-91-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 27703-91-9 CAPLUS

CN Cinnamaldehyde, .alpha.-hexyl-, 1,4-phthalazinediyldihydrazone (8CI) (CA
INDEX NAME)



L11 ANSWER 40 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1969:448158 CAPLUS

DOCUMENT NUMBER: 71:48158

TITLE: Antagonism to the diuretic action of SR 720-22

AUTHOR(S): Belair, Ernest J.; Borrelli, Alfonso R.; Yelnosky,
John

CORPORATE SOURCE: Dep. Pharmacol., Strassenburgh Lab., Rochester, NY, USA

SOURCE: Proceedings of the Society for Experimental Biology

and Medicine (1969), 131(2), 327-9
CODEN: PSEBAA; ISSN: 0037-9727

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI For diagram(s), see printed CA Issue.

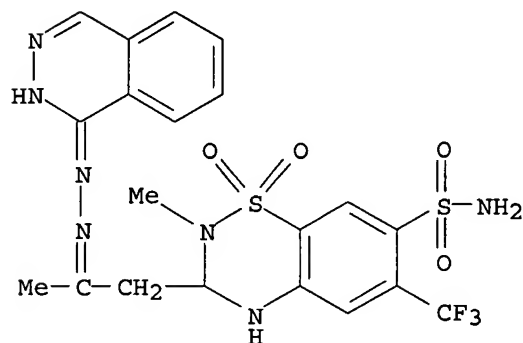
AB In the dog, i.v., Ex 4877 (I, 5 mg./kg.) blocked the diuretic effects of SR 720-22 (II, 0.1-0.5 mg./kg., i.v.), a quinazolinone, indicating a broader spectrum of blocking action for Ex 4877 and a similarity in the mode of action between hydrochlorothiazide and SR 720-22. Ex 4877 did not block the diuretic effects of furosemide (1 mg./kg., i.v.), supporting the previously reported findings by Small and Cafruny (1967).

IT 1457-16-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antidiuretic activity of)

RN 1457-16-5 CAPLUS

CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3,4-dihydro-2-methyl-3-[2-(1-phthalazinylhydrazono)propyl]-6-(trifluoromethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



L11 ANSWER 41 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:84632 CAPLUS

DOCUMENT NUMBER: 64:84632

ORIGINAL REFERENCE NO.: 64:15902b-d

TITLE: Substituted 3,4-dihydro-1,2,4-benzothiadiazine
1,1-dioxides

INVENTOR(S): Robertson, Jerry E.; Biel, John H.

PATENT ASSIGNEE(S): Colgate-Palmolive Co.

SOURCE: 4 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3243343		19660329	US	19610717

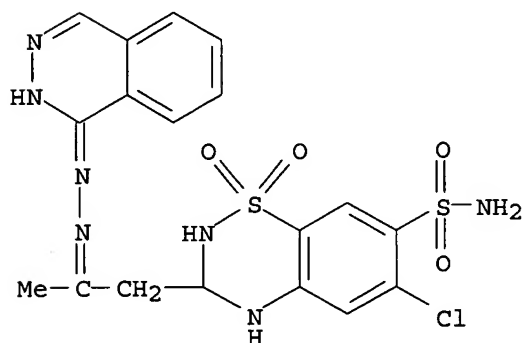
GI For diagram(s), see printed CA Issue.

AB Imino derivs. of the subject compds. having a carbonyl group were described. E.g., a mixt. of 3,4-dihydro-2-methyl-3-acetonyl-7-sulfamoyl-6-trifluoromethyl-1,2,4-benzothiadiazine 1,1-dioxide (8.0 g.), 2.9 g. 1-hydrazinophthalazine, 150 ml. EtOH, and 2 drops AcOH was refluxed 18 hrs., and the solid which sepd. on cooling, was collected to give Ia. The I prepd. were as follows (R, X, R1, Y, m.p., and % yield): H, CF3, Me, Y1 (Ia), 180-2.degree., 36; H, CF3, Me, Y2, 148-51.degree., 74; H, CF3, Me, OH, 213-15.degree., 89; Me, Cl, Me, Y1, 159-61.degree., 40; H, NO2, H, Y2,

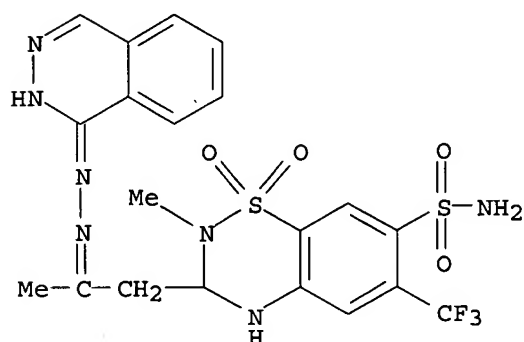
09/288,556

amorphous, 80; H, Cl, H, Y1, 172-4.degree., 40. I have hypotensive and diuretic activity.

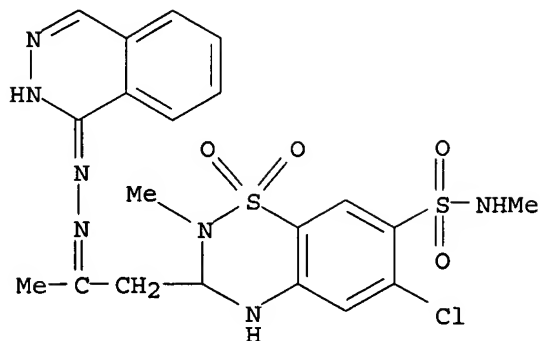
- IT 88-36-8, 2H-1,2,4-Benzothiadiazine-7-sulfonamide,
3-acetyl-6-chloro-3,4-dihydro-, 1-phthalazinylhydrazone, 1,1-dioxide
1457-16-5, 2H-1,2,4-Benzothiadiazine-7-sulfonamide,
3-acetyl-3,4-dihydro-2-methyl-6-(trifluoromethyl)-, 1-
phthalazinylhydrazone, 1,1-dioxide 4016-76-6,
2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetyl-6-chloro-3,4-dihydro-
N,2-dimethyl-, 1-phthalazinylhydrazone, 1,1-dioxide
(prepn. of)
RN 88-36-8 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 6-chloro-3,4-dihydro-3-[2-(1-
phthalazinylhydrazono)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



- RN 1457-16-5 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3,4-dihydro-2-methyl-3-[2-(1-
phthalazinylhydrazono)propyl]-6-(trifluoromethyl)-, 1,1-dioxide (9CI) (CA
INDEX NAME)



- RN 4016-76-6 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetyl-6-chloro-3,4-dihydro-
N,2-dimethyl-, 1-phthalazinylhydrazone, 1,1-dioxide (7CI, 8CI) (CA INDEX
NAME)



L11 ANSWER 42 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1965:29711 CAPLUS

DOCUMENT NUMBER: 62:29711

ORIGINAL REFERENCE NO.: 62:5280c-e

TITLE: Diuretics. 6-Substituted 3-oxoalkyl-3,4-dihydro-2H-1,2,4-benzothiadiazine 1,1-dioxides and related anils, oximes, and hydrazones

AUTHOR(S): Robertson, Jerry E.; Dusterhoft, Donald A.; Mitchell, Thomas F., Jr.

CORPORATE SOURCE: Colgate-Palmolive Co., Milwaukee, WI

SOURCE: Journal of Medicinal Chemistry (1965), 8(1), 90-5

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

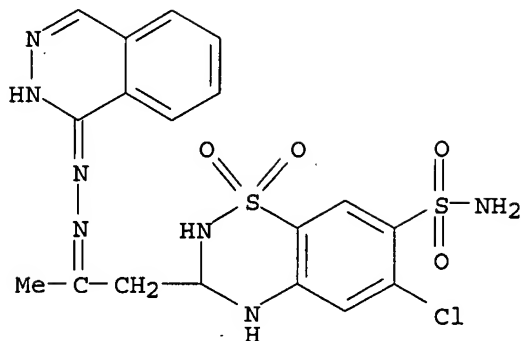
AB Condensation of appropriate oxo aldehydes with 5-substituted 2,4-disulfamoylanilines under acid catalysis provided a group of 6-substituted 3,4-dihydro-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxides (I) contg. 3-oxoalkyl substituents. When .beta.-oxo aldehydes were used and the 2-sulfamoyl group was at least monosubstituted, either the usual ring-closure products or isomeric enol-anils were isolated depending on reaction conditions. Evidence for the enol-anil structures included interconversions between isomeric pairs and spectral and degradative studies. Unusual hydrazones and oximes were prepd. and studied. Pharmacol. evaluation revealed several potent diuretic agents and other, less anticipated, biol. properties for the compds. reported.

IT **88-36-8**, 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetyl-6-chloro-3,4-dihydro-, 1-phthalazinylhydrazone, 1,1-dioxide **1457-16-5**, 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetyl-3,4-dihydro-2-methyl-6-(trifluoromethyl)-, 1-phthalazinylhydrazone, 1,1-dioxide **4016-76-6**, 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetyl-6-chloro-3,4-dihydro-N,2-dimethyl-, 1-phthalazinylhydrazone, 1,1-dioxide (prepn. of)

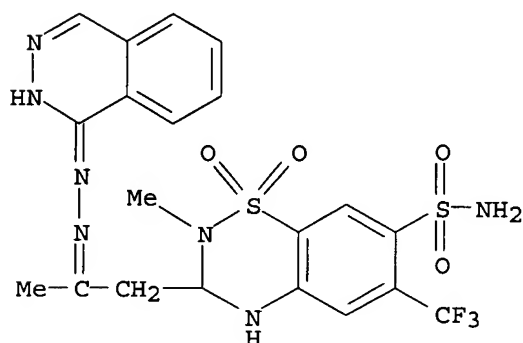
RN **88-36-8** CAPLUS

CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 6-chloro-3,4-dihydro-3-[2-(1-phthalazinylhydrazono)propyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

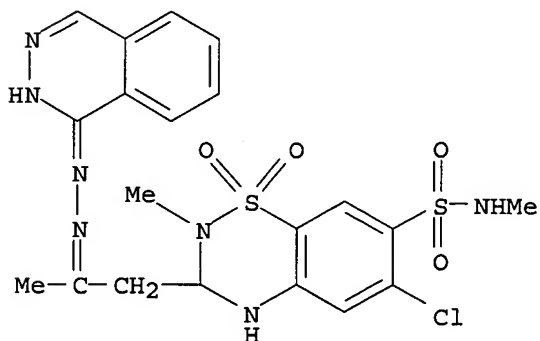
09/288,556



RN 1457-16-5 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3,4-dihydro-2-methyl-3-[2-(1-phthalazinylhydrazono)propyl]-6-(trifluoromethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 4016-76-6 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetonyl-6-chloro-3,4-dihydro-N,2-dimethyl-, 1-phthalazinylhydrazone, 1,1-dioxide (7CI, 8CI) (CA INDEX NAME)



L11 ANSWER 43 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1964:440412 CAPLUS
DOCUMENT NUMBER: 61:40412
ORIGINAL REFERENCE NO.: 61:7009e-h,7010a
TITLE: Preparation of phthalazines and pyridazines with
hypotensive activity
AUTHOR(S): Zugravescu, I.; Petrovanu, Magda; Rucinschi, E.

CORPORATE SOURCE: Univ. "Al. I. Cuza," Iasi, Rom.
 SOURCE: Rev. Chim., Acad. Rep. Populaire Roumaine (1962),
 7(2), 1405-15

DOCUMENT TYPE: Journal
 LANGUAGE: English

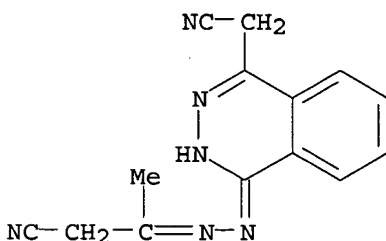
GI For diagram(s), see printed CA Issue.

AB The title compds. were prepd. and investigated for hypotensive activity. Phthalic anhydride and NCCH₂CO₂H formed 2-cyano-1,3-indandione which was hydrolyzed to o-carboxy-.omega.-cyanoacetophenone (I). I and N₂H₄ formed 1-hydroxy-4-cyanomethylphthalazine (II) which was converted into the 1-chloro analog (III) with POCl₃. III and N₂H₄ formed the 1-hydrazino analog (IV). IV and H₂NOH gave V. IV and HN:CMech₂CN in dil. HOAc at room temp. formed VI, m. 220.degree. (C₆H₆), which with H₂NOH in refluxing aq. alc., formed the bis(amidoxime) (VII), m. 225.degree.. Acrylonitrile, 1-hydroxy-4-methylphthalazine, and Triton B heated 3 hrs. yielded the 1-(.beta.-cyanoethoxy) analog (VIII), m. 129-30.degree. (MeOH). VIII and H₂NOH gave IX, m. 145.degree. (C₆H₆). Alk. hydrolysis of VIII formed the acid (X), m. 145-6.degree. (H₂O), which, with EtOH, formed the Et ester (XI). XI and N₂H₄ yielded the hydrazide (XII), m. 107-8.degree. (H₂O). Hydrazine and .beta.-anisoylpropionic acid in alk. medium formed 4,5-dihydro-6-hydroxy-3-(p-anisyl)pyridazine (XIII), m. 153-4.degree. (alc.). Oxidn. of XIII with Br in HOAc formed 6-hydroxy-3-(p-anisyl)pyridazine (XIV), m. 188-9.degree.. XIV with POCl₃ at water bath temp. for 20-30 min. formed the 6-chloro analog (XV), m. 160.degree.. XV (6 g.) with N₂H₄ in EtOH heated in a sealed tube at 120.degree. for 4-5 hrs. yielded the 6-hydrazino analog (XVI), m. 177.degree.. 3-(p-methylphenyl)-6-hydroxypyridazine (XVII), prepd. similarly to XIV, yielded the 6-chloro deriv. (XVIII) with POCl₃. XVIII and N₂H₄ formed the 6-hydrazino deriv. (XIX); hydrochloride m. 218-19.degree.. XVII, acrylonitrile, and Triton B refluxed 4-5 hrs. in dioxane yielded the 6-(.beta.-cyanoethoxy) analog (XX), m. 170-1.degree.. Alk. hydrolysis of XX and acidification yielded the propionic acid, m. 184.degree.; hydrazide m. 175.degree.. XX and H₂NOH formed the amidoxime. V, XVI, and XIX slowly produced hypotension of long duration. IX was a hypertensive agent.

IT 96077-81-5, 1-Phthalazineacetoneitrile, 4-[(2-cyano-1-methylethylidene)hydrazino]- 97494-86-5, 1-Phthalazineacetamidoxime, 4-[[2-(hydroxyamidino)-1-methylethylidene]hydrazino]-
 (prepn. of)

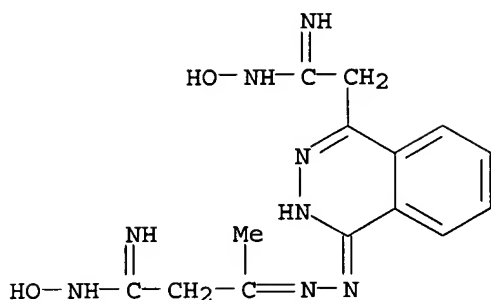
RN 96077-81-5 CAPLUS

CN 1-Phthalazineacetoneitrile, 4-[(2-cyano-1-methylethylidene)hydrazino]-
 (7CI) (CA INDEX NAME)



RN 97494-86-5 CAPLUS

CN 1-Phthalazineacetamidoxime, 4-[[2-(hydroxyamidino)-1-methylethylidene]hydrazino]- (7CI) (CA INDEX NAME)



L11 ANSWER 44 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1964:78132 CAPLUS

DOCUMENT NUMBER: 60:78132

ORIGINAL REFERENCE NO.: 60:13756d-h

TITLE: Inhibition of the renal tubular transport of

epinephrine by the benzothiadiazine compounds

AUTHOR(S): Rennick, Barbara; Pryor, Marilyn; Yoss, Norma

CORPORATE SOURCE: Mt. Holyoke Coll., South Hadley, MA

SOURCE: Journal of Pharmacology and Experimental Therapeutics
(1964), 143(1), 42-6

CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

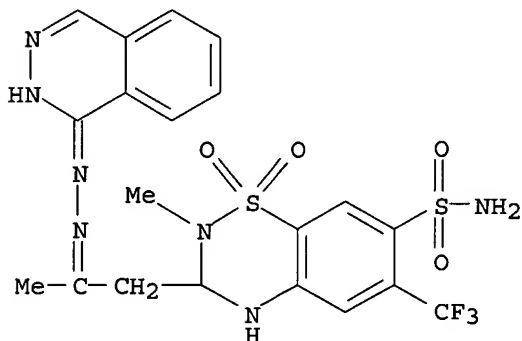
LANGUAGE: Unavailable

AB The renal tubular excretory rate of epinephrine (EPI) and p-aminohippurate (PAH) was detd. simultaneously in unanesthetized hens. Data are expressed as the apparent tabular excretion fraction (ATEF). The ATEF of EPI:PAH was 0.8. EPI (DL-EPI-2-14C) was infused at the rate of 1.4 .times. 10-4 micromoles/min. and PAH at 0.3 micromoles/min. The diuretic, benzhydroflumethiazide (3-benzyl-3,4-dihydro-6-(trifluoromethyl)-2H-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide) (I) was added to EPI and PAH in the infusion following 3 control periods of 10 min. each. A molar ratio of 1.4:1 (I:EPI) did not affect EPI or PAH excretion rates. The level of 14:1 (I:EPI) produced a pronounced inhibition of EPI transport but had no effect on PAH. The ATEF ratio of EPI:PAH was reduced to 0.4 which was a 50% inhibition. A nondiuretic, SQ10547 (3-methyl-6-(trifluoromethyl)-2H-1,2,4-benzothiadiazine 1,1-dioxide) (II) selectively inhibited the transport of EPI at a molar ratio of 100:1 (II:EPI). There was no inhibition at a molar ratio of 50: 1. Above this ratio there was a redn. of the ATEF ratio of EPI: PAH from 0.8 to 0.3. There was no significant change in the percent recovery of EPI or PAH in the presence of II. Diazoxide (7-chloro-3-methyl-1,2,4-benzothiadiazine 1,1-dioxide) (III) inhibited EPI transport at a dosage of 200: 1 (III: EPI). There was no inhibition at the molar ratio of 100:1. EX4877 [3,4-dihydro-2methyl-3-(2-oxopropyl)-7-sulfamoyl-6-(trifluoromethyl)- 2H-1,2,4-benzothiadiazine 1,1-dioxide 1-phthalazinylhydrazone] (IV) inhibited at a molar ratio of 50:1 (IV: EPI) but PAH transport was unaffected. The excess excretion of EPI from the infused side results in an ATEF of 39.0%. This was assocd. with the free catechol fraction. Of the total radioactivity 34.1% was total free catechol. Of this total free catechol 2.2% was the nonamine free catechol. In urine from the infused side, 11.7% was O-methylated catechol. On the uninfused side 11.2% of the amt. infused appeared. Of this amt. 2.7% was total free catechol and one third of it was deaminated. O-Methylation accounted for 8.7%. EPI was actively transported across the renal tubule without modification.

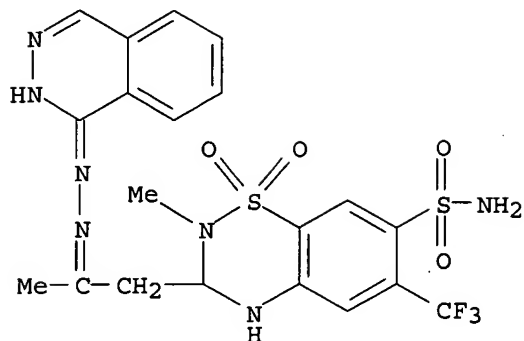
IT 1457-16-5, 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetonyl-3,4-dihydro-2-methyl-6-(trifluoromethyl)-, 1,1-dioxide, azine with 1(2H)-phthalazinone
(effect on kidney excretion of adrenaline and p-aminohippuric acid)

09/288,556

RN 1457-16-5 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3,4-dihydro-2-methyl-3-[2-(1-phthalazinylhydrazono)propyl]-6-(trifluoromethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



L11 ANSWER 45 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1963:465162 CAPLUS
DOCUMENT NUMBER: 59:65162
ORIGINAL REFERENCE NO.: 59:12060d-f
TITLE: Blockade of the diuretic action of benzothiadiazines
AUTHOR(S): Ross, Charles R.; Cafruny, E. J.
CORPORATE SOURCE: Univ. of Michigan, Ann Arbor
SOURCE: Journal of Pharmacology and Experimental Therapeutics
(1963), 140, 125-32
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. Federation Proc. 21, 426(1962). Ex 4877 is 3,4-dihydro-2-methyl-3-(.beta.-oxopropyl)-7-sulfamoyl-6-trifluoromethyl-2H-1,2,4-benzothiadiazine 1,1-dioxide 1-phthalazinylhydrazone. It was nondiuretic to dogs at 2.5 mg./kg. and mildly diuretic at twice this dosage. Even at the lower dose Ex 4877 given intravenously limited or blocked the response to a subsequent injection of hydrochlorothiazide. It did not completely abolish the diuretic response to hydrochlorothiazide unless maximal carbonic anhydrase inhibition was first achieved by prior administration of acetazolamide. Acetazolamide itself retained full diuretic activity when given to animals pretreated with Ex 4877. It is concluded that Ex 4877 is a potent inhibitor of the chloruretic effect of benzothiadiazines but does not have carbonic anhydrase inhibiting activity. These 2 effects appear to be separable and not interdependent.
IT 1457-16-5, 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3-acetonyl-3,4-dihydro-2-methyl-6-(trifluoromethyl)-, 1,1-dioxide, azine with 1(2H)-phthalazinone
(effect on diuretic activity of benzothia diazines)
RN 1457-16-5 CAPLUS
CN 2H-1,2,4-Benzothiadiazine-7-sulfonamide, 3,4-dihydro-2-methyl-3-[2-(1-phthalazinylhydrazono)propyl]-6-(trifluoromethyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



L11 ANSWER 46 OF 46 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1959:99875 CAPLUS

DOCUMENT NUMBER: 53:99875

ORIGINAL REFERENCE NO.: 53:18046g-i,18047a

TITLE: Blocking the NH2 group in 1-hydrazinophthalazine with aromatic ketones and aldehydes

AUTHOR(S): Kesler, Ewa; Biniecki, Stanislaw

CORPORATE SOURCE: Inst. Lekow, Warsaw

SOURCE: Acta Polon. Pharm. (1959), 16, 93-101

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The following novel 1-phthalazinyldiazones were prepd. by refluxing 1-hydrazinophthalazine in MeOH with an equimolar amt. of aromatic aldehyde or ketone, and tested for hypotensive activity by injecting intravenously in 80% AcNHMe to rabbits (carbonylic component, m.p. of the 1-phthalazinyldiazone formed, yield, dosage applied in pharmacol. expts. in mg./kg., hypotensive effect on blood pressure in mm. Hg, and its duration in min. are given); .omicron.-hydroxyacetophenone, 203.5-205.degree., 47%, 1.5, 90, 60; .omicron.-hydroxyacetylacetophenone (the 1-oxo group reacted), 182.5-83.degree., 72%, 1.0, 50, 5; p-hydroxyacetophenone, 229-31.degree., 65%, 3.0, 40, 5-10; p-aminoacetophenone, 183.5-4.5.degree., 56%, 2.0, 50, 30; .omicron.-hydroxybenzaldehyde, 211.5-12.5.degree., 85%, 1.0, 50, 5; p-hydroxybenzaldehyde, 195-6.degree. (decompn.), 96%, 2.0, 50, 5-10; 3,4-methylenedioxybenzaldehyde, 236-8.degree. (decompn.), 87%, 1.5, 80, 10; phenylacetaldehyde, 165.5-6.5.degree., 17.5%, 3.0, 20, 15. Blocking the NH2 group increased the hypotensive effect, but shortened its duration. Some of the compds. were also mild spasmolytics.

IT 131409-95-5, Acetaldehyde, phenyl-, 1-phthalazinyldiazone (prepn. of)

RN 131409-95-5 CAPLUS

CN Acetaldehyde, phenyl-, 1-phthalazinyldiazone (6CI) (CA INDEX NAME)

